

JC17 Rec'd PCT/PTO 06 AUG 2001

U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE (REV 10-2000)		ATTORNEYS DOCKET NUMBER P/3610-16
TRANSMITTAL LETTER TO THE UNITED STATES DESIGNATED/ELECTED OFFICE (DO/EO/US) CONCERNING A FILING UNDER 35 U.S.C. 371		U.S. APPLICATION NO. (If known, see 37 CFR 1.5) 09/890775
INTERNATIONAL APPLICATION NO. PCT/GB00/00345	INTERNATIONAL FILING DATE 4 February 2000	PRIORITY DATE CLAIMED 6 February 1999
TITLE OF INVENTION ² N²-PHENYLAMIDINE DERIVATIVES		
APPLICANT(S) FOR DO/EO/US Mark David CHARLES et al.		
Applicant herewith submits to the United States Designated/Elected Office (DO/EO/US) the following items and other information:		
<p>1. <input checked="" type="checkbox"/> This is a FIRST submission of items concerning a filing under 35 U.S.C. 371.</p> <p>2. <input type="checkbox"/> This is a SECOND or SUBSEQUENT submission of items concerning a filing under 35 U.S.C. 371.</p> <p>3. <input checked="" type="checkbox"/> This is an express request to promptly begin national examination procedures (35 U.S.C. 371(f)).</p> <p>4. <input type="checkbox"/> The US has been elected by the expiration of 19 months from the priority date (PCT Article 31).</p> <p>5. <input checked="" type="checkbox"/> A copy of the International Application as filed (35 U.S.C. 371(c)(2))</p> <p>a. <input type="checkbox"/> is attached hereto (required only if not communicated by the International Bureau).</p> <p>b. <input checked="" type="checkbox"/> has been communicated by the International Bureau.</p> <p>c. <input type="checkbox"/> is not required, as the application was filed in the United States Receiving Office (RO/US).</p> <p>6. <input type="checkbox"/> An English language translation of the International Application as filed (35 U.S.C. 371(c)(2)).</p> <p>7. <input checked="" type="checkbox"/> Amendments to the claims of the International Application under PCT Article 19 (35 U.S.C. 371(c)(3))</p> <p>a. <input type="checkbox"/> are attached hereto (required only if not communicated by the International Bureau).</p> <p>b. <input type="checkbox"/> have been communicated by the International Bureau.</p> <p>c. <input type="checkbox"/> have not been made; however, the time limit for making such amendments has NOT expired.</p> <p>d. <input checked="" type="checkbox"/> have not been made and will not be made.</p> <p>8. <input type="checkbox"/> An English language translation of the amendments to the claims under PCT Article 19 (35 U.S.C. 371(c)(3)).</p> <p>9. <input checked="" type="checkbox"/> An oath or declaration of the inventor(s) (35 U.S.C. 371(c)(4)). - unsigned</p> <p>10. <input checked="" type="checkbox"/> An English language translation of the annexes to the International Preliminary Examination Report under PCT Article 36 (35 U.S.C. 371(c)(5)).</p>		
Items 11 to 16 below concern document(s) or information included:		
<p>11. <input checked="" type="checkbox"/> An Information Disclosure Statement under 37 CFR 1.97 and 1.98.</p> <p>12. <input type="checkbox"/> An assignment document for recording. A separate cover sheet in compliance with 37 CFR 3.28 and 3.31 is included.</p> <p>13. <input checked="" type="checkbox"/> A FIRST preliminary amendment.</p> <p><input checked="" type="checkbox"/> A SECOND or SUBSEQUENT preliminary amendment.</p>		
<p>14. <input type="checkbox"/> A substitute specification.</p> <p>15. <input type="checkbox"/> A change of power of attorney and/or address letter.</p> <p>16. <input checked="" type="checkbox"/> Other items or information: Form PCT/IPEA/409. PEFS print form</p>		
EXPRESS MAIL CERTIFICATE		
<p>I hereby certify that this correspondence is being deposited with the United States Postal Service as Express Mail Post Office to Addresses (mail label EL613110876US) in an envelope addressed to: Asst. Commissioner for Patents, Washington, D.C. 20231, on August 6, 2001.</p>		
Dorothy Jenkins		
Name of Person Mailing Correspondence		
<i>Dorothy Jenkins</i>		
Signature		
August 6, 2001		
Date of Signature		

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U.S. APPLICATION NO. (if known, see 37 CFR 1.5)	INTERNATIONAL APPLICATION NO.	ATTORNEY'S DOCKET NUMBER		
09/890775	PCT/GB00/00345	P/3610-16		
<p>17. <input checked="" type="checkbox"/> The following fees are submitted:</p> <p>BASIC NATIONAL FEE (37 CFR 1.492 (a) (1) - (5)) :</p> <p>Neither international preliminary examination fee (37 CFR 1.482) nor international search fee (37 CFR 1.445(a)(2)) paid to USPTO and International Search Report not prepared by the EPO or JPO \$1000.00</p> <p>International preliminary examination fee (37 CFR 1.482) not paid to USPTO but International Search Report prepared by the EPO or JPO \$860.00</p> <p>International preliminary examination fee (37 CFR 1.482) not paid to USPTO but international search fee (37 CFR 1.445(a)(2)) paid to USPTO \$710.00</p> <p>International preliminary examination fee paid to USPTO (37 CFR 1.482) but all claims did not satisfy provisions of PCT Article 33(1)-(4) \$690.00</p> <p>International preliminary examination fee paid to USPTO (37 CFR 1.482) and all claims satisfied provisions of PCT Article 33(1)-(4) \$100.00</p>		CALCULATIONS PTO USE ONLY		
ENTER APPROPRIATE BASIC FEE AMOUNT =		\$ 860.00		
Surcharge of \$130.00 for furnishing the oath or declaration later than <input type="checkbox"/> 20 <input type="checkbox"/> 30 months from the earliest claimed priority date (37 CFR 1.492(e)).		\$		
CLAIMS	NUMBER FILED	NUMBER EXTRA	RATE	
Total claims	22	- 20 =	2	X \$18.00 \$ 36.00
Independent claims	4	- 3 =	1	X \$80.00 \$ 80.00
MULTIPLE DEPENDENT CLAIM(S) (if applicable)		0	+ \$270.00	\$
TOTAL OF ABOVE CALCULATIONS =		\$ 976.00		
<input type="checkbox"/> Applicant claims small entity status. See 37 CFR 1.27. The fees indicated above are reduced by 1/2.		\$		
SUBTOTAL =		\$ 976.00		
Processing fee of \$130.00 for furnishing the English translation later than <input type="checkbox"/> 20 <input type="checkbox"/> 30 months from the earliest claimed priority date (37 CFR 1.492(f)).		\$		
TOTAL NATIONAL FEE =		\$ 976.00		
Fee for recording the enclosed assignment (37 CFR 1.21(h)). The assignment must be accompanied by an appropriate cover sheet (37 CFR 3.28, 3.31). \$40.00 per property		\$		
TOTAL FEES ENCLOSED =		\$ 976.00		
		Amount to be refunded: \$		
		charged: \$		
<p>a. <input checked="" type="checkbox"/> A check in the amount of \$ 976.00 to cover the above fees is enclosed. Check No. 5864</p> <p>b. <input type="checkbox"/> Please charge my Deposit Account No. _____ in the amount of \$ _____ to cover the above fees. A duplicate copy of this sheet is enclosed.</p> <p>c. <input checked="" type="checkbox"/> The Commissioner is hereby authorized to charge any additional fees which may be required, or credit any overpayment to Deposit Account No. 15-0700. A duplicate copy of this sheet is enclosed.</p>				
<p>NOTE: Where an appropriate time limit under 37 CFR 1.494 or 1.495 has not been met, a petition to revive (37 CFR 1.137(a) or (b)) must be filed and granted to restore the application to pending status.</p>				
<p>SEND ALL CORRESPONDENCE TO:</p> <p>OSTROLENK, FABER, GERB & SOFFEN, LLP 1180 Avenue of the Americas New York, NY 10036-8403</p> <p>Tel: (212) 382 0700</p>				
<p><i>Edward A. Meilman</i></p> <p>SIGNATURE:</p> <p>Edward A. Meilman</p> <p>NAME</p> <p>24,735</p> <p>REGISTRATION NUMBER</p>				

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09/890775

P/3610-16

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application of

Mark David CHARLES, et al.

Date: August 6, 2001

Serial No.:

Group Art Unit: --

Filed: Herewith

Examiner:

For: N²-PHENYLAMIDINE DERIVATIVES

Asst. Commissioner for Patents
Washington, D.C. 20231
Box PCT

FIRST PRELIMINARY AMENDMENT

Preliminary to review of the above-identified application, please amend as follows:

FEE CALCULATION

Any additional fee required has been calculated as follows:

NO. CLAIMS AFTER AMENDMENT	HIGHEST NO. PREVIOUSLY PAID FOR		EXTRA PRESENT	RATE	ADDIT. FEE
TOTAL	1	MINUS	20	* = 0 X (\$9 SE or \$18)	\$
INDEP.	1	MINUS	3	** = 0 X (\$40 SE or \$80)	\$
FIRST PRESENTATION OF MULTIPLE DEPENDENT CLAIM				X (\$135 SE or \$270)	\$

* not less than 20 ** not less than 3

TOTAL \$ -0-

In the event the actual fee is greater than the payment submitted or is inadvertently not enclosed or if any additional fee during the prosecution of this application is not paid, the Patent Office is authorized to charge the underpayment to Deposit Account No. 15-0700.

CONTINGENT EXTENSION REQUEST

If this communication is filed after the shortened statutory time period had elapsed and no separate Petition is enclosed, the Commissioner of Patents and Trademarks is petitioned, under 37 C.F.R. § 1.136(a), to extend the time for filing a response to the outstanding Office Action by the number of months which will avoid abandonment under 37 C.F.R. § 1.135. The fee under 37 C.F.R. § 1.17 should be charged to our Deposit Account No. 15-0700.

AMENDMENTS

If checked, amendments to the claims are submitted herewith.

Claims:

Please cancel claims 2-23 without prejudice.

EXPRESS MAIL CERTIFICATE

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Dorothy Jenkins
Name of Person Mailing Correspondence

Dorothy Jenkins
Signature
August 6, 2001
Date of Signature

Respectfully submitted,

Edward A. Meilman
Edward A. Meilman
Registration No.: 24,735
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New York, New York 10036-8403
Telephone: (212) 382-0700

EAM:mgs

1C17 Recd PCT/PTO 06 AUG 2001
09/890775

P/3610-16

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application of

Mark David CHARLES, et al.

Date: August 6, 2001

Serial No.:

Group Art Unit: --

Filed: Herewith

Examiner: --

For: N²-PHENYLAMIDINE DERIVATIVES

Asst. Commissioner for Patents
Washington, D.C. 20231

SECOND PRELIMINARY AMENDMENT

Preliminary to review of the above-identified application, please amend as follows:

FEE CALCULATION

Any additional fee required has been calculated as follows:

NO. CLAIMS AFTER AMENDMENT	HIGHEST NO. PREVIOUSLY PAID FOR			EXTRA PRESENT	RATE	ADDITIONAL FEE
TOTAL	22	MINUS	20	* =	2	X (\$9 SE or \$18) \$36.00
INDEP.	4	MINUS	3	** =	1	X (\$40 SE or \$80) \$80.00
FIRST PRESENTATION OF MULTIPLE DEPENDENT CLAIM						X (\$135 SE or \$270) \$

* not less than 20 ** not less than 3

TOTAL \$ 116.00

If any additional payment is required, a check which includes the calculated fee of
\$116.00 (OFGS Check No. _____) is attached.

In the event the actual fee is greater than the payment submitted or is inadvertently not enclosed or if any additional fee during the prosecution of this application is not paid, the Patent Office is authorized to charge the underpayment to Deposit Account No. 15-0700.

CONTINGENT EXTENSION REQUEST

If this communication is filed after the shortened statutory time period had elapsed and no separate Petition is enclosed, the Commissioner of Patents and Trademarks is petitioned, under 37 C.F.R. § 1.136(a), to extend the time for filing a response to the outstanding Office Action by the number of months which will avoid abandonment under 37 C.F.R. § 1.135. The fee under 37 C.F.R. § 1.17 should be charged to our Deposit Account No. 15-0700.

AMENDMENTS

If checked, amendments to the claims are submitted herewith.

Claims:

Please cancel claim 1 without prejudice.

Please add new claims 24-45 pursuant to 37 C.F.R. § 1.121(c)(i) as set forth in the "clean" version attached hereto as Appendix A. Entry is respectfully requested.

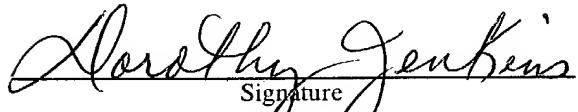
REMARKS/ARGUMENT

The foregoing amendment has been made to place the claims into appropriate form for U.S. examination.

EXPRESS MAIL CERTIFICATE

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Dorothy Jenkins
Name of Person Mailing Correspondence


Signature
August 6, 2001

Date of Signature

Respectfully submitted,

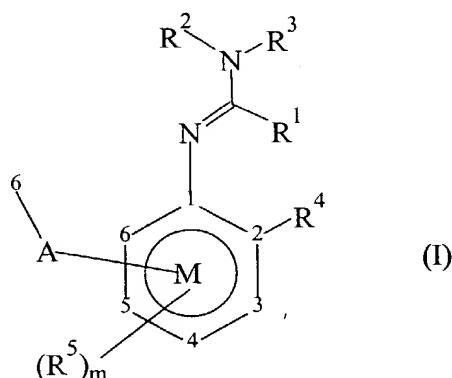

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APPENDIX A
“CLEAN” VERSION OF EACH PARAGRAPH/SECTION/CLAIM
37 C.F.R. § 1.121(b)(ii) AND (c)(i)

CLAIMS (All New):

24. A method of combating fungi at a locus infested or liable to be infested therewith, which comprises applying to the locus a compound of general formula I or a salt thereof



wherein

R¹ is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which is optionally substituted, or hydrogen;

R² and R³, which may be the same or different, are any group defined for R¹; cyano; acyl; -OR^a or -SR^a, where R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which is optionally substituted; or R² and R³, or R² and R¹, together with their interconnecting atoms form a ring, which is optionally substituted;

R⁴ is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be optionally substituted; hydroxy; mercapto; azido; nitro; halogen; cyano; acyl; optionally substituted amino; cyanato; thiocyanato; -SF₅; -OR^a; -SR^a or -Si(R^a)₃;

m is O to 3;

when present, each individual R^5 is a group defined for R^4 ;
 R^6 is optionally substituted carbo- or heterocyclyl; and
 A is a direct bond, $-O-$, $-S(O)_n-$, $-NR^9-$, $-CR^7=CR^7-$, $-C=C-$, $-A^1-$, $-A^1-A^1-$,
 $-O-(A^1)_k-O-$, $-O-(A^1)_k-$, $-A^3-$, $-A^4-$, $-A^1O-$, $-A^1S(O)_n-$, $-A^2-$, OA^2- , $-NR^9A^2-$, $-OA^2-A^1-$,
 $-OA^2-C(R^7)=CR^8-$, $-S(O)_nA^1-$, $-A^1-A^4-$, $-A^1-A^4-C(R^8)=N-N=CR^8-$, $-A^1-A^4-C(R^8)=N-X^2-X^3-$,
 $-A^1-A^4-A^3-$, $-A^1-A^4-N(R^9)-$, $-A^1-A^4-X-CH_2-$, $-A^1-A^4-A^1-$, $-A^1-A^4-CH_2X-$,
 $-A^1-A^4-C(R^8)=N-X^2-X^3-X^1-$, $-A^1-X-C(R^8)=N-$, $-A^1-X-C(R^8)=N-N=CR^8-$, $-A^1-X-C(R^8)=N-N(R^9)-$,
 $-A^1-X-A^2-X^1-$, $-A^1-O-A^3-$, $-A^1-O-C(R^7)=C(R^8)-$, $-A^1-O-N(R^9)-A^2-N(R^9)-$, $-A^1-O-N(R^9)-A^2-$,
 $-A^1-N(R^9)-A^2-N(R^9)-$, $-A^1-N(R^9)-A^2-$, $-A^1-N(R^9)-N=C(R^8)-$, $-A^3-A^1-$, $-A^4-A^3-$, $-A^2-NR^9-$,
 $A^1-A^2-X^1-$, $-A^1-A^1-A^2-X^1-O-A^2-N(R^9)-A^2-$, $-CR^7=CR^7-A^2-X^1-$, $-C=C-A^2-X^1-$, $-N=C(R^8)-A^2-X^1-$,
 $-C(R^8)=N-N=C(R^8)-$, $-C(R^8)=N-N(R^9)-$, $-(CH_2)_2-O-N=C(R^8)-$ or $-X-A^2-N(R^9)-$,
where n is 0, 1 or 2,
 k is 1 to 9,
 A^1 is CHR^7- ,
 A^2 is $-C(=X)-$,
 A^3 is $-C(R^8)=N-O-$,
 A^4 is $-O-N=C(R^8)-$,
 X is O or S,
 X^1 is O, S, NR^9 or a direct bond,
 X^2 is O, NR^9 or a direct bond,
 X^3 is hydrogen, $-C(=O)-$, $-SO_2-$ or a direct bond,
each individual R^7 is alkyl, cycloalkyl or phenyl, each of which may be substituted; or is hydrogen, halogen, cyano or acyl;
each individual R^8 is alkyl, alkenyl, alkynyl, alkoxy, alkylthio, carbo- or heterocyclyl, each of which may be optionally substituted; or is hydrogen;
each individual R^9 is optionally substituted alkyl, optionally substituted carbo- or heterocyclyl, hydrogen or acyl; or two R^9 groups on A , together with the connecting atoms, form a 5 to 7 membered ring;
where the moiety depicted on the right side of linkage A is attached to R^6 ;

or -A-R⁶ and R⁵ together with benzene ring M form an optionally substituted fused ring system.

25. The method according to claim 24 wherein R¹ is alkyl, alkenyl or alkynyl, each of which is optionally substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl; or is hydrogen.

26. The method according to claim 24 wherein R¹ is C₁-C₁₀ alkyl or hydrogen.

27. The method according to claim 24 wherein R² and R³, which may be the same or different, are alkyl, alkenyl or alkynyl, each of which is optionally substituted by alkoxy, haloalkoxy, alkylthio, halogen, optionally substituted phenyl; or is hydrogen; alkoxy; alkoxyalkoxy; benzyloxy; cyano; or alkylcarbonyl.

28. The method according to claim 27 wherein R² and R³, which may be the same or different, are C₁-C₁₀ alkyl or hydrogen.

29. The method according to claim 24 wherein R⁴ is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl; or is hydroxy; halogen; cyano; acyl; alkoxy; haloalkoxy; or alkylthio.

30. The method according to claim 29 wherein R⁴ is C₁-C₁₀ alkyl or halogen.

31. The method according to claim 24 wherein m is 0 or 1.

32. The method according to claim 24 wherein, R⁵ is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl; or is hydroxy; halogen; cyano; acyl; alkoxy; haloalkoxy; or alkylthio.

33. The method according to claim 24 wherein R⁵ is attached at the 5 position of ring M.

34. The method according to claim 24 wherein A is a direct bond, -O-, -S(O)_nA¹-, -O(A¹)_k-, -S(O)_n-, -NR⁹A²-, -A²-, -OA²-, -OA²-A¹-, -NR⁹- or -O(A¹)_kO-.

35. The method according to claim 34 wherein A is a direct bond, -O-, -S-, -NR⁹-, -CHR⁷- or -CHR⁷-.

36. The method according to claim 24 wherein, when present, R⁹ is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl; or is hydrogen.

37. The method according to claim 24 wherein, when present, R⁷ is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl; or is hydroxy; halogen; cyano; acyl; alkoxy; haloalkoxy; alkylthio; or hydrogen.

38. The method according to claim 24 wherein A is attached to the 4 position of benzene ring M.

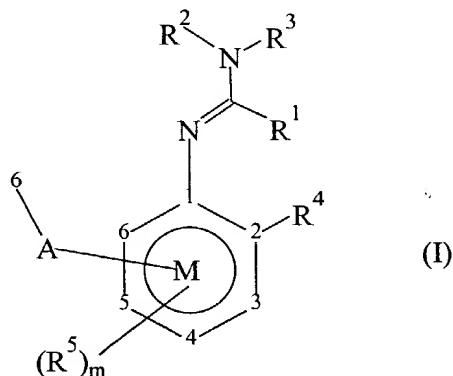
39. The method according to claim 24 wherein R⁶ is optionally substituted phenyl or optionally substituted aromatic heterocyclyl.

40. The method according to claim 24 wherein R⁶ is substituted by one or more substituents, which may be the same or different, and selected from the group consisting of alkyl, alkenyl, alkynyl, carbo or heterocyclyl, each of which is optionally substituted; hydroxy; mercapto; azido; nitro; halogen; cyano; acyl; optionally substituted amino; cyanato; thiocyanato;

-SF₅; -OR^a; -SR^a and -Si(R^a)₃, where R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which is optionally substituted.

41. The method according to claim 40 wherein R⁶ is substituted by one or more substituents, which may be the same or different, and are selected from the group consisting of hydroxy; halogen; cyano; acyl; amino; alkylamino; dialkylamino; alkyl; haloalkyl; R^aO-alkyl; acyloxyalkyl; cyano-oxyalkyl; alkoxy; haloalkoxy; alkylthio; carbocyclyl, optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio; and benzyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio.

42. A method of combating fungi at a locus infested or liable to be infested therewith, which comprises applying to the locus a compound of general formula I or a salt thereof



wherein:

R¹ is alkyl, alkenyl or alkynyl, each of which is optionally substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio or halogen; or is hydrogen;

R² and R³, which may be the same or different, are as defined for R¹, or are alkoxy, alkoxyalkoxy, benzyloxy, cyano or alkylcarbonyl;

R⁴ is alkyl, alkenyl or alkynyl, each of which is optionally substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio or halogen; or is hydroxy; halogen; cyano; or acyl;

m is 0 or 1;

R⁵ is alkyl, alkenyl or alkynyl, each of which is optionally substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio or halogen; or is hydroxy; halogen; cyano; or acyl;

A is a direct bond, -O-, -S-, -NR⁹-, -CHR⁷- or -O-CHR⁷-,

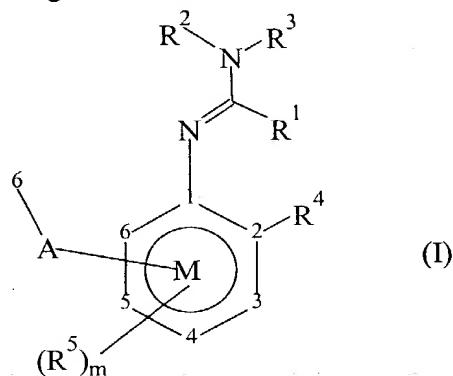
wherein, R⁹ is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, or halogen; or is hydrogen;

R⁷ is a group defined for R⁹, or is hydroxy; halogen; cyano; acyl; alkoxy; haloalkoxy or alkylthio;

A is attached to the 4 position of benzene ring M; and

R⁶ is phenyl or aromatic heterocyclyl, optionally substituted by one or more substituents, which may be the same or different, and is selected from the group consisting of hydroxy; halogen; cyano; acyl; amino; alkylamino; dialkylamino; alkyl; haloalkyl; R^aO-alkyl; acyloxyalkyl; cyano-oxyalkyl; alkoxy; haloalkoxy; alkylthio; carbocyclyl, optionally substituted by alkyl, haloalkyl, aikoxy, haloalkoxy or alkylthio; and benzyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio.

43. A compound of general formula I or a salt thereof



wherein

R^1 is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which is optionally substituted, or is hydrogen;

R^2 and R^3 , which may be the same or different, are any group defined for R^1 , or together with the nitrogen to which they are attached form a ring, which may be substituted;

R^4 is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which is optionally substituted;

m is 1;

R^5 is any group defined for R^4 attached to the 5-position of the benzene ring M;

R^6 is optionally substituted carbo- or heterocyclyl;

A is a direct bond; -O-; -S-; -NR⁹-;

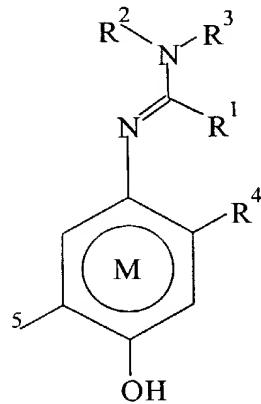
where R^9 is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl; $-CHR^7-$ or $-O-CHR^7-$, where R^7 is alkyl, alkenyl, or alkynyl, which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio; or is hydroxy; halogen; cyano; acyl; alkoxy; haloalkoxy; or alkylthio;

where $-A-R^6$ is in the 4-position of the benzene ring M and the moiety depicted on the right side of linkage A is attached to R^6 ;

or $-A-R^6$ and R^5 together with benzene ring M form an optionally substituted fused ring system.

44. A fungicidal composition comprising at least one compound as claimed in claim 43 in admixture with an agriculturally acceptable diluent or carrier.

45. A compound of general formula XIIa,



(XIIa)

where

R¹ is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which is optionally substituted, or is hydrogen;

R² and R³, which may be the same or different, are any group defined for R¹; cyano; acyl; -OR^a or -SR^a, where R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; or R² and R³, or R² and R¹, together with their interconnecting atoms may form a ring, which is optionally substituted;

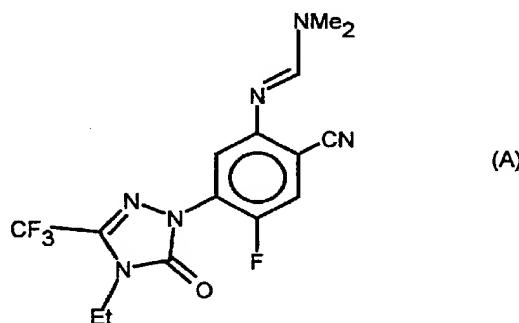
R⁴ is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which is optionally substituted; and

R⁵ is any group defined for R⁴ with the proviso that R⁵ is not tert-butyl.

N²-Phenylamidine Derivatives

This invention relates to the use of compounds as fungicides.

5 WO 95/22532 relates to substituted phenyltriazolinones claimed as herbicides and discloses *inter alia* a compound of formula A for which there is no characterising data therein.

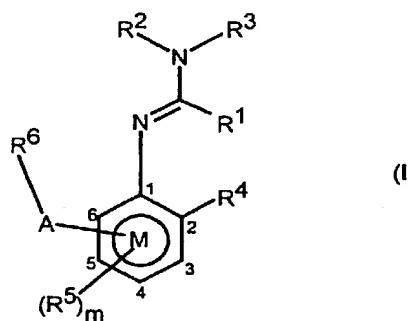


10 The abstract, composition claim and use claim refer only to the use of such compounds as herbicides and indeed the description supports the invention only with herbicidal activity data. There is a sentence in the specification that states that certain compounds show fungicidal activity, although no fungicidal activity data is provided. No indication is given as to which compounds are fungicidal and there is no suggestion that compound A could be fungicidal.

15

US 3,284,289 discloses a method for protecting plants from harmful fungi applying a phenylamidine compound.

20 We have now found that certain amidines have fungicidal activity. Therefore, the invention provides the use of a compound of general formula I and salts thereof as fungicides



wherein

R^1 is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted, or hydrogen;

R^2 and R^3 , which may be the same or different, are any group defined for R^1 ;

5 cyano; acyl; $-OR^a$ or $-SR^a$, where R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; or R^2 and R^3 , or R^2 and R^1 , together with their interconnecting atoms may form a ring, which may be substituted;

R^4 is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be

10 substituted; hydroxy; mercapto; azido; nitro; halogen; cyano; acyl;

optionally substituted amino; cyanato; thiocyanato; $-SF_5$; $-OR^a$; $-SR^a$ or $-Si(R^a)_3$;

m is 0 to 3;

when present R^5 , which may be the same or different to any other R^5 , is any

15 group defined for R^4 ;

R^6 is optionally substituted carbo- or heterocyclyl; and

A is a direct bond, $-O-$, $-S(O)_n-$, $-NR^9-$, $-CR^7=CR^7-$, $-C\equiv C-$, $-A^1-$, $-A^1-A^1-$,

$-O-(A^1)_k-O-$, $-O-(A^1)_k-$, $-A^3-$, $-A^4-$, $-A^1O-$, $-A^1S(O)_n-$, $-A^2-$, OA^2- ,

$-NR^9A^2-$, $-OA^2-A^1-$, $-OA^2-C(R^7)=C(R^8)-$, $-S(O)_nA^1-$, $-A^1-A^4-$,

$-A^1-A^4-C(R^8)=N-N=CR^8-$, $-A^1-A^4-C(R^8)=N-X^2-X^3-$, $-A^1-A^4-A^3-$,

$-A^1-A^4-N(R^9)-$, $-A^1-A^4-X-CH_2-$, $-A^1-A^4-A^1-$, $-A^1-A^4-CH_2X-$,

$-A^1-A^4-C(R^8)=N-X^2-X^3-X^1-$, $-A^1-X-C(R^8)=N-$, $-A^1-X-C(R^8)=N-N=CR^8-$,

$-A^1-X-C(R^8)=N-N(R^9)-$, $-A^1-X-A^2-X^1-$, $-A^1-O-A^3-$, $-A^1-O-C(R^7)=C(R^8)-$,

$-A^1-O-N(R^9)-A^2-N(R^9)-$, $-A^1-O-N(R^9)-A^2-$, $-A^1-N(R^9)-A^2-N(R^9)-$,

$-A^1-N(R^9)-A^2-$, $-A^1-N(R^9)-N=C(R^8)-$, $-A^3-A^1-$, $-A^4-A^3-$, $-A^2-NR^9-$,

$-A^1-A^2-X^1-$, $-A^1-A^1-A^2-X^1-$, $-O-A^2-N(R^9)-A^2-$, $-CR^7=CR^7-A^2-X^1-$,

$-C\equiv C-A^2-X^1-$, $-N=C(R^8)-A^2-X^1-$, $-C(R^8)=N-N=C(R^8)-$, $-C(R^8)=N-N(R^9)-$,

$-(CH_2)_2-O-N=C(R^8)-$ or $-X-A^2-N(R^9)-$

where

30 n is 0, 1 or 2,

k is 1 to 9,
 A^1 is $-\text{CHR}^7-$,
 A^2 is $-\text{C}(=\text{X})-$,
 A^3 is $-\text{C}(\text{R}^8)=\text{N}-\text{O}-$,
5 A^4 is $-\text{O}-\text{N}=\text{C}(\text{R}^8)-$,
 X is O or S,
 X^1 is O, S, NR^9 or a direct bond,
 X^2 is O, NR^9 or a direct bond,
 X^3 is hydrogen, $-\text{C}(=\text{O})-$, $-\text{SO}_2-$ or a direct bond,
10 R^7 , which may be the same or different to any other R^7 , is alkyl, cycloalkyl
 or phenyl, each of which may be substituted; or is hydrogen,
 halogen, cyano or acyl;
 R^8 , which may be the same or different to any other R^8 , is alkyl, alkenyl,
 alkynyl, alkoxy, alkylthio, carbo- or heterocyclyl, each of which may
15 be substituted; or is hydrogen;
 R^9 , which may be the same or different to any other R^9 , is optionally
 substituted alkyl, optionally substituted carbo- or heterocyclyl,
 hydrogen or acyl; or two R^9 groups on A, together with the
 connecting atoms, form a 5 to 7 membered ring;
20 where the moiety depicted on the right side of linkage A is attached to R^6 ;
 or $-\text{A}-\text{R}^6$ and R^5 together with benzene ring M form an optionally substituted
 fused ring system.

Preferably R^1 is alkyl, alkenyl or alkynyl, each of which may be substituted by
25 alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl (preferably
 phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio,
 each containing 1 to 5 carbon atoms, or halogen), or is hydrogen. R^1 is especially
 $\text{C}_1\text{-C}_{10}$ alkyl (e.g. methyl) or hydrogen.

30 Preferably R^2 and R^3 , which may be the same or different, are alkyl, alkenyl or
 alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio,
 halogen or optionally substituted phenyl (preferably phenyl, optionally substituted

by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio, each containing 1 to 5 carbon atoms, or by halogen), or is hydrogen, alkoxy, alkoxyalkoxy, benzyloxy, cyano or alkylcarbonyl. R² and R³, which may be the same or different, are especially C₁-C₁₀ alkyl (e.g. methyl or ethyl) or hydrogen.

5

Preferably R⁴ is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl (preferably phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio, each containing 1 to 5 carbon atoms, or halogen); or is hydroxy; halogen; cyano; acyl (preferably -C(=O)R^C, -C(=S)R^C or -S(O)_pR^C, where R^C is alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, amino, monoalkylamino, dialkylamino or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio); alkoxy; haloalkoxy; or alkylthio. R⁴ is especially C₁-C₁₀ alkyl (e.g. methyl or ethyl) or halogen.

15

Preferably m is 0 or 1, especially 1.

When present, R⁵ is preferably a group defined for preferred R⁴ above.

R⁵ is especially C₁-C₁₀ alkyl or halogen.

20

When present, the group R⁵ is preferably attached at the 5 position of ring M.

Preferably A is a direct bond, -O-, -S(O)_nA¹-, -O(A¹)_k-, -S(O)_n-, -NR⁹A²-, -A²-, -OA²-, -OA²-A¹-, -NR⁹- or -O(A¹)_kO-. Particularly A is a direct bond, -O-, -S-, -NR⁹-, -CHR⁷- or -O-CHR⁷-. Especially A is a direct bond or -O-. When present, R⁹ is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl (preferably phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio, each containing 1 to 5 carbon atoms, or halogen); or is hydrogen (R⁹ is especially C₁-C₁₀ alkyl or hydrogen). When present, R⁷ is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl (preferably phenyl optionally substituted by alkyl, haloalkyl,

alkoxy, haloalkoxy or alkylthio, each containing 1 to 5 carbon atoms, or by halogen); or is hydroxy; halogen; cyano; acyl; alkoxy; haloalkoxy; alkylthio; or hydrogen (R⁷ is especially C₁-C₁₀ alkyl or hydrogen).

5 Preferably A is attached to the 4 position of benzene ring M.

Preferably R⁶ is optionally substituted phenyl or optionally substituted aromatic heterocyclyl [preferably thiazolyl, isothiazolyl, thiadiazolyl (particularly 1,2,4-thiadiazolyl), pyridyl or pyrimidinyl].

10

When substituted, R⁶ may be substituted by one or more substituents, which may be the same or different, and may be selected from the preferred list: alkyl, alkenyl, alkynyl, carbo- or heterocyclyl, each of which may be substituted; hydroxy; mercapto; azido; nitro; halogen; cyano; acyl; optionally substituted

15 amino; cyanato; thiocyanato; -SF₅; -OR^a; -SR^a and -Si(R^a)₃, where R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted.

A preferred list of substituents on R⁶ is: hydroxy; halogen; cyano; acyl (preferably -C(=O)R^c, -C(=S)R^c or -S(O)_pR^c, where R^c is alkyl, haloalkyl, alkoxy, haloalkoxy,

20 alkylthio, amino, monalkylamino, dialkylamino or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio); amino; alkylamino; dialkylamino; alkyl; haloalkyl; R^aO-alkyl; acyloxyalkyl; cyano-oxyalkyl; alkoxy; haloalkoxy; alkylthio; carbocyclyl (preferably cyclohexyl or cyclopentyl) optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio; and benzyl optionally 25 substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio.

A particularly preferred list of substituents on R⁶ is: cyclopentyl, cyclohexyl or benzyl, optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy, or alkylthio; or is halogen; alkyl; haloalkyl; alkoxyalkyl; hydroxyalkyl; alkoxy; or alkylthio.

30

In a preferred embodiment, the invention provides the use of a compound of general formula I and salts thereof as fungicides wherein:

R^1 is alkyl, alkenyl or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl haloalkyl, alkoxy, haloalkoxy, alkylthio or halogen; or is hydrogen;

5 R^2 and R^3 , which may be the same or different, are as defined for R^1 in this embodiment, or are alkoxy, alkoxyalkoxy, benzyloxy, cyano or alkylcarbonyl;

R^4 is alkyl, alkenyl or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio or halogen; or is hydroxy; halogen; cyano; acyl (preferably $-C(=O)R^C$, $-C(=S)R^C$ or $-S(O)_pR^C$, where R^C is alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, amino, monoalkylamino, dialkylamino or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio);

m is 0 or 1;

15 when present, R^5 is a group defined for R^4 in this embodiment;

A is a direct bond, -O-, -S-, -NR⁹-, -CHR⁷- or -O-CHR⁷-.

wherein when present, R^9 is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, or halogen; or

20 is hydrogen; and R^7 is a group defined for R^9 in this embodiment, or is hydroxy; halogen; cyano; acyl; alkoxy; haloalkoxy or alkylthio;

A is attached to the 4 position of benzene ring M; and

R^6 is phenyl or aromatic heterocyclyl, optionally substituted by one or more substituents, which may be the same or different, and may be selected

25 from the list: hydroxy; halogen; cyano; acyl (preferably $-C(=O)R^C$, $-C(=S)R^C$ or $-S(O)_pR^C$, where R^C is alkyl, haloalkyl, alkoxy, haloalkoxy alkylthio, amino, monoalkylamino, dialkylamino or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio); amino;

oxyalkyl; alkoxy; haloalkoxy; alkylthio; carbocyclyl (preferably cyclohexyl or cyclopentyl) optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio; and benzyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio.

Most compounds of general formula I are novel. Therefore according to a second aspect, the invention provides compounds of formula I wherein

5 R^1 is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted, or is hydrogen;

10 R^2 and R^3 , which may be the same or different, are any group defined for R^1 , or together with the nitrogen to which they are attached may form a ring, which may be substituted;

15 R^4 is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted;

20 m is 1;

25 R^5 is any group defined for R^4 attached to the 5-position of the benzene ring M;

30 R^6 is optionally substituted carbo- or heterocyclyl; and

35 A is a direct bond; $-O-$; $-S-$; $-NR^9-$, where R^9 is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl; $-CHR^7-$ or $-O-CHR^7-$, where R^7 is alkyl, alkenyl, or alkynyl, which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio; or is hydroxy; halogen; cyano; acyl; alkoxy; haloalkoxy; or alkylthio;

40 where $-A-R^6$ is in the 4-position of the benzene ring M and the moiety depicted on the right side of linkage A is attached to R^6 ;

45 or $-A-R^6$ and R^5 together with benzene ring M form an optionally substituted fused ring system.

50 Any alkyl group may be straight or branched and is preferably of 1 to 10 carbon atoms, especially 1 to 7 and particularly 1 to 5 carbon atoms.

55 Any alkenyl or alkynyl group may be straight or branched and is preferably of 2 to 7 carbon atoms and may contain up to 3 double or triple bonds which may be conjugated, for example vinyl, allyl, butadienyl or propargyl.

60 Any carbocyclyl group may be saturated, unsaturated or aromatic, and contain 3 to 8 ring-atoms. Preferred saturated carbocyclyl groups are cyclopropyl,

cyclopentyl or cyclohexyl. Preferred unsaturated carbocyclyl groups contain up to 3 double bonds. A preferred aromatic carbocyclyl group is phenyl. The term carbocyclic should be similarly construed. In addition, the term carbocyclyl includes any fused combination of carbocyclyl groups, for example naphthyl, phenanthryl, 5 indanyl and indenyl.

Any heterocyclyl group may be saturated, unsaturated or aromatic, and contain 5 to 7 ring-atoms up to 4 of which may be hetero-atoms such as nitrogen, oxygen and sulfur. Examples of heterocyclyl groups are furyl, thienyl, pyrrolyl, pyrrolinyl, 10 pyrrolidinyl, imidazolyl, dioxolanyl, oxazolyl, thiazolyl, imidazolyl, imidazolinyl, imidazolidinyl, pyrazolyl, pyrazolinyl, pyrazolidinyl, isoxazolyl, isothiazolyl, oxadiazolyl, triazolyl, thiadiazolyl, pyranyl, pyridyl, piperidinyl, dioxanyl, morpholino, dithianyl, thiomorpholino, pyridazinyl, pyrimidinyl, pyrazinyl, piperazinyl, sulfolanyl, tetrazolyl, triazinyl, azepinyl, oxazepinyl, thiazepinyl, 15 diazepinyl and thiazolinyl. In addition, the term heterocyclyl includes fused heterocyclyl groups, for example benzimidazolyl, benzoxazolyl, imidazopyridinyl, benzoxazinyl, benzothiazinyl, oxazolopyridinyl, benzofuranyl, quinolinyl, quinazolinyl, quinoxaliny, dihydroquinazolinyl, benzothiazolyl, phthalimido, benzofuranyl, benzodiazepinyl, indolyl and isoindolyl. The term heterocyclic should 20 be similarly construed.

Any alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl group, when substituted, may be substituted by one or more substituents, which may be the same or different, and may be selected from the list: hydroxy; mercapto; azido; nitro; 25 halogen; cyano; acyl; optionally substituted amino; optionally substituted carbocyclyl; optionally substituted heterocyclyl; cyanato; thiocyanato; -SF₅; -OR^a; -SR^a and -Si(R^a)₃, where R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted. In the case of any carbocyclyl or heterocyclyl group the list includes additionally: alkyl, alkenyl and alkynyl, each of which may 30 be substituted. Preferred substituents on any alkyl, alkenyl or alkynyl group are alkoxy, haloalkoxy or alkylthio, each containing 1 to 5 carbon atoms; halogen; or optionally substituted phenyl. Preferred substituents on any carbocyclyl or heterocyclyl group are alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio, each containing 1 to 5 carbon atoms; halogen; or optionally substituted phenyl.

In the case of any alkyl group or any unsaturated ring-carbon in any carbocyclyl or heterocyclyl group the list includes a divalent group such as oxo or imino, which may be substituted by optionally substituted amino, R^a or $-OR^a$ (where R^a is as defined above). Preferred groups are oxo, imino, alkylimino, oximino, alkyloximino

5 or hydrazone.

Any amino group, when substituted and where appropriate, may be substituted by one or two substituents which may be the same or different, selected from the list: optionally substituted alkyl, optionally substituted amino, $-OR^a$ (where R^a is as defined above) and acyl groups. Alternatively two substituents together with the nitrogen to which they are attached may form a heterocyclyl group, preferably a 5 to 7-membered heterocyclyl group, which may be substituted and may contain other hetero atoms, for example morpholino, thiomorpholino or piperidinyl.

10

15 The term acyl includes the residues of sulfur and phosphorus-containing acids as well as carboxylic acids. Typically the residues are covered by the general formulae $-C(=X^a)R^b$, $-S(O)_pR^b$ and $-P(=X^a)(OR^a)(OR^a)$, where appropriate X^a is O or S, R^b is as defined for R^a , $-OR^a$, $-SR^a$, optionally substituted amino or acyl; and p is 1 or 2. Preferred groups are $-C(=O)R^c$, $-C(=S)R^c$, and $-S(O)_pR^c$ where

20 R^c is alkyl, C₁ to C₅ alkoxy, C₁ to C₅ alkylthio, phenyl, heterocyclyl or amino, each of which may be substituted.

Complexes of compounds of the invention are usually formed from a salt of formula MAn_2 , in which M is a divalent metal cation, e.g. copper, manganese, cobalt, nickel, iron or zinc and An is an anion, e.g. chloride, nitrate or sulfate.

In cases where the compounds of the invention exist as the E and Z isomers, the invention includes individual isomers as well as mixtures thereof.

30 In cases where compounds of the invention exist as tautomeric isomers, the invention includes individual tautomers as well as mixtures thereof.

In cases where the compounds of the invention exist as optical isomers, the invention includes individual isomers as well as mixtures thereof.

The compounds of the invention have activity as fungicides, especially against fungal diseases of plants, e.g. mildews and particularly cereal powdery mildew (*Erysiphe graminis*) and vine downy mildew (*Plasmopara viticola*), rice blast 5 (*Pyricularia oryzae*), cereal eyespot (*Pseudocercospora herpotrichoides*), rice sheath blight (*Pellicularia sasakii*), grey mould (*Botrytis cinerea*), damping off (*Rhizoctonia solani*), wheat brown rust (*Puccinia recondita*), late tomato or potato blight (*Phytophthora infestans*), apple scab (*Venturia inaequalis*), and glume blotch 10 (*Leptosphaeria nodorum*). Other fungi against which the compounds may be active include other powdery mildews, other rusts, and other general pathogens of Deuteromycete, Ascomycete, Phycomycete and Basidomycete origin.

The invention thus also provides a method of combating fungi at a locus infested or liable to be infested therewith, which comprises applying to the locus a 15 compound of formula I.

The invention also provides an agricultural composition comprising a compound of formula I in admixture with an agriculturally acceptable diluent or carrier.

20 The composition of the invention may of course include more than one compound of the invention.

In addition, the composition can comprise one or more additional active ingredients, for example compounds known to possess plant-growth regulant, 25 herbicidal, fungicidal, insecticidal, acaricidal, antimicrobial or antibacterial properties. Alternatively the compound of the invention can be used in sequence with the other active ingredient.

The diluent or carrier in the composition of the invention can be a solid or a liquid 30 optionally in association with a surface-active agent, for example a dispersing agent, emulsifying agent or wetting agent. Suitable surface-active agents include anionic compounds such as a carboxylate, for example a metal carboxylate of a long chain fatty acid; an *N*-acylsarcosinate; mono- or di-esters of phosphoric acid with fatty alcohol ethoxylates or alkyl phenol ethoxylates or salts of such esters; 35 fatty alcohol sulfates such as sodium dodecyl sulfate, sodium octadecyl sulfate or

sodium cetyl sulfate; ethoxylated fatty alcohol sulfates; ethoxylated alkylphenol sulfates; lignin sulfonates; petroleum sulfonates; alkyl-aryl sulfonates such as alkyl-benzene sulfonates or lower alkyl naphthalene sulfonates, e.g. butyl-naphthalene sulfonate; salts of sulfonated naphthalene-formaldehyde condensates; salts of sulfonated phenol-formaldehyde condensates; or more complex sulfonates such as the amide sulfonates, e.g. the sulfonated condensation product of oleic acid and *N*-methyl taurine; the dialkyl sulfosuccinates, e.g. the sodium sulfonate of dioctyl succinate; acid derivatives of alkyl glycosides and alkylpolyglycosides materials and their metal salts, e.g. alkyl polyglycoside citrate or tartrate materials; or mono-, di- and tri-alkyl esters of citric acid and their metal salts.

Nonionic agents include condensation products of fatty acid esters, fatty alcohols, fatty acid amides or fatty-alkyl- or alkenyl-substituted phenols with ethylene and/or propylene oxide; fatty esters of polyhydric alcohol ethers, e.g. sorbitan fatty acid esters; condensation products of such esters with ethylene oxide, e.g. polyoxyethylene sorbitan fatty acid esters; alkyl glycosides, alkyl polyglycoside materials; block copolymers of ethylene oxide and propylene oxide; acetylenic glycols such as 2,4,7,9-tetramethyl-5-decyne-4,7-diol, ethoxylated acetylenic glycols; acrylic based graft copolymers; alkoxylated siloxane surfactants; or imidazoline type surfactants, e.g. 1-hydroxyethyl-2-alkylimidazoline.

Examples of a cationic surface-active agent include, for instance, an aliphatic mono-, di-, or polyamine as an acetate, naphthenate or oleate; an oxygen-containing amine such as an amine oxide, polyoxyethylene alkylamine or polyoxypropylene alkylamine; an amide-linked amine prepared by the condensation of a carboxylic acid with a di- or polyamine; or a quaternary ammonium salt.

The compositions of the invention can take any form known in the art for the formulation of agrochemicals, for example, a solution, an aerosol, a dispersion, an aqueous emulsion, a microemulsion, a dispersible concentrate, a dusting powder, a seed dressing, a fumigant, a smoke, a dispersible powder, an emulsifiable concentrate, granules or an impregnated strip. Moreover it can be in a suitable form for direct application or as a concentrate or primary composition which requires dilution with a suitable quantity of water or other diluent before

application.

5 A dispersible concentrate comprises a compound of the invention dissolved in one or more water miscible or semi-water miscible solvents together with one or more surface active and/or polymeric material. Addition of the formulation to water results in the crystallisation of the active ingredient, the process being controlled by the surfactants and/or polymers resulting in a fine dispersion.

10 A dusting powder comprises a compound of the invention intimately mixed and ground with a solid pulverulent diluent, for example, kaolin.

15 An emulsifiable concentrate comprises a compound of the invention dissolved in a water-immiscible solvent which forms an emulsion or microemulsion on addition to water in the presence of an emulsifying agent.

20 A granular solid comprises a compound of the invention associated with similar diluents to those that may be employed in dusting powders, but the mixture is granulated by known methods. Alternatively it comprises the active ingredient absorbed or coated on a pre-formed granular carrier, for example, Fuller's earth, attapulgite, silica or limestone grit.

25 Wettable powders, granules or grains usually comprise the active ingredient in admixture with suitable surfactants and an inert powder diluent such as clay or diatomaceous earth.

30 Another suitable concentrate is a flowable suspension concentrate which is formed by grinding the compound with water or other liquid, surfactants and a suspending agent.

35 The concentration of the active ingredient in the composition of the present invention, as applied to plants is preferably within the range of 0.0001 to 1.0 per cent by weight, especially 0.0001 to 0.01 per cent by weight. In a primary composition, the amount of active ingredient can vary widely and can be, for example, from 5 to 95 per cent by weight of the composition.

In use a compound of the invention is generally applied to seeds, plants or their habitat. Thus, the compound can be applied directly to the soil before, at or after drilling so that the presence of active compound in the soil can control the growth of fungi which may attack seeds. When the soil is treated directly the active 5 compound can be applied in any manner which allows it to be intimately mixed with the soil such as by spraying, by broadcasting a solid form of granules, or by applying the active ingredient at the same time as drilling by inserting it in the same drill as the seeds. A suitable application rate is within the range of from 5 to 1000 g per hectare, more preferably from 10 to 500 g per hectare.

10

Alternatively the active compound can be applied directly to the plant by, for example, spraying or dusting either at the time when the fungus has begun to appear on the plant or before the appearance of fungus as a protective measure. In both such cases the preferred mode of application is by foliar spraying. It is 15 generally important to obtain good control of fungi in the early stages of plant growth, as this is the time when the plant can be most severely damaged. The spray or dust can conveniently contain a pre- or post-emergence herbicide if this is thought necessary. Sometimes, it is practicable to treat the roots, bulbs, tubers or other vegetative propagule of a plant before or during planting, for example, by 20 dipping the roots in a suitable liquid or solid composition. When the active compound is applied directly to the plant a suitable rate of application is from 0.025 to 5 kg per hectare, preferably from 0.05 to 1 kg per hectare.

In addition, the compounds of the invention can be applied to harvested fruits, 25 vegetables or seeds to prevent infection during storage.

In addition, the compounds of the invention can be applied to plants or parts thereof which have been genetically modified to exhibit a trait such as fungal and/or herbicidal resistance.

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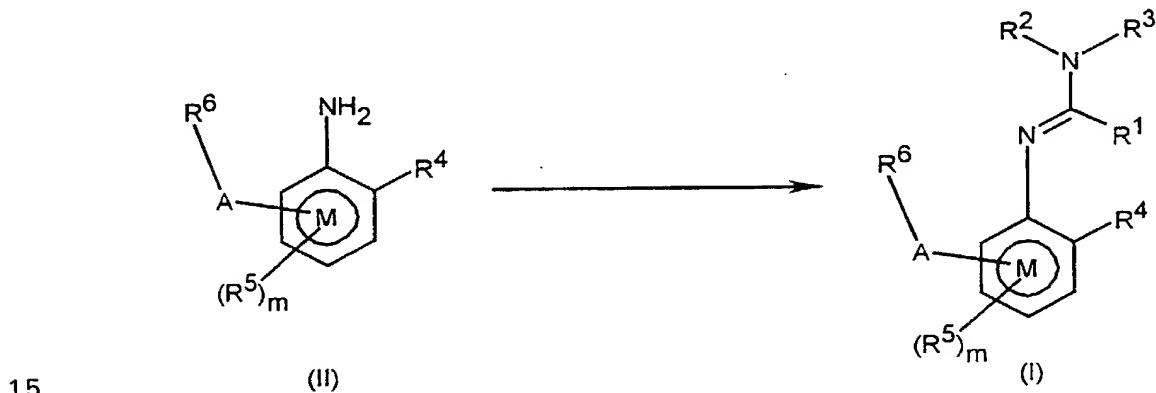
In addition the compounds of the invention can be used to treat fungal infestations in timber and in public health applications. Also the compounds of the invention can be used to treat fungal infestations in domestic and farm animals.

Compounds of the invention may be prepared, in known manner, in a variety of ways.

5 Compounds of general formula I may be prepared from compounds of general formula II according to Scheme 1. The following reaction conditions may be used to effect conversion:

- a) reaction with $R^2R^3NC(R^1)(OR)_2$, where R is a group such as alkyl;
- b) reaction with $ROC(R^1)=NCN$;
- c) when R^1 is hydrogen, by reaction with $H(C=O)NR^2R^3$ in the presence of $POCl_3$ or $SOCl_2$; or
- d) in two steps by reaction with phosgene to form the isocyanate and then treatment with $R^2R^3N(C=O)R^1$.

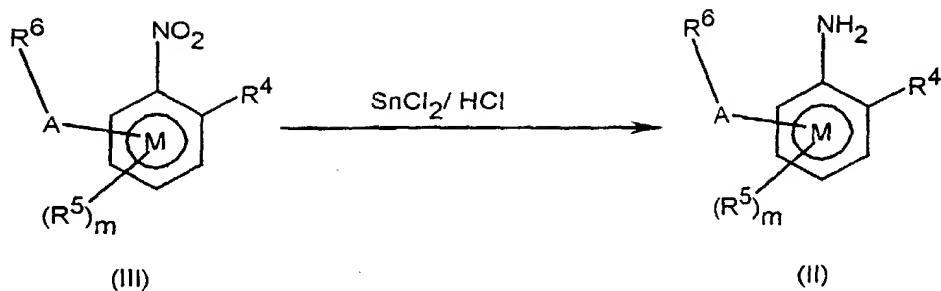
Scheme 1



In addition, groups R^2 and R^3 in compounds of general formula I can be converted to other groups defined for R^2 and R^3 , by treatment with an appropriate amine or by acylation or alkylation when R^2 or R^3 is hydrogen.

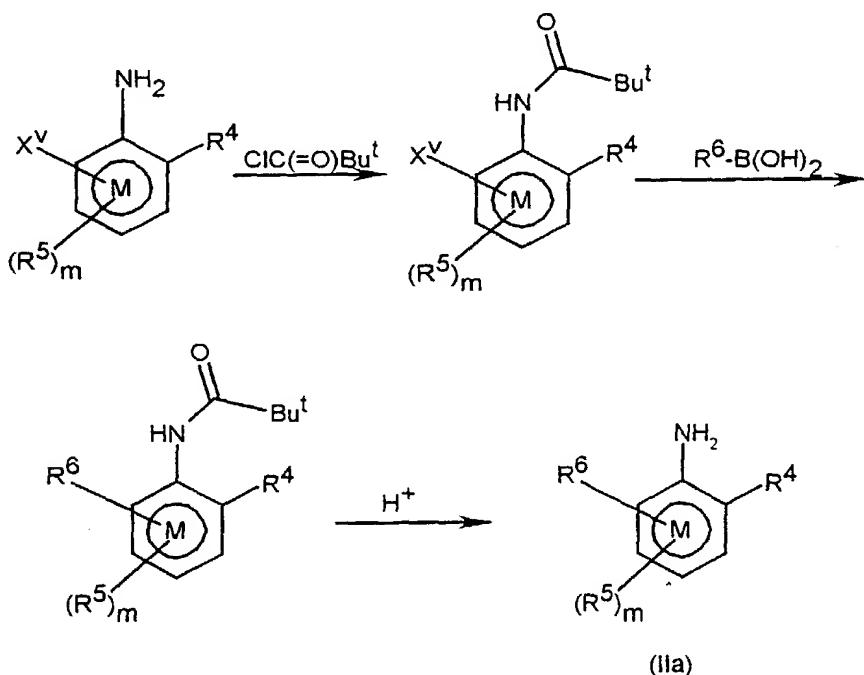
20 Compounds of general formula II may be prepared by reduction of the nitro group in compounds of formula III according to reaction scheme 2. Preferred reaction conditions comprise reaction with stannous chloride in concentrated hydrochloric acid.

Scheme 2



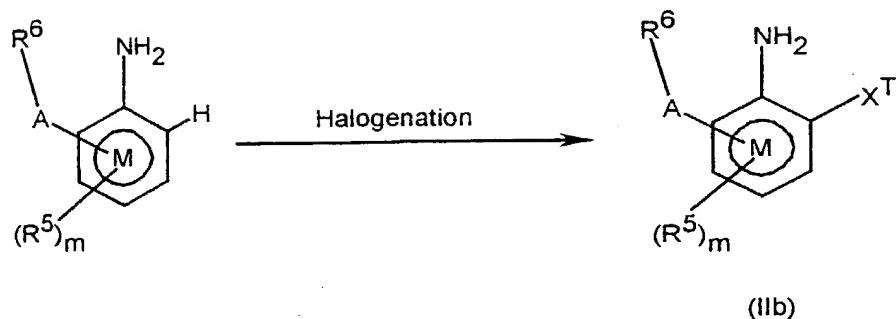
Compounds of formula IIa, i.e. compounds of general formula II where A is a direct bond, may be prepared according to reaction scheme 3, where X^V is a leaving group.

Scheme 3



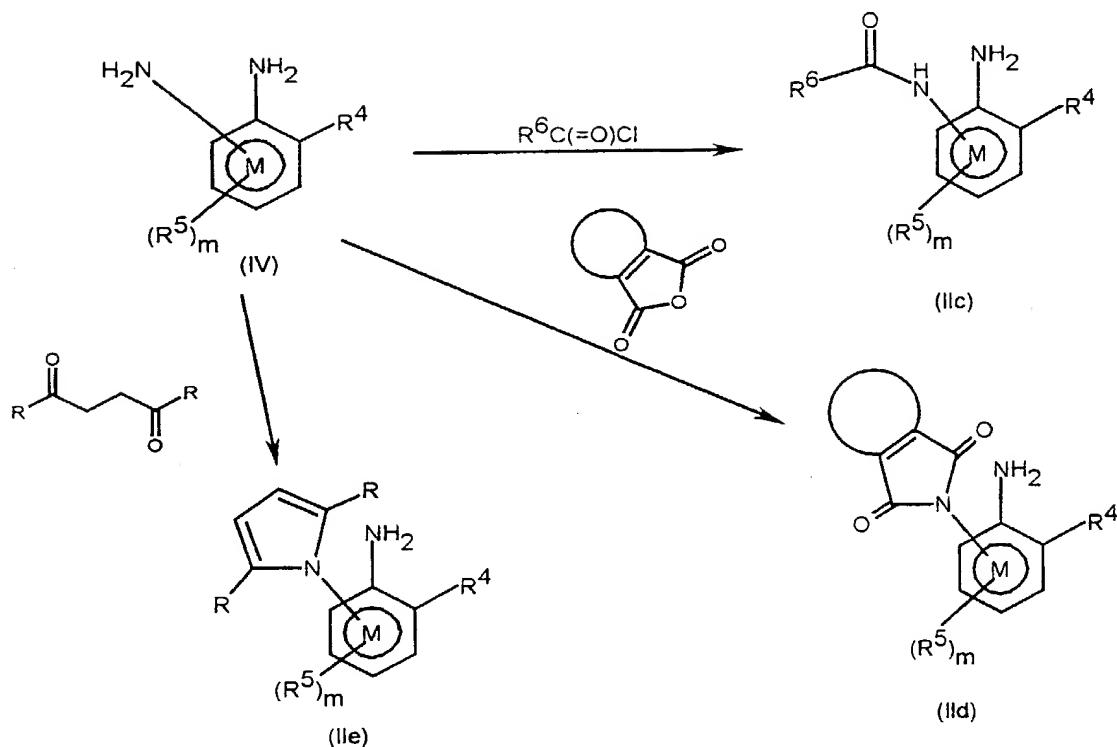
Compounds of formula IIb, i.e. compounds of general formula II where R^4 is halogen, may be prepared according to scheme 4 where X^T represents halogen. When R^4 is bromine preferred reaction conditions comprise stirring with bromine in a suitable solvent.

Scheme 4



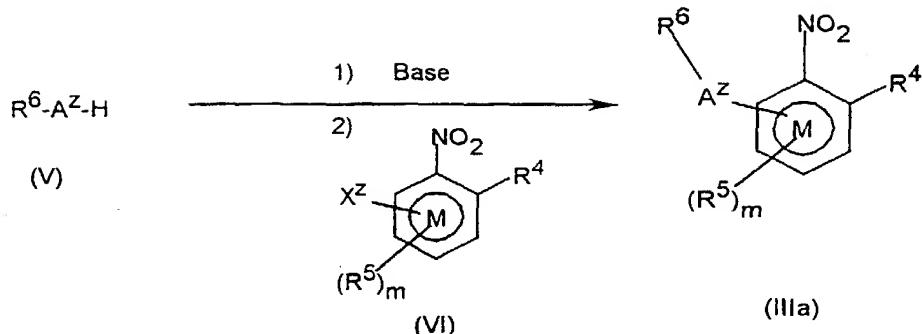
Compounds of formula IIc, i.e. compounds of general formula II where A is $\text{NHC}(=\text{O})\text{-}$; compounds of formula IIId, i.e. compounds of formula II where A is a direct bond and R^6 is optionally substituted phthalimido, where the curved line connecting the 3 and 4 positions of the phthalimido group represents the optionally substituted carbocyclic ring; and compounds of formula IIe, i.e. compounds of general formula II where A is a direct bond and R^6 is pyrrolyl, optionally substituted at the 2 and 5 positions by one or more groups R which may be the same or different; may be prepared from compounds of formula IV according to methodology shown in reaction scheme 5. For certain compounds of formula IV, protection/deprotection of the amino group ortho to R^4 may be required to improve yields.

Scheme 5



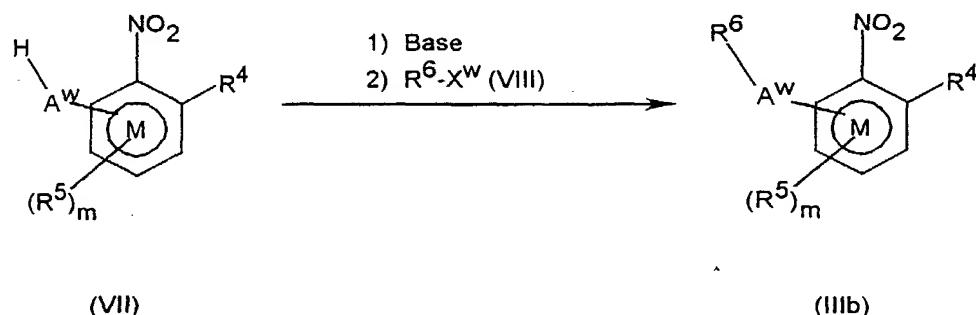
Compounds of formula IIIa, i.e. compounds of general formula III where A is a group A^Z , may be prepared by reacting compounds of formula V with compounds of formula VI according to reaction scheme 6. A^Z is a group which, in compound V, forms an anion under basic conditions. A^Z is alternatively a basic primary or secondary nitrogen atom. X^Z is a leaving group, preferably halogen. When A^Z is oxygen, preferred reaction conditions comprise treating V with sodium hydride followed by addition of VI. When A^Z is sulfur preferred reaction conditions comprise reacting V with VI in the presence of a tertiary amine base such as ethyldiisopropylamine. When A^Z is $-\text{CHR}^7-$, preferred reaction conditions comprise treating V with potassium *tert*-butoxide in dimethylformamide at low temperature. When A^Z is a basic nitrogen atom, no base is required.

Scheme 6



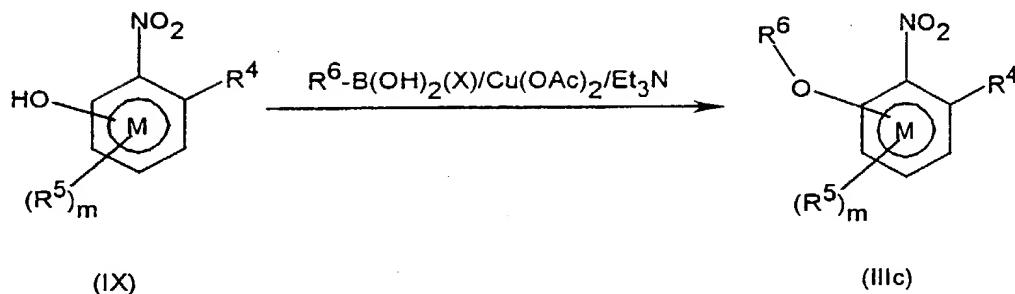
Compounds of formula IIIb, i.e. compounds of general formula III where A is a group A^W , may be prepared by reacting compounds of formula VII with compounds of formula VIII according to reaction scheme 7. A^W is a group which, in compound VII, forms an anion under basic conditions. X^W is a leaving group, preferably halogen. Preferred basic conditions comprise reaction of VII with potassium carbonate or sodium hydride followed by addition of VIII.

10 Scheme 7



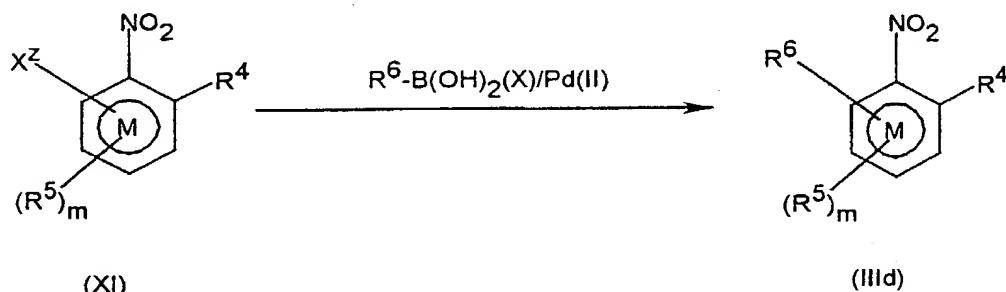
Compounds of formula IIIc, i.e. compounds of general formula III where A is O, may be prepared by reacting compounds of formula IX with boronic acids of formula X according to Scheme 8. Preferred reaction conditions comprise reaction with copper acetate and triethylamine.

Scheme 8



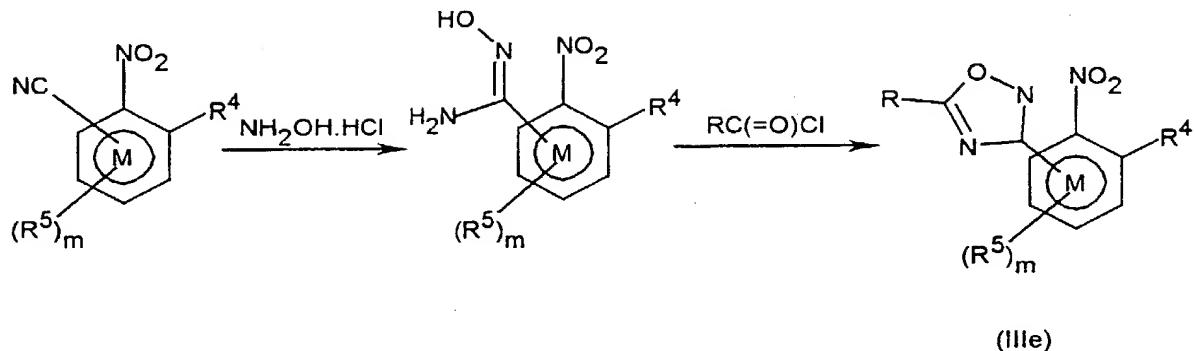
Compounds of formula IIId, i.e. compounds of formula III where A is a direct bond
 5 may be prepared according to reaction scheme 9 from compounds of formula XI
 where X^2 is a leaving group, preferably halogen.

Scheme 9

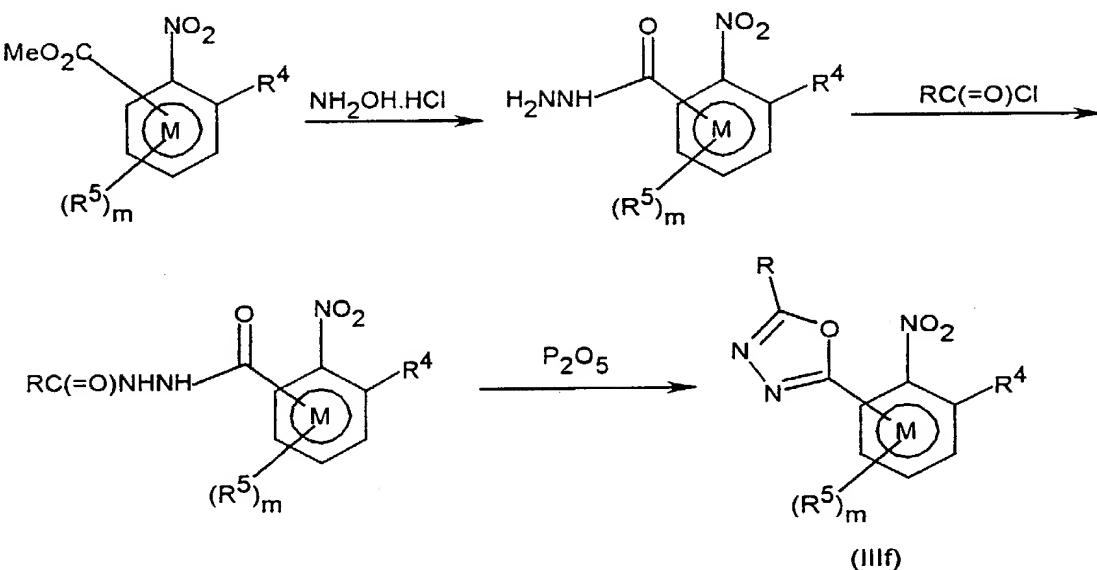


10 Compounds of formula III where A is a direct bond and R⁶ is a heterocyclyl can be prepared using a variety of methods known to a skilled chemist (for example see "Comprehensive Heterocyclic Chemistry", Vols 1-7, A. R. Katritzky and C. W. Rees). By way of example, routes to compounds of formula III containing a 1,2,4-oxadiazol-3-yl group (compound IIIe) and a 1,3,4-oxadiazol-2-yl group (compound IIIf) are shown in schemes 10 and 11.

Scheme 10



Scheme 11

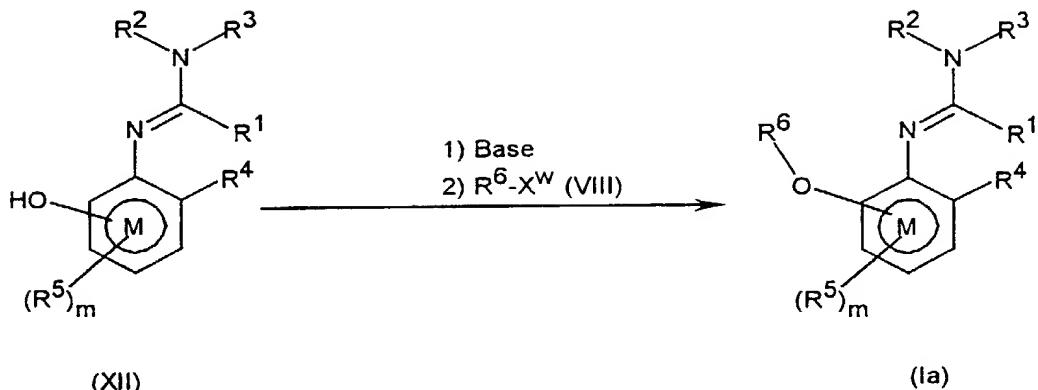


5

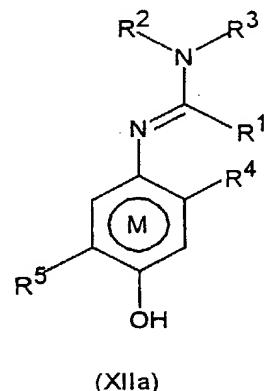
Alternatively, using similar chemistry to that described above, compounds of formula I can be prepared by introducing R⁶ after formation of the amidine moiety.

10 In particular, we have found that treating compounds of formula XII to the reaction conditions of Scheme 7 gives compounds of formula Ia, i.e. compounds of general formula I where A is oxygen, in particularly high yield (see Scheme 12). Compounds of formula XII may be prepared by methods similar to those described in *Tetrahedron Letters*, 38 (31) 5403-5406.

Scheme 12



Some compounds of general formula XII are novel, therefore according to a third aspect the invention provides compounds of general formula XIIa,



where

R^1 is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted, or is hydrogen;

10 R^2 and R^3 , which may be the same or different, are any group defined for R^1 ; cyano; acyl; $-OR^a$ or $-SR^a$, where R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; or R^2 and R^3 , or R^2 and R^1 , together with their interconnecting atoms may form a ring, which may be substituted;

15. R^4 is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; and

R^5 is any group defined for R^4 ;

with the proviso that R^5 is not *tert*-butyl.

Other methods will be apparent to the chemist skilled in the art, as will be methods for preparing starting materials and intermediates.

In addition, compounds of the invention may be prepared using combinatorial
5 chemistry methodology.

The invention is illustrated in the following Examples. Structures of isolated, novel compounds were confirmed by N.M.R. and/or other appropriate analyses. Proton N.M.R. spectra (¹H N.M.R.) were determined in deuteriochloroform and chemical shifts (δ) are quoted in parts per million downfield of tetramethylsilane.
10

Example 1

N,N-Dimethyl-*N'*-[4-(3-trifluoromethylbenzylthio)-2,5-xylyl]formamidine

(Compound 3)

15 The product from stage b) (1.0 g) and *N,N*-dimethylformamide dimethylacetal (1.0 ml) were heated at 100 °C for 4 hours. On cooling the mixture was purified by silica gel chromatography eluting with diethyl ether to give the title compound, ¹H N.M.R. δ (ppm) 2.15 (s, 3H, ArCH₃), 2.20 (s, 3H, ArCH₃), 3.00 (s, 6H, N(CH₃)₂), 3.95 (s, 2H, SCH₂).

20

Preparation of Starting Materials

a) 2-Nitro-5-(3-trifluoromethylbenzylthio)-*p*-xylene

25 A mixture of 3-trifluoromethylbenzyl mercaptan (3.42 g), diisopropylethylamine (2.3 g) and 3-chloro-6-nitro-*p*-xylene (3.0 g) in dry *N*-methylpyrrolidinone (20 ml) was heated at 130°C for 6 hours. On cooling, the mixture was poured into ice-water and the resulting mixture was filtered to give a solid which was washed with ice-water and then air dried. The solid was purified by silica gel chromatography eluting with light petroleum (60-80°C)/ethyl acetate (9:1) to give the title product as a solid, 30 m.p. 85-7°C.

b) 4-(3-Trifluoromethylbenzylthio)-2,5-xylidine

To a stirred mixture of stannous chloride (10.8 g) in concentrated hydrochloric acid (24 ml) and ethanol (50 ml) was added the product from

stage a) above (2.46 g) and the mixture was heated at 75 °C for 2 hours. On cooling potassium hydroxide solution was added slowly with cooling. The mixture was extracted with diethyl ether (x3) and the combined extracts were washed with brine, dried (MgSO₄), filtered and evaporated to dryness to give a crude residue which was purified by silica gel chromatography eluting with light petroleum (b.p.60-80 °C)/ethyl acetate (3:1) to give the title product, m.p. 58-60 °C.

Example 2

10 N,N-Diethyl-N'-[4-(3-trifluoromethylphenoxy)-2,5-xylyl]formamidine
(Compound 37)

Under an atmosphere of nitrogen, phosphorous oxychloride (2.18 g) in dry diethyl ether (3 ml) was added dropwise to a stirred solution of *N,N*-diethylformamide (1.43 g) in dry diethyl ether (3 ml) and stirring continued for 20 minutes. Stirring 15 was stopped and the mixture allowed to form two layers. The upper ether layer was removed by decanting, and the lower layer was washed with diethyl ether (x3). The product from stage b) (2 g) in dry diethyl ether (4 ml) was then added dropwise. After addition the mixture was stirred vigorously for 1 hour at room temperature. The upper ether layer was removed by decanting and the lower layer 20 was washed with ether (x2). The lower layer was poured into water and the mixture adjusted to pH 9 with sodium carbonate solution. The mixture was extracted with diethyl ether (x3) and the combined extracts were dried (MgSO₄), filtered and evaporated to dryness to give a crude oil which was purified by silica gel chromatography eluting with diethyl ether to give the title compound, ¹H N.M.R. δ(ppm) 1.20 (t, 6H, CH₂CH₃), 2.10 (s, 3H, ArCH₃), 2.20 (s, 3H, ArCH₃), 25 3.30-3.50 (br, 4H, CH₂CH₃).

Preparation of Starting materials

a) 2-Nitro-5-(3-trifluoromethylphenoxy)-*p*-xylene

30 To a suspension of sodium hydride (0.4 g of 60% in oil) in dry *N*-methylpyrrolidinone (10 ml) was slowly added 3-trifluoromethylphenol (1.62 g). When effervescence had ceased, 3-chloro-6-nitro-*p*-xylene (1.85 g) was added and the mixture stirred at 120-40 °C for 5 hours. On cooling, the mixture was poured into water and the mixture extracted with diethyl ether

(x3). The combined ether extracts were dried ($MgSO_4$), filtered and evaporated to give the title compound as a solid, m.p. 68-71 °C.

b) 4-(3-Trifluoromethylphenoxy)-2,5-xylidine

5 This compound was prepared in similar fashion to the product from Example 1, stage b).

Example 3

N-Ethyl-N-methyl-N'-[4-(3-trifluoromethylphenoxy)-2,5-xylyl]formamidine

10 (Compound 45)

A mixture of the product from Example 4 (1 g) and methylethylamine (0.885 g) in acetonitrile (20 ml) was stirred at room temperature for 1.5 hours. The solvent was removed *in vacuo* and water added. The mixture was extracted with diethyl ether (x3) and the combined ether extracts dried ($MgSO_4$), filtered and evaporated to dryness. The crude residue was purified by silica gel chromatography eluting with ethyl acetate/light petroleum (b.p. 40-60 °C) (4:6) to give the title compound, 1H N.M.R. δ (ppm) 1.20 (t, 3H, CH_2CH_3), 2.10 (s, 3H, $ArCH_3$), 2.20 (s, 3H, $ArCH_3$), 3.00 (s, 3H, NCH_3), 3.40 (br, 2H, NCH_2).

20 Example 4

N-Cyano-N'-[4-(3-trifluoromethylphenoxy)-2,5-xylyl]formamidine

(Compound 44)

To a solution of the product from Example 2 stage b) (2 g) in ethanol (5 ml) was added dropwise ethyl cyanoimide (0.7 g) at room temperature and stirring continued for 2 hours at room temperature. The ethanol was removed *in vacuo* to give a crude residue which was purified by trituration with light petroleum (b.p. 40-60 °C) followed by silica gel chromatography eluting with ethyl acetate/light petroleum (b.p. 40-60 °C) (4:6) to give the title product, m.p. 138-40 °C.

30 Example 5

N,N-Dimethyl-N'-[4-(3-phenyl-1,2,4-thiadiazol-5-yloxy)-2,5-xylyl]formamidine

(Compound 48)

To a suspension of the starting material (see below) (0.57 g) in dimethylformamide (10 ml) was added potassium carbonate (0.62 g) and the solution stirred at room

temperature for 40 minutes. 5-Bromo-3-phenyl-1,2,4-thiadiazole (0.72 g) was added and the mixture stirred at 60 °C for 3 hours. On cooling the mixture was poured into water (150 ml) and extracted with diethyl ether (3x70 ml). The combined ether extracts were washed with water (20 ml), dried (MgSO₄), filtered and evaporated to dryness to give a crude solid which was purified by silica gel chromatography eluting with diethyl ether to give the title compound as a solid, m.p. 100-5 °C.

Preparation of Starting Materials

10 N,N-Dimethyl-N'-(4-hydroxy-2,5-xylyl)formamidine

This compound was prepared from 4-amino-2,5-dimethylphenol in similar fashion to Examples 1, 2 or 3, m.p. 212 °C.

Example 6

15 N,N-Dimethyl-N'-[4-(3-trifluoromethylphenoxy)-2,6-xylyl]formamidine
(Compound 20)

This compound was prepared from the product of stage b) below and dimethylformamide dimethylacetal according to the method of Example 1, ¹H N.M.R. δ(ppm) 2.15 (s, 6H, ArCH₃), 3.00 (s, 6H, N(CH₃)₂).

20

Preparation of starting materials

a) 2-Nitro-5-(3-trifluoromethylphenoxy)-m-xylene

A mixture of 3,5-dimethyl-4-nitrophenol (1.67 g), 3-trifluoromethylbenzene boronic acid (3.8 g), copper (II) acetate (1.82 g) and triethylamine (2.02 g) in dichloromethane (50 ml) was stirred at room temperature for 48 hours. The mixture was evaporated to dryness and purified by silica gel chromatography eluting with light petroleum (b.p. 60-80 °C)/ethyl acetate (19:1) to give the title product as an oil.

30 b) 4-(3-Trifluoromethylphenoxy)-2,6-xylidine

This compound was prepared from the product of stage a) above according to the method of Example 1, stage b).

Example 7*N,N-Dimethyl-N'-(6-bromo-4-(3-trifluoromethylphenoxy)-2,5-xylyl)formamidine*(Compound 12)

The title product was prepared from the product of stage c) below and
5 dimethylformamide dimethylacetal according to Example 1, ^1H N.M.R. δ (ppm)
2.17 (s, 3H, ArCH_3), 2.22 (s, 3H, ArCH_3), 3.05 (s, 6H, $\text{N}(\text{CH}_3)_2$).

Preparation of Starting Materialsa) 2-Nitro-5-(3-trifluoromethylphenoxy)-p-xylene

10 The title product was prepared from 2,5-dimethyl-4-nitrophenol and 3-trifluoromethylbenzene boronic acid according to Example 6, stage a).

b) 4-(3-Trifluoromethylphenoxy)-2,5-xylidine

15 The title product was prepared from the product of stage a) according to Example 1, stage b).

c) 6-Bromo-4-(3-trifluoromethylphenoxy)-2,5-xylidine

20 To a stirred solution of the product from stage b) above (1.12 g) in dichloromethane (20 ml) was added dropwise bromine (0.64 g) in dichloromethane (5 ml) at 0 °C. The mixture was washed with sodium bicarbonate solution, dried (MgSO_4), filtered and evaporated to give a crude oil which was purified by silica gel chromatography eluting with ethyl acetate/ light petroleum (b.p. 60-80 °C) (1:4) to give the title product.

25 Example 8*N,N-Dimethyl-N'-(4-(3-trifluoromethylphenyl)-2,5-xylyl)formamidine*(Compound 53)

The title product was prepared from the product of stage c) below and dimethylformamide dimethylacetal according to Example 1, ^1H N.M.R. δ (ppm)
30 2.00 (s, 3H, ArCH_3), 2.20 (s, 3H, ArCH_3), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$).

Preparation of Starting Materials

a) *N*-(4-Bromo-2,5-xylyl)pivalamide

To a solution of 4-bromo-2,5-xylidine (8 g) in pyridine (60 ml) was added 5 pivaloyl chloride (4.7 ml) at room temperature. After 30 minutes, the mixture was poured into dilute hydrochloric acid/ice solution. The precipitate was filtered and washed with water to give the title product.

b) *N*-(4-(3-Trifluoromethylphenyl)-2,5-xylyl)pivalamide

10 To a solution of the product of stage a) (9.1 g) in dimethoxyethane (14 ml) was added triphenylphosphinepalladium (II) chloride (catalytic amount) and stirred for 10 minutes. 3-Trifluoromethylphenylboronic acid (6.03 g), sodium bicarbonate (8.1 g) and water (102 ml) were added and the mixture heated under reflux for 4 hours. On cooling 1N sodium hydroxide solution (94 ml) was added the mixture extracted with ethyl acetate. The organic extracts were washed with saturated sodium chloride solution, dried (MgSO_4) and concentrated to give the title product.

15

c) 4-(3-Trifluoromethylphenyl)-2,5-xylidine

20 The product from stage b) (10.4 g) in glacial acetic acid (36 ml) was
treated with hydrochloric acid (24.5 ml of 15% solution) at 70 °C. The
mixture was stirred for 3 days at 100 °C. On cooling, water was added and
the mixture extracted with ethyl acetate. The organic phase was washed
with sodium bicarbonate solution, dried (MgSO_4) and concentrated to give
25 the title product.

Example 9

N,N-Dimethyl-*N'*-[4-(3-trifluoromethylbenzyl)-2,5-xylyl]formamidine
(Compound 264)

30 The title compound was prepared from the product of stage d) below in similar fashion to Example 1, m.p. 75-7 °C.

Preparation of Starting Materialsa) 2-Nitro-5-(α -cyano-3-trifluoromethylbenzyl)-*p*-xylene

The title product was prepared from 2-chloro-5-nitro-*p*-xylene and 3-trifluoromethylbenzyl cyanide according to the methodology in J. 5 Med.Chem., 40, 3942 (1997).

b) 2-Nitro-5-(3-trifluoromethylbenzoyl)-*p*-xylene

Potassium *tert*-butoxide (1.12 g) was added to a solution of the product 10 from stage a) (3.3 g) in dry dimethylformamide (30 ml) at 0 °C and stirred at 0 °C for 5 minutes. Hydrogen peroxide (3.5 ml, 30%) was added dropwise and stirring continued for 6 hours at approximately 3 °C. The 15 reaction mixture was allowed to stand at room temperature for 2 days. The reaction mixture was poured into dilute hydrochloric acid (500 ml), and sodium metabisulfite was added. The mixture was extracted with diethyl ether (2x200 ml), washed with water (2x20 ml), dried (MgSO₄) and concentrated to give a crude product. Trituration from light petroleum (b.p. 40-60 °C) gave a solid which was recrystallised from diisopropyl ether to give the title product.

20 c) 2-Nitro-5-(3-trifluoromethylbenzyl)-*p*-xylene

To a solution of the product of stage b) (1 g) in dichloromethane (20 ml) at 0 °C was added a solution of trifluoromethane sulfonic acid (0.6 ml) in dichloromethane (10 ml). Triethylsilane (0.8 ml) in dichloromethane (10 ml) was added and stirred at 0 °C for 10 minutes. A further portion of 25 trifluoromethane sulfonic acid (0.6 ml) was added followed by a further portion of triethylsilane (0.8 ml). The mixture was allowed to warm to room temperature and stirred for 1 hour. The mixture was poured into saturated sodium bicarbonate solution (100 ml) and the inorganic solid filtered. The 30 layers were separated and the aqueous layer extracted with dichloromethane (2x50 ml). The combined organic layers were evaporated and recrystallised to give a solid, m.p. 75-7 °C.

d) 4-(3-Trifluoromethylbenzyl)-2,5-xylidine

The title compound was prepared from the product of stage c) according to 35 Example 1 stage b).

Example 10*N,N*-Dimethyl-*N'*-(4-(4-fluorobenzamido)-2,5-xylyl)formamidine(Compound 98)

5 The title compound was prepared from the starting material in similar fashion to Example 1, m.p. 166-8 °C.

Preparation of Starting Materials*N*-(4-Amino-2,5-xylyl)-4-fluorobenzamide

10 To a solution of p-xylylenediamine (3.0 g) and ethyldiisopropylamine (3.8 ml) in dichloromethane (300 ml) at 0 °C, was added dropwise 4-fluorobenzoyl chloride (3.5 g). The mixture was warmed to room temperature and stirring continued for 4 hours. Water (200 ml) was added and the mixture was stirred for 30 minutes. The mixture was filtered, washing through with water and dichloromethane. The 15 organic phase was washed with water, dried (MgSO₄) and evaporated to give a solid, m.p. 174-6 °C.

Example 11*N,N*-Dimethyl-*N'*-(4-phthalimido-2,5-xylyl)formamidine(Compound 80)

The title compound was prepared from the starting material in similar fashion to Example 1, m.p. 170-3 °C.

Preparation of Starting Materials*N*-(4-Amino-2,5-xylyl)phthalimide

To a solution of p-xylylenediamine (1.0 g) in *N*-methylpyrrolidinone was added phthalic anhydride (1.1 g) in *N*-methylpyrrolidinone (10 ml). The mixture was heated at 150 °C for 4 hours. On cooling, the mixture was poured into water and then filtered. The cake was washed with water and dried, m.p. 167-9 °C.

30

Example 12*N,N*-Dimethyl-*N'*-(4-(2,5-dimethyl-1-pyrrolyl)-2,5-xylyl)formamidine(Compound 79)

The title compound was prepared from stage c) below in similar fashion to

35 Example 1, m.p. 90-1 °C.

Preparation of Starting Materialsa) N-(4-Amino-2,5-xylyl)acetamide

To a solution of p-xylenediamine (2.0 g) in dichloromethane (200 ml) maintained below 10 °C was added *N,N*-diisopropylamine (2.52 ml) followed by the dropwise addition of acetylchloride (1.15 g). The mixture was allowed to attain room temperature and stirred overnight. Water (120 ml) was added and the suspension filtered. The filtered solid was washed with dichloromethane (2 x100 ml) and water (100 ml). All filtrates were combined and the organic layer separated. The organic layer was washed with water, dried (MgSO_4) and evaporated to give the title product as a solid, m.p. 133-5 °C.

b) N-[4-(2,5-Dimethyl-1-pyrrolyl)-2,5-xylyl]acetamide

The product from stage a) 1.0 g) was added to acetylacetone (0.7 ml) and the mixture heated at 140 °C for 4 hours. On cooling, the mixture was dissolved in dichloromethane and the solution filtered through (MgSO_4). Concentration gave a crude product which was purified by silica gel chromatography eluting with diethyl ether, to give the title product.

c) 2,5-Dimethyl-4-(2,5-dimethyl-1-pyrrolyl)aniline

A mixture of the product from stage b) (0.6 g), aqueous sodium hydroxide solution (10 ml, 10%) and ethanol (30 ml) was heated under reflux for 24 hours. On cooling, the mixture was extracted with diethyl ether (x2). The combined diethyl ether extracts were water washed, dried (MgSO_4) and concentrated to give a crude product. Filtration through silica gave the title product.

Example 1330 *N,N*-Dimethyl-*N'*-[4-(2-benzo[b]thiophenyl)-2,5-xylyl]formamidine
(Compound 187)

The title compound was prepared from stage b) below in similar fashion to Example 1, m.p. 67-8 °C.

Preparation of Starting Materialsa) 2-(4-Nitro-2,5-xylyl)benzo[b]thiophene

A mixture of 2-bromo-5-nitro-p-xylene (200 g), 2-benzo[b]thiopheneboronic acid (200 g), $(\text{Ph}_3\text{P})_4\text{Pd}$ (0.36 g) in toluene (60 ml) and ethanol (22 ml) was heated to reflux overnight. Ethyl acetate and water were added and the organic phase was separated. The organic phase was washed with water, dried (MgSO_4) and filtered through a pad of silica to give the title product.

10 b) 2,5-Dimethyl-4-(2-benzo[b]thiophenyl)aniline

The title compound was prepared from the product of stage a) above according to Example 1 stage b).

Example 1415 *N,N*-Dimethyl-*N'*{4-[5-(4-chlorophenyl)-1,2,4-oxadiazol-3-yl]-2-tolyl}formamidine (Compound 179)

The title compound was prepared from the product of stage c) below according to Example 1, m.p. 136-7 °C.

20 Preparation of Starting Materialsa) 3-Methyl-4-nitrobenzamide oxime

To a solution of 3-methyl-4-nitrobenzonitrile (5 g) in ethanol (100 ml) at room temperature was added hydroxylamine hydrochloride (2.25 g) followed by triethylamine (4.5 ml). The mixture was heated under reflux for 2.5 hours. On cooling the mixture was evaporated to a third of its original volume and poured on to water (200 ml). The mixture was filtered to give the title product as a solid, m.p. 127-9 °C.

b) 5-(4-Chlorophenyl)-3-(3-methyl-4-nitrophenyl)-1,2,4-oxadiazole

To a solution of the product from stage a) (1.9 g) and triethylamine (1.62 ml) in dichloromethane (50 ml) at room temperature was added 4-chlorobenzoyl chloride (2.05 g). The mixture was stirred at room temperature for 2 hours and then washed with water. Toluene (100 ml) was added and the mixture was heated under Dean and Stark conditions for 5 hours. On cooling the mixture was filtered and concentrated.

Trituration with diisopropylamine and light petroleum (b.p. 40-60 °C) gave the title product, m.p. 145-7 °C.

5 c) 4-[5-(4-Chlorophenyl)-1,2,4-oxadiazol-3-yl]-2-methylaniline
The title compound was prepared from the product of stage b) according to Example 1 stage b).

Example 15

N,N-Dimethyl-N'-[4-(5-*tert*-butyl-1,3,4-oxadiazol-2-yl)-2-methylphenyl]formamidine

10 (Compound 211)
The title compound was prepared from the product of stage c) below according to Example 1, m.p. 79-80 °C.

Preparation of Starting Materials

15 a) N-(3-Methyl-4-nitrobenzoyl)-N'-pivaloylhydrazine
To a solution of 3-methyl-4-nitrobenzoylhydrazine (3.9 g) in dichloromethane (100 ml) was added triethylamine (3.06 ml) followed by pivaloyl chloride (2.6 ml). The mixture was stirred at room temperature for 2 hours. The mixture was washed with water, dried ($MgSO_4$) and concentrated. Trituration with light petroleum (b.p. 60-80 °C) gave the title product, m.p. 125-7 °C.

20 b) 5-*tert*-Butyl-2-(3-methyl-4-nitrophenyl)-1,3,4-oxadiazole
The product prepared in stage a) (5.0 g) was stirred in toluene (200 ml) and treated with phosphorous pentoxide (10 g). The mixture was heated under reflux for 2 hours and then poured onto ice-water. The mixture was extracted with diethyl ether, the extract dried ($MgSO_4$) and concentrated. The residue was purified by silica gel chromatography eluting with diethyl ether/light petroleum (b.p. 60-80 °C) to give the title product, m.p. 123-5 °C.

30 c) 2-(4-Amino-3-methyl)-5-*tert*-butyl-1,3,4-oxadiazole
The title compound was prepared from the product of stage b) according to Example 1 stage b).

Example 16N-Cyano-N-methyl-N'-[4-(4-chloro-3-trifluoromethylphenoxy)-2-xylyl]formamidine
(Compound 373)

5 The product from stage c) (0.4 g) in tetrahydrofuran (10 ml) was treated with sodium hydride (0.05 g). Iodomethane (0.075 ml) was added and the mixture was stirred. The mixture was quenched with water and extracted with dichloromethane. The extracts were dried (MgSO_4) and evaporated. The residue product was purified by silica gel chromatography to give the title product, ^1H

10 N.M.R. δ (ppm) 2.10 (s, 3H, ArCH_3), 2.20 (s, 3H, ArCH_3) and 3.35 (s, 3H, NCH_3).

Example 17N-Cyano-N'-[4-(4-chloro-3-trifluoromethylphenoxy)-2,5-xylyl]formamidine
(Compound 397)

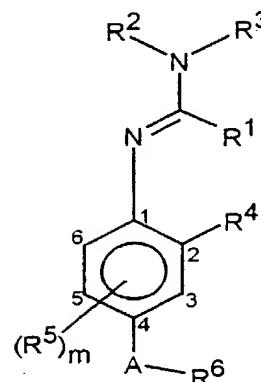
15 The title compound was prepared according to Example 4 from the product of stage b) above, m.p. 111-4 °C.

Preparation of Starting Materials

20 a) 2-Nitro-5-(4-chloro-3-trifluoromethylphenoxy)-p-xylene
The title compound was prepared according to Example 2 stage a).

b) 4-(4-Chloro-3-trifluoromethylphenoxy)-2,5-xylidine
The title compound was prepared according to Example 1 stage b) from the
25 product of stage a) above.

The following compounds of formula Ia (see Table 1), i.e. compounds of general formula I where $-\text{A}-\text{R}^6$ is para to the amidine moiety, may be prepared by methods analogous to those of Examples 1 to 17. Where the moiety depicted on
30 the right side of linkage A is attached to R^6 ;

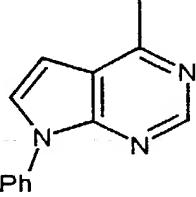


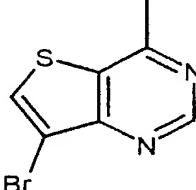
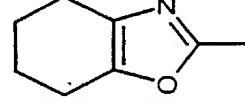
(Ia)

Table 1

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
1	H	Me	Me	Me	5-Me	O	3-CF ₃ -phenyl	49-50
2	Me	Me	Me	Me	5-Me	O	3-CF ₃ -phenyl	oil
3	H	Me	Me	Me	5-Me	-SCH ₂ -	3-CF ₃ -phenyl	oil
4	H	Me	Me	Me	5-Me	S	3-CF ₃ -phenyl	oil
5	Me	Me	Me	Me	5-Me	-SCH ₂ -	3-CF ₃ -phenyl	oil
6	Me	Me	Me	Me	5-Me	S	3-CF ₃ -phenyl	oil
7	H	Me	Me	Me	5-Me	O	3-Cl-phenyl	oil
8	H	Me	Me	Me	5-Me	O	3-Bu ^t -phenyl	69-71
9	H	Me	Me	Me	5-Me	O	4-tolyl	oil
10	Me	Me	Me	Me	5-Me	-OCH ₂ -	3-CF ₃ -phenyl	oil
11	H	Me	Me	Me	5-Me	-OCH ₂ -	3-CF ₃ -phenyl	50-4
12	H	Me	Me	Me	5-Me, 6-Br	O	3-CF ₃ -phenyl	oil
13	H	Me	Me	Me	-	O	3-CF ₃ -phenyl	oil
14	H	Me	Me	CF ₃	-	O	3-CF ₃ -phenyl	oil
15	H	Me	Me	Br	5-OMe	O	3-CF ₃ -phenyl	68-70
16	H	Me	Me	Me	5-Me	-OCH(Me)-	3-CF ₃ -phenyl	97-9
17	H	Me	Me	Me	5-Me	-OCH ₂ -	3-PhO-phenyl	oil
18	H	Me	Me	Br	3-Me,6- Br	O	3-CF ₃ -phenyl	oil
19	H	Me	Me	Br	5-Me	O	3-CF ₃ -phenyl	oil

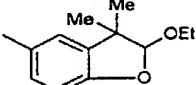
Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
20	H	Me	Me	Me	6-Me	O	3-CF ₃ -phenyl	oil
21	H	Me	Me	Me	5-Pr ⁱ	O	3-CF ₃ -phenyl	oil
22	H	Me	Me	Me	5-Me	O	2-biphenyl	oil
23	H	Me	Me	Me	5-Me	O	3-F-phenyl	oil
24	H	Me	Me	Me	5-Me	O	4-CF ₃ -phenyl	oil
25	H	Me	Me	Me	5-Me	O	2-CF ₃ -phenyl	oil
26	H	Me	Me	Me	5-Me	O	3,4-diMeO-phenyl	oil
27	H	Me	Me	Me	5-Me	O	2-MeO-phenyl	oil
28	H	Me	Me	Me	5-Me	O	3-PhO-phenyl	oil
29	H	Me	Me	Me	5-Me	O	3-CN-phenyl	oil
30	H	Me	Me	Me	5-Me	O	benzoxazol-2-yl	107-9
31	H	Me	Me	Me	5-Me	O	2,6-xylyl	oil
32	H	Me	Me	Me	5-Me	O	3,4-diCl-phenyl	oil
33	H	Me	Me	Me	5-Me	O	3-EtOC(=O)-phenyl	oil
34	H	Me	Me	Me	5-Me	O	4-tolyl	oil
35	H	-(CH ₂) ₂ O(CH ₂) ₂ -	Me	Me	5-Me	O	3-CF ₃ -phenyl	oil
36	H	H	Me	Me	5-Me	O	3-CF ₃ -phenyl	122-3
37	H	Et	Et	Me	5-Me	O	3-CF ₃ -phenyl	oil
38	H	Pr	Pr	Me	5-Me	O	3-CF ₃ -phenyl	oil
39	H	Bu	Bu	Me	5-Me	O	3-CF ₃ -phenyl	oil
40	H	Pr ⁱ	Pr ⁱ	Me	5-Me	O	3-CF ₃ -phenyl	oil
41	H	-(CH ₂) ₄ -	Me	5-Me	O	3-CF ₃ -phenyl	71-3	
42	H	Ph	Me	Me	5-Me	O	3-CF ₃ -phenyl	oil
43	H	-(CH ₂) ₅ -	Me	5-Me	O	3-CF ₃ -phenyl	oil	
44	H	H	CN	Me	5-Me	O	3-CF ₃ -phenyl	138-40
45	H	Et	Me	Me	5-Me	O	3-CF ₃ -phenyl	oil
46	H	Pr	H	Me	5-Me	O	3-CF ₃ -phenyl	44-6
47	H	benzyl	H	Me	5-Me	O	3-CF ₃ -phenyl	121-3
48	H	Me	Me	Me	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	100-5
49	H	Me	Me	Me	5-Me	-OCH(Me)-	3-CF ₃ -phenyl	97-9
50	H	Me	Me	Me	5-Me	O	4-CF ₃ -phenyl	oil

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
51	H	Me	Me	Me	5-Me	O	2-CF ₃ -phenyl	oil
52	H	Me	Me	Me	5-Me	O	3-Cl-5-CF ₃ -2-pyridyl	oil
53	H	Me	Me	Me	5-Me	direct bond	3-CF ₃ -phenyl	oil
54	H	Me	Me	Me	5-Me	O	4,6-diMe-pyrimidin-2-yl	95-9
55	H	Me	Me	Me	5-Me	O	3,5-diCl-phenyl	67-9
56	H	Me	Me	Me	5-Me	O	3-MeO-phenyl	oil
57	H	cyHex	H	Me	5-Me	O	3-CF ₃ -phenyl	93-4
58	H	Pri	H	Me	5-Me	O	3-CF ₃ -phenyl	62.5-4.5
59	H	Et	H	Me	5-Me	O	3-CF ₃ -phenyl	100-2
60	H	Me	Me	Me	5-Me	-NH-C(=O)-	3,5-diMe-4-oxazolyl	215-8
61	H	Me	Me	Me	5-Me	O	4-Bu ^t -phenyl	95-6
62	H	HO	H	Me	5-Me	O	3-CF ₃ -phenyl	130-1
63	H	MeO	H	Me	5-Me	O	3-CF ₃ -phenyl	59-61
64	H	EtO	H	Me	5-Me	O	3-CF ₃ -phenyl	68-9
65	H	Me	Me	Me	5-Me	O	phenyl	oil
66	H	Me	Me	Me	5-Me	O	3-Cl-1,2,4-thiadiazol-5-yl	120-2
67	H	Me	Me	Pri	5-Me	O	3-CF ₃ -phenyl	oil
68	H	Me	Me	Me	5-Cl	O	3-Ph-1,2,4-thiadiazol-5-yl	99-101
69	H	Me	Me	Me	5-Me	SO ₂	3-CF ₃ -phenyl	122-3
70	H	Me	Me	Me	5-Me	-N(Me)-C(=O)-	3,5-diMe-isoxazol-4-yl	oil
71	H	Me	Me	Me	3-Me	O	3-CF ₃ -phenyl	oil
72	H	Me	Me	Me	5-Me	O	3-Br-1,2,4-thiadiazol-5-yl	129-31
73	H	Me	Me	Me	5-Me	-NHC(=O)-	phenyl	180-1
74	H	Me	Me	Me	5-Me	-N(Me)C(=O)-	phenyl	oil
75	H	Me	Me	Me	5-Me	direct bond	piperidinyl	93-4
76	H	Me	Me	Me	5-Me	O		124-6
77	H	Me	Me	Me	5-Me	O	7-Cl-4-quinazolinyl	160-2

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
78	H	Me	Me	Me	5-Me	O		170-2
79	H	Me	Me	Me	5-Me	direct bond	2,5-diMe-1-pyrrolyl	90-1
80	H	Me	Me	Me	5-Me	direct bond	phthalimido	170-3
81	H	Me	Me	Me	5-Me	O	5-CF ₃ -1,3,4-thiadiazol-2-yl	oil
82	H	Me	Me	Me	5-Me	O	5-But ^t -1,3,4-thiadiazol-2-yl	104-6
83	H	Me	Me	Me	5-Me	O	5-Ph-1,3,4-thiadiazol-2-yl	oil
84	H	Me	Me	Me	5-Me	O	6-Cl-benzthiazol-2-yl	109-11
85	H	Me	Me	Me	5-Me	O	5-NO ₂ -2-thiazolyl	oil
86	H	Me	Me	Me	5-Me	O	5-Ph-2-thiazolyl	111-14
87	H	Me	Me	Me	5-Me	direct bond	morpholino	93-4
88	H	Me	Me	Me	5-Me	O	8-F-4-quinazolinyl	98-100
89	H	Me	Me	Me	5-Me	O	3,6-diNO ₂ -4-coumarinyl	178-81
90	H	Me	Me	Me	5-Me	O	2-F-phenyl	oil
91	H	Me	Me	Me	5-Me	O	4,6-diMeO-1,3,5-triazin-2-yl	82-4
92	H	Me	Me	Me	5-Me	direct bond	3-Et ₂ NC(=O)-1-piperidinyl	oil
93	H	Me	Me	Me	5-Me	S		oil
94	H	Me	Me	Me	5-Me	S	4-(4-Cl-phenyl)-2-oxazolyl	oil
95	H	Me	Me	Me	5-Me	O	4-(4-Cl-phenyl)-2-oxazolyl	oil
96	H	Me	Me	Me	5-Me	O	2-CF ₃ -4-quinazolinyl	119-21
97	H	Me	Me	Me	5-Me	direct bond	4,5-diCl-phthalimido	196-8
98	H	Me	Me	Me	5-Me	-NHC(=O)-	4-F-phenyl	166-8
99	H	CN	H	Me	5-Me	O	3-But ^t -phenyl	oil
100	H	Et	Me	Me	5-Me	O	3-But ^t -phenyl	oil
101	H	Me	Me	Me	5-Me	O	4-CF ₃ -pyrimidin-2-yl	123-5
102	H	Me	Me	Me	5-Me	direct bond	2,6-diMe-morpholin-4-yl	102-3
103	H	Me	Me	Me	5-Me	O	2-CF ₃ -4-quinolinyl	126-8

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
104	H	Me	Me	Me	5-Me	O	2-tolyl	oil
105	H	Me	Me	Me	5-Me	O	2-Pr ^t -phenyl	oil
106	H	Et	H	Me	5-Me	O	3-But ^t -phenyl	74-6
107	Et	Me	Me	H	5-Me	O	3-But ^t -phenyl	89-91
108	Me	Me	Me	H	5-Me	O	3-But ^t -phenyl	oil
109	H	Me	Me	H	5-Me	O	3-But ^t -phenyl	oil
110	Et	Me	Me	Me	5-Me	O	3-But ^t -phenyl	113-6
111	H	Me	Me	Me	5-Me	direct bond	4-Me-1-piperazinyl	67-8
112	H	Me	Me	Me	5-Me	O	4-(2-thiazolyl)-2-thiazolyl	110-12
113	H	Me	Me	Me	5-Me	O	4-But ^t -2-thiazolyl	oil
114	H	Me	Me	Me	5-Me	O	3-(4-Cl-phenyl)-1,2,4-oxadiazol-5-yl	106-8
115	H	Me	Me	Me	5-Me	direct bond	2-Me-5-(3-CF ₃ -phenyl)-1-pyrrolyl	oil
116	H	Me	Me	Me	5-Me	O	3-MeO-1,2,4-thiadiazol-5-yl	99-101
117	H	Me	Me	Me	5-Me	O	3-Me-1,2,4-thiadiazol-5-yl	92-4
118	H	Me	Me	Me	5-Me	O	6-Ph-3-pyridazinyl	86-9
119	H	Me	Me	Me	5-Me	O	3-MeS-1,2,4-thiadiazol-5-yl	oil
120	H	Me	Me	Me	5-Me	O	4-(3-CF ₃ -phenyl)-2-thiazolyl	93-5
121	H	Me	Me	Me	5-Me	S	4-Me-1,2,4-triazol-3-yl	oil
122	H	Me	Me	Me	5-Me	O	3-CN-2-pyrazinyl	128-30
123	H	Me	Me	Me	5-Me	O	3-But ^t -1,2,4-thiadiazol-5-yl	oil
124	H	Me	Me	Me	5-Me	O	2-secButyl-phenyl	oil
125	H	Me	Me	Me	5-Me	O	2-biphenyl	oil
126	H	Me	Me	Me	5-Me	O	5-isopropenyl-1,3,4-thiadiazol-2-yl	oil
127	H	Me	Me	Me	5-Me	O	5-Ph-1,3,4-oxadiazol-2-yl	120-2
128	H	Me	Me	Me	5-Me	direct bond	1,2,3,4-tetrahydro-2-isoquinolinyl	oil
129	H	Me	Me	Me	5-Me	O	3-NEt ₂ -phenyl	oil
130	H	Me	Me	Me	5-Me	O	4-secButyl-phenyl	oil
131	H	Me	Me	Me	5-Me	O	5-Cl-6-Et-pyrimidin-4-yl	100-1
132	H	Me	Me	Me	5-Me	O	2-CF ₃ -pyrimidin-4-yl	62-3
133	H	Me	Me	Me	5-Me	O	1-Me-5-Cl-6-oxo-pyridazin-4-yl	142-5
134	H	Me	Me	Me	5-Me	O	3-Ph-5-isoxazolyl	oil

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
135	H	Me	Me	Me	5-Me	O	3-Br-phenyl	oil
136	H	Me	Me	Me	5-Me	O	3-(dimethyl-amino-methylene-amino)phenyl	oil
137	H	Me	Me	Me	5-Me	O	4-Cl-1,2,5-thiadiazol-3-yl	oil
138	H	Me	Me	Me	5-Me	O	3-CF ₃ -1,2,4-thiadiazol-5-yl	oil
139	H	Me	Me	Me	5-Me	O	2-Cl-phenyl	oil
140	H	Me	Me	Me	5-Me	O	2-MeS-5-EtOC(=O)-pyrimidin-4-yl	oil
141	H	Me	Me	Me	5-Me	O	1-naphthyl	oil
142	H	Me	Me	Me	5-Me	O	2-naphthyl	oil
143	Me	Me	Me	Me	5-Me	O	1-naphthyl	oil
144	Me	Me	Me	Me	5-Me	O	2-naphthyl	110-12
145	H	Me	Me	Me	5-Me	O	1-Ph-tetrazol-5-yl	123-6
146	H	Me	Me	Me	5-Me	O	1,1-dioxo-benzothiazol-3-yl	177-8
147	H	Me	Me	Me	5-Me	direct bond	2-benzo[b]-furanyl	90-1
148	H	Me	Me	Me	5-Me	O	6-Ph-pyrimidin-4-yl	oil
149	H	Me	Me	Me	5-Me	O	4-Pr ⁱ -phenyl	oil
150	H	Me	Me	Me	5-Me	O	3-acetylphenyl	oil
151	H	Me	Me	Me	5-Me	O	4-(1,1,3,3-tetramethylbutyl)phenyl	oil
152	H	Me	Me	Me	5-Me	O	3-Pr ⁱ -phenyl	oil
153	H	Me	Me	Me	5-Me	-OC(=O)-	3,4-diCl-phenyl	oil
154	H	Me	Me	Me	5-Me	-OC(=O)-	4-hexylphenyl	oil
155	H	Me	Me	Me	5-Me	-OC(=O)-	2,6-xylyl	oil
156	H	Me	Me	Me	5-Me	-OC(=O)CH ₂ -	4-Cl-phenyl	oil
157	H	Me	Me	Me	5-Me	-OC(=O)CH ₂ -	phenyl	oil
158	H	Me	Me	Me	5-Me	-OC(=O)CH ₂ -	3-MeO-phenyl	oil
159	H	Me	Me	Me	5-Me	-OC(=O)-	2,6-diCl-phenyl	oil
160	H	Me	Me	Me	5-Me	-OC(=O)-	3-Cl-2-benzo[b]-thiophenyl	oil
161	H	Me	Me	Me	5-Me	-OC(=O)-	cyclohexyl	oil
162	H	Me	Me	Me	5-Me	-OC(=O)-	2,4-diCl-phenyl	oil
163	H	Me	Me	Me	5-Me	-OC(=O)-	2-CF ₃ -phenyl	oil
164	H	Me	Me	Me	5-Me	-OC(=O)-	2,3-diCl-phenyl	oil
165	H	Me	Me	Me	5-Me	-OC(=O)-	3,5-diMe-isoxazol-4-yl	oil
166	H	Me	Me	Me	5-Me	-OC(=O)-	4-Me-1,2,3-thiadiazol-5-yl	oil

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
167	H	Me	Me	Me	5-Me	-OC(=O)-	2-F-3-CF ₃ -phenyl	oil
168	H	Me	Me	Me	5-Me	-OC(=O)-	3-Cl-2-MeO-5-pyridyl	oil
169	H	Me	Me	Me	5-Me	-OC(=O)-	2-Cl-3-pyridyl	oil
170	H	Me	Me	Me	5-Me	O	4-(<i>tert</i> -penty)phenyl	oil
171	H	Me	Me	Me	5-Me	O	3-Et-phenyl	oil
172	Me	Me	Me	Me	5-Me	O	4-(<i>tert</i> -penty)phenyl	oil
173	H	Me	Me	Me	5-Me	O	4-Cl-3-Me-phenyl	oil
174	H	Me	Me	Me	5-Me	O	3,4-xylyl	oil
175	H	Me	Me	Me	5-Me	O		94-6
176	H	Me	Me	Me	5-Me	direct bond	2-thienyl	oil
177	H	Me	Me	Me	5-Me	direct bond	5-Cl-2-thienyl	oil
178	H	Me	Me	Me	5-Me	direct bond	3-Cl-2-benzo[b]furanyl	114-5
179	H	Me	Me	Me	H	direct bond	5-(4-Cl-phenyl)-1,2,4-oxadiazol-3-yl	136-7
180	H	Me	Me	Me	5-Me	O	4-nonylphenyl	oil
181	H	Me	Me	Me	5-Me	O	4-Et-phenyl	oil
182	H	Me	Me	Me	5-Me	O	4-biphenylyl	oil
183	H	Me	Me	Me	5-Me	O	4-Cl-phenyl	oil
184	H	Me	Me	Me	5-Me	O	4-MeS-phenyl	oil
185	H	Me	Me	Me	5-Me	O	4-Br-phenyl	oil
186	H	Me	Me	Me	5-Me	-OCH ₂ -	2-(4-Cl-phenyl)-4-thiazolyl	86-9
187	H	Me	Me	Me	5-Me	direct bond	2-benzo[b]thiophenyl	67-8
188	H	Me	Me	Me	5-Me	O	2-(5,6,7,8-tetrahydro)naphthyl	84-6
189	H	Me	Me	Me	5-Me	O	4-(α,α -diMe-benzyl)phenyl	oil
190	H	Me	Me	Me	5-Me	O	3-CF ₃ O-phenyl	oil
191	Me	Me	Me	Me	5-Me	direct bond	3-Et ₂ NC(=O)-1-piperidinyl	oil
192	H	Me	Me	Me	5-Me	S	phenyl	72-3
193	H	Me	Me	Me	5-Me	O	4-MeO-phenyl	57-8
194	H	Me	Me	Me	5-Me	O	5-CF ₃ -2-benzthiazolyl	106-7
195	H	Me	Me	Me	5-Me	O	4-Cl-2-benzthiazolyl	109-11
196	H	Me	Me	Me	5-Me	O	5-Cl-2-benzthiazolyl	oil
197	H	Me	Me	Me	5-Me	O	2-benzthiazolyl	oil
198	H	Me	Me	Me	5-Me	O	2-Pr ⁱ -5-Me-phenyl	oil

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
199	Me	Me	Me	Me	5-Me	O	2-Pr ⁱ -5-Me-phenyl	oil
200	H	Me	Me	Me	5-Me	O	4-Cl-3-Et-phenyl	oil
201	Me	Me	Me	Me	5-Me	O	4-Cl-3-Et-phenyl	oil
202	H	Me	Me	Me	5-Me	O	3-Me-4-MeS-phenyl	oil
203	H	Me	Me	Me	5-Me	O	4-benzoylphenyl	oil
204	H	Me	Me	Me	5-Me	O	4-propionylphenyl	oil
205	H	Me	Me	Me	5-Me	O	4-(3-Me-1,2,4-thiadiazol-5-yl)phenyl	109.5-11
206	Me	Me	Me	Me	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	113-4
207	H	Me	Me	Me	H	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
208	H	Me	Me	Me	5-Pr ⁱ	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
209	Me	Me	Me	Me	H	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
210	H	Me	Me	Me	H	direct bond	5-But ^t -1,2,4-oxadiazol-3-yl	oil
211	H	Me	Me	Me	H	direct bond	5-But ^t -1,3,4-oxadiazol-2-yl	79-80
212	H	Me	Me	Me	5-Me	O	4-acetylphenyl	80-1
213	H	Me	Me	Me	5-Me	O	3-(3-CF ₃ -phenoxy)-phenyl	oil
214	H	Me	Me	Me	5-Me	-CH(CN)-	3-CF ₃ -phenyl	oil
215	H	Me	Me	Me	5-Me	O	4-(4-Cl-phenyl)-2-thiazolyl	oil
216	H	Me	Me	Me	5-Me	O	4-(4-tolyl)-2-thiazolyl	oil
217	H	Me	Me	Me	5-Me	O	4-(4-MeO-phenyl)-2-thiazolyl	oil
218	H	Me	Me	Me	5-Me	O	6-Cl-pyrimidin-4-yl	205-7
219	H	Me	Me	Me	5-Me	O	4-oxo-2-Ph-4H-1-benzopyran-6-yl	oil
220	H	Me	Me	Me	5-Me	O	2-(benzyloxy)phenyl	oil
221	H	Me	Me	Me	5-Me	O	3,4-methylenedioxy-phenyl	oil
222	H	Me	Me	Me	5-Me	O	3,5-xylyl	oil
223	H	Me	Me	Me	5-Me	O	3,5-diMeO-phenyl	oil
224	H	Me	Me	Me	5-Me	O	6-PhO-pyrimidin-4-yl	oil
225	H	Et	Me	Me	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
226	H	Me	Me	Me	5-Me	direct bond	3-Cl-2-benzo[b]thiophenyl	84-6
227	H	CN	H	Me	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
228	H	Me	Me	Me	H	direct bond	5-(4-Cl-phenyl)-1,3,4-oxadiazol-2-yl	168-9

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
229	Me	Me	Me	Me	H	direct bond	5-(4-Cl-phenyl)-1,3,4-oxadiazol-2-yl	133-5
230	Me	Me	Me	Me	5-Me	O	3-Pr ⁱ -phenyl	oil
231	H	Me	Me	Me	5-Me	-CH(CO ₂ Me)-	3-CF ₃ -phenyl	oil
232	H	Et	H	Me	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
233	Me	Me	Me	Me	H	direct bond	5-But-1,3,4-oxadiazol-2-yl	oil
234	H	Me	Me	Me	5-Me	O	3-(4-tolyl)-1,2,4-thiadiazol-5-yl	121-4
235	H	Me	Me	Me	5-Me	O	4-propargyloxyphenyl	oil
236	H	Me	Me	Me	5-Me	O	6-Br-2-pyridyl	oil
237	Me	Me	Me	H	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
238	Me	Me	Me	Me	5-Me	O	3-Br-phenyl	oil
239	Me	Me	Me	Me	5-Me	O	4-Et-phenyl	oil
240	Me	Me	Me	Me	5-Me	O	4-biphenylyl	oil
241	Me	Me	Me	Me	5-Me	O	4-Cl-phenyl	oil
242	Me	Me	Me	Me	5-Me	O	4-MeS-phenyl	oil
243	Me	Me	Me	Me	5-Me	O	4-Br-phenyl	oil
244	Me	Me	Me	Me	5-Me	O	4-benzoylphenyl	oil
245	Me	Me	Me	Me	5-Me	O	4-propionylphenyl	oil
246	H	-(CH ₂) ₅ -		Me	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
247	H	Me	Me	Me	H	O	5-CF ₃ -1,3,4-thiadiazol-2-yl	oil
248	H	Me	Me	Me	5-Me	O	6-(trimethylsilyl)ethynyl-2-pyridyl	oil
249	H	Me	Me	Me	5-Me	O	6-ethynyl-2-pyridyl	oil
250	H	Me	Me	Me	5-Me	O	2,4-diCl-phenyl	96-7
251	H	Me	Me	Me	5-Me	O	5-Pr ⁱ -2-Me-phenyl	oil
252	H	Me	Me	Me	5-Me	O	3-(4-Cl-phenyl)-1,2,4-thiadiazol-5-yl	118-22
253	H	Me	Me	Me	5-Me	O	3-(3-NO ₂ -phenyl)-1,2,4-thiadiazol-5-yl	125-8
254	Et	Me	Me	Me	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
255	Et	Me	Me	H	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	oil
256	H	Me	Me	Me	5-Me	O	4-Pr ⁱ -3-Me-phenyl	oil
257	H	Me	Me	H	H	O	3-But-phenyl	oil
258	H	Me	Me	Me	5-Me	O	9-oxo-fluoren-2-yl	oil

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
259	H	Me	Me	Me	5-Me	O	3-(3,5-diCF ₃ -phenyl)-1,2,4-thiadiazol-5-yl	112-5
260	H	Me	Me	Cl	H	O	3-But ^t -phenyl	oil
261	H	Me	Me	Me	5-Me	O	4-benzylxyphenyl	oil
262	H	Me	Me	Me	5-Me	O	6-(4-Cl-phenyl)-2-pyridyl	oil
263	H	Me	Me	Me	5-Me	O	4-HO-phenyl	oil
264	H	Me	Me	Me	5-Me	O	3-CF ₃ -benzyl	75-7
265	H	Me	Me	Me	5-Me	O	6-(3-CF ₃ -phenylthio)-pyrimdin-4-yl	oil
266	H	Me	Me	Me	5-Me	O	3-benzylxyphenyl	oil
267	H	Me	Me	Me	5-Me	-OCH ₂ -	cyclohexyl	oil
268	H	Me	Me	Me	5-Me	-OCH ₂ CH ₂ O-	4-Cl-phenyl	oil
269	H	Me	Me	Me	5-Me	-OCH ₂ CH ₂ O-	4-But ^t -phenyl	oil
270	H	Me	Me	Me	5-Me	-O(CH ₂) ₄ O-	phenyl	oil
271	H	Me	Me	Me	5-Me	-O(CH ₂) ₄ -	phthalimido	oil
272	H	Me	Me	Me	5-Me	-O(CH ₂) ₅ -	phenyl	oil
273	H	Me	Me	Me	5-Me	-O(CH ₂) ₃ O-	4-But ^t -phenyl	oil
274	H	Me	Me	Me	5-Me	-O(CH ₂) ₄ O-	4-But ^t -phenyl oil	oil
275	H	Me	Me	Me	5-Me	-O(CH ₂) ₄ O-	2-But ^t -phenyl	oil
276	H	Me	Me	Me	5-Me	-OCH ₂ -	2-tetrahydropyranyl	oil
277	H	Me	Me	Me	5-Me	-O(CH ₂) ₃ O-	phenyl	oil
278	H	Me	Me	Me	5-Me	-O(CH ₂) ₉ O-	2-tetrahydropyranyl	oil
279	H	Me	Me	Me	5-Me	-OCH ₂ -	2-(1-methoxy-carbonyl-2-methoxyvinyl)phenyl	oil
280	H	Me	Me	Me	5-Me	-OCH ₂ CH ₂ -	2-phenylethyl	oil
281	H	Pr	Me	Me	5-Me	O	3-But ^t -phenyl	oil
282	H	Bu	Me	Me	5-Me	O	3-But ^t -phenyl	oil
283	H	Pri	Me	Me	5-Me	O	3-But ^t -phenyl	oil
284	H	allyl	Me	Me	5-Me	O	3-But ^t -phenyl	oil
285	H	Bu	Et	Me	5-Me	O	3-But ^t -phenyl	oil
286	H	Et	Et	Me	5-Me	O	3-But ^t -phenyl	oil
287	H	Me	Me	Me	5-Me	O	6-But ^t S-pyrimidin-4-yl	oil
288	H	Me	Me	Me	5-Me	O	3,3-diMe-2-EtO-2,3-dihydrobenzfuran-5-yl	oil
289	H	Me	Me	Me	5-Me	O	6-cyHexS-pyrimidin-4-yl	oil
290	H	Me	Me	Me	5-Me	-OCH ₂ -	4-cyHexylmethoxy-phenyl	oil

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
291	H	Me	Me	Me	5-Me	O	3-Pr ⁱ O-phenyl	oil
292	H	Me	Me	Me	H	O	2-(2-phenoxy-ethoxy)phenyl	oil
293	H	CN	H	H	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	152-4
294	H	Me	Me	Cl	H	O	3-CF ₃ -phenyl	oil
295	H	Me	Me	Me	5-Me	O	6-(2-phenylethylthio)pyrimidin-4-yl	oil
296	H	Me	Me	Me	5-Me	O	4-(3-CF ₃ -benzyloxy)phenyl	oil
297	H	Me	Me	CF ₃	H	O	3-Bu ^t -phenyl	oil
298	H	Me	Me	Me	5-Me	O	4-(2-Cl-phenyl)thiazol-2-yl	oil
299	H	Me	Me	Me	5-Me	O	4-(3-Cl-phenyl)thiazol-2-yl	122-5
300	H	Me	Me	Me	5-Me	O	4-(4-CF ₃ -phenyl)thiazol-2-yl	123-5
301	H	Me	Me	Me	5-Me	O	3-(3-CF ₃ -benzyloxy)phenyl	oil
302	H	Me	Me	Me	5-Me	O	2-(4-Me-butoxy)phenyl	oil
303	H	Me	Me	Me	5-Me	O	4-Pr ⁱ O-phenyl	oil
304	H	Me	Me	Me	5-Me-6-NO ₂	O	3-Bu ^t -phenyl	oil
305	H	Me	Me	Me	5-Me	O	2-(3-CF ₃ -benzyloxy)phenyl	oil
306	H	Me	Me	Me	H	O	2-(3-CF ₃ -benzyloxy)phenyl	oil
307	H	CN	H	Me	5-Me	O	3-Cl-phenyl	134-5
308	H	CN	H	Me	5-Me	O	4-Pr ⁱ -phenyl	159-60
309	H	CN	H	Me	5-Me	O	3-MeO-phenyl	104-8
310	H	Et	Me	Me	5-Me	O	3-Cl-phenyl	oil
311	H	Et	Me	Me	5-Me	O	4-Pr ⁱ -phenyl	oil
312	H	Et	Me	Me	5-Me	O	3-MeO-phenyl	oil
313	H	Et	Me	Me	5-Me	O	4-(<i>tert</i> -pentyl)phenyl	oil
314	H	Me	Me	Me	5-Me	O	3-(1-Me-undecyloxy)phenyl	oil
315	H	Me	Me	Me	5-Me	O	2-Pr ⁱ O-phenyl oil	oil
316	H	Me	Me	Me	5-Me	O	3,5-diPr ⁱ -phenyl	oil
317	H	Me	Me	Me	5-Me	O	3-MeO-5-Me-phenyl	oil
318	H	Me	Me	Me	5-Me	O	3,5-diCF ₃ -phenyl	oil
319	H	Me	Me	Me	5-Me	O	2-(1-Me-undecyloxy)phenyl	oil
320	H	Me	Me	Me	H	O	2-(isopentoxy)phenyl	oil

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
321	H	Me	Me	Me	H	O	2-Pr ⁱ O-phenyl	oil
322	H	Me	Me	Me	5-Me	O	6-Cl-benzoxazol-2-yl	118-20
323	H	CN	H	Me	5-Me	O	3-PhO-phenyl	oil
324	H	CN	H	Me	5-Me	O	4-But ^t -phenyl	oil
325	H	Et	Me	Me	5-Me	O	3-PhO-phenyl	oil
326	H	Et	Me	Me	5-Me	O	4-But ^t -phenyl	oil
327	H	Me	Me	Me	5-Me	O	5-Cl-benzoxazol-2-yl	190
328	H	Me	Me	Me	5-Me	O	5-NO ₂ -benzoxazol-2-yl	oil
329	H	allyl	Me	Me	5-Me	O	3-CF ₃ -phenyl	oil
330	H	Pr ⁱ	Me	Me	5-Me	O	3-CF ₃ -phenyl	oil
331	H	Bu	Me	Me	5-Me	O	3-CF ₃ -phenyl	oil
332	H	Me	Me	Me	5-Me	O	3-HO-phenyl	155-7
333	H	CN	H	Me	5-Me	O	3,5-diCl-phenyl	199-201
334	H	Me	Me	Me	5-Me	O	3-(3-Ph-1,2,4-thiadiazol-5-yloxy)phenyl	oil
335	H	Et	Me	Me	5-Me	O	3,5-diCl-phenyl	oil
336	H	Me	Me	Me	5-Me	O	5-Br-benzthiazol-2-yl	oil
337	H	Me	Me	Me	5-Me	O	5-(4-CF ₃ -phenyl)benzthiazol-2-yl	131-3
338	H	Me	Me	Me	5-Me	O	5-Ph-benzthiazol-2-yl	107-9
339	H	Me	Me	Me	5-Me	O	5-(4-CF ₃ O-phenyl)benzthiazol-2-yl	138-40
340	H	Me	Me	Me	5-Me	O	3-(isopentoxy)phenyl	oil
341	H	Me	Me	Me	5-Me	O	3-(cyclohexylmethoxy)phenyl	oil
342	H	Me	Me	Me	5-Me	O	3-(4-biphenylmethoxy)-phenyl	oil
343	H	Me	Me	Me	5-Me	O	3-(propargyloxy)phenyl	oil
344	H	Me	Me	Me	5-Me	O	3-(allyloxy)phenyl	oil
345	H	Me	Me	Me	5-Me	O	3-(PhO-ethoxy)phenyl	oil
346	H	Me	Me	Me	5-Me	O	3-(2-thienyl)phenyl	oil
347	H	Me	Me	Me	5-Me, 6-Br	O	3-But ^t -phenyl	oil
348	H	Me	Me	Me	5-Me	O	3-(cyclopropylmethoxy)phenyl	oil

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
349	H	Me	Me	Me	5-Me	O	3-(phenacyloxy)-phenyl	oil
350	H	Me	Me	Me	5-Me	O	3-(methoxycarbonyl-methyl)phenyl	oil
351	H	Me	Me	Me	5-Me	O	4-(3,4-diCl-phenyl)thiazol-2-yl	121-3
352	H	Me	Me	Me	5-Me	O	3-(benzyloxycarbonyl-methoxy)phenyl	oil
353	H	Me	Me	Me	5-Me	O	3-(3-Cl-4-F-phenyl)phenyl	oil
354	H	Me	Me	Me	5-Me	O	3-(tetrahydrofuran-2-ylmethoxy)phenyl	oil
355	H	Me	Me	Me	5-Me	O	3-(tetrahydropyran-2-ylmethoxy)phenyl	oil
356	H	Me	Me	Me	5-F	O	3-Ph-1,2,4-thiadiazol-5-yl	67-9
357	H	Me	Me	Me	5-Me	O	4-(4-Cl-benzoyl)phenyl	oil
358	H	Me	Me	Me	5-Me	O	3-[1-(ethoxycarbonyl)-ethoxy]phenyl	oil
359	H	Me	Me	Me	5-Me	O	3-(2,2,2-trifluoroethoxy)phenyl	oil
360	H	Me	Me	Me	5-Me	O	3-(4-CN-butoxy)phenyl	oil
361	H	Me	Me	Me	5-Me	O	4-Cl-3-CF ₃ -phenyl	oil
362	H	Me	Me	Me	5-Me	O	5-CF ₃ -benzthiazol-2-yl	oil
363	H	Me	Me	Me	5-F	O	3-CF ₃ -phenyl	oil
364	H	Et	Me	Me	5-Me	O	4-Cl-3-CF ₃ -phenyl	oil
365	H	Me	Me	Me	5-Me	O	4-F-3-CF ₃ -phenyl	oil
366	H	Me	Me	Me	5-Me	O	3-iodo-phenyl	oil
367	H	Me	Me	Me	5-Me	O	3-acetoxyphenyl	oil
368	H	Me	Me	Me	5-Me	O	5-CF ₃ -benzthiazol-2-yl	oil
369	H	Me	Me	Me	5-Me	O	3-(4,6-diMe-pyrimidin-2-yl)phenyl	oil
370	H	Me	Me	Me	5-Me	O	3-Bu ⁱ -phenyl	oil
371	H	Me	Me	Me	5-Me	O	3-(1-benzoyl-1-methylethoxy)phenyl	oil
372	H	Me	Me	Me	5-Me	O	3-(1-ethoxycarbonyl-2-methylprop-1-yl)phenyl	oil
373	H	CN	Me	Me	5-Me	O	4-Cl-3-CF ₃ -phenyl	oil
374	H	Et	CN	Me	5-Me	O	4-Cl-3-CF ₃ -phenyl	oil
375	H	Ac	CN	Me	5-Me	O	4-Cl-3-CF ₃ -phenyl	oil
376	H	Me	Me	Me	5-Me	O	3-(1-acetylethoxy)-phenyl	oil

Cmp	R ¹	R ²	R ³	R ⁴	(R ⁵) _m	A	R ⁶	m.p./°C
377	H	Me	Me	Me	5-Me	O	3-(1-ethylpropoxy)-phenyl	oil
378	H	Me	Me	Me	5-Me	O	3-cyclopentylphenyl	oil
379	H	Me	Me	Me	5-Me	O	3-(3,5-diCl-2-pyridyloxy)phenyl	oil
380	H	Me	Me	Me	5-Me	O	3-[ethoxycarbonyl-(N-methoxyimino)-methoxy]phenyl	oil
381	H	Me	Me	Me	5-Me	O	4-(2-CF ₃ -benzoyl)phenyl	oil
382	H	Me	Me	Me	5-Me	O	3-hexylphenyl	oil
383	H	Me	Me	Me	5-Me	O	5-Ph-thiazol-2-yl	oil
384	H	Me	Me	Me	5-Me	O	3-(2,2-dimethoxyethoxy)phenyl	oil
385	H	Me	Me	Me	5-Me	O	3-(2,2-diethoxyethoxy)phenyl	oil
386	H	Me	Me	Me	5-Me	O	3-[2-(3-But ^t -phenoxy)ethoxy]phenyl	oil
387	H	Me	Me	Me	5-Me	O	3-[2-(4-F-phenoxy)ethoxy]phenyl	102-4
388	H	Me	Me	Me	5-Me	O	3-CF ₃ SO ₂ O-phenyl	oil
389	H	Me	Me	Me	5-Me	O	4-Br-3-Cl-phenyl	86-8
390	H	Me	Me	Me	5-Me	O	3-(2-Me-2-phenylpropyl)-phenyl	oil
391	H	Me	Me	Me	5-Me	O	3-(1-HO-1-Me-ethyl)-phenyl	oil
392	H	Me	Me	Me	5-Me	O	3-(1-MeO-1-Me-ethyl)-phenyl	oil
393	H	Et	Me	Me	5-Me	O	4-F-3-CF ₃ -phenyl	oil
394	H	Me	Me	Me	5-Me	O	4-Me-3-CF ₃ -phenyl	oil
395	H	Et	Me	Me	5-Me	O	4-Me-3-CF ₃ -phenyl	oil
396	H	-(CH ₂) ₅ -		Me	5-Me	O	3-Bu ^t -phenyl	oil
397	H	H	CN	Me	Me	O	3-CF ₃ -4-Cl-phenyl-	111-4
398	H	Me	Me	Me	Me	C=O	3-CF ₃ -phenyl	oil

Those compounds in Table 1 which do not have discrete melting points have the characteristic ¹H N.M.R. shown in Table 2 below.

Table 2

Cmp	Data
2	1.78 (s, 3H, N=CCH ₃), 2.00 (s, 3H, ArCH ₃), 2.18 (s, 3H, ArCH ₃), 3.05 (s, 6H, N(CH ₃) ₂)
3	2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.95 (s, 2H, SCH ₂)
4	2.00 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
5	1.70 (s, 3H, N=CCH ₃), 1.90 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.90 (s, 2H, SCH ₂)
6	1.80 (s, 3H, N=CCH ₃), 2.00 (s, 3H, ArCH ₃), 2.20 (s, 6H, N(CH ₃) ₂), 3.00 (s, 6H, N(CH ₃) ₂)
7	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
9	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.30 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
10	1.75 (s, 3H, N=CCH ₃), 2.00 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.10 (s, 2H, ArCH ₂)
12	2.17 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.05 (s, 6H, N(CH ₃) ₂)
13	2.25 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
14	3.00 (s, 6H, N(CH ₃) ₂)
17	2.18 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 2.99 (s, 6H, N(CH ₃) ₂), 5.00 (s, 2H, ArCH ₂)
18	2.20 (s, 3H, ArCH ₃), 3.00 (bs, 6H, N(CH ₃) ₂)
19	2.10 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
20	2.15 (s, 6H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
21	1.15 (d, 6H, CH(CH ₃) ₂), 2.20 (s, 3H, ArCH ₃), 3.00 (m, 7H, CH and N(CH ₃) ₂)
22	2.15 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.04 (s, 6H, N(CH ₃) ₂)
23	2.10 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
24	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
25	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
26	2.14 (s, 3H, ArCH ₃), 2.19 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.82 (s, 3H, OCH ₃), 3.96 (s, 3H, OCH ₃)
27	2.14 (s, 3H, ArCH ₃), 2.18 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.93 (s, 3H, OCH ₃)
28	2.13 (s, 3H, ArCH ₃), 2.19 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
29	2.08 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
31	2.07 (s, 3H, ArCH ₃), 2.11 (s, 6H, ArCH ₃), 2.36 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
32	2.08 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂)
33	1.38 (t, 3H, CH ₂ CH ₃), 2.09 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.03 (s, 6H, N(CH ₃) ₂), 4.35 (q, 2H, CH ₂)
34	(s, 3H, ArCH ₃), 2.19 (s, 3H, ArCH ₃), 2.26 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
35	2.05 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.45-3.55 (br, 4H, CH ₂), 3.75 (d, 4H, CH ₂)

Cmp	Data
37	1.20 (t, 6H, <u>CH₂CH₃</u>), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.30-3.50 (br, 4H, <u>CH₂CH₃</u>)
38	0.95 (t, 6H, <u>CH₂CH₃</u>), 1.70 (br, 4H, <u>CH₃CH₂</u>), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.10-3.50 (br, 4H, NCH ₂)
39	1.00 (t, 6H, <u>CH₂CH₃</u>), 1.35 (q, 4H, <u>CH₂CH₃</u>), 1.60 (q, 4H, NCH ₂ CH ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.15-3.45 (br, 4H, NCH ₂)
40	1.3 (d, 12H, CCH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.6-4.9 (br, 2H, CH)
42	2.10 (s, 3H, ArCH ₃), 2.30 (s, 3H, ArCH ₃), 3.55 (s, 3H, NCH ₃)
43	1.55-1.75 (m, 6H, <u>CH₂</u>), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.40 (br, 4H, NCH ₂)
45	1.20 (t, 3H, <u>CH₂CH₃</u>), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃), 3.40 (br, 2H, NCH ₂)
50	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
51	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
52	2.18 (s, 6H, ArCH ₃), 2.98 (s, 6H, N(CH ₃) ₂)
53	2.00 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
56	2.09 (s, 3H, ArCH ₃), 2.19 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂) and 3.77 (s, 3H, OCH ₃)
65	2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃) and 3.0 (s, 6H, N(CH ₃) ₂)
67	1.15 (d, 6H, CH(CH ₃) ₂), 2.10 (s, 3H, ArCH ₃), 3.05 (s, 6H, N(CH ₃) ₂) and 3.43 (m, 1H, <u>CH(CH₃)₂</u>)
70	2.20 (s, 6H, ArCH ₃ 0, 1.85 (s, 3H, CH ₃), 1.90 (s, 3H, CH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.35 (s, 3H, NCH ₃)
71	2.05 (s, 3H, ArCH ₃), 2.28 (s, 3H, ArCH ₃) and 3.00 (s, 6H, N(CH ₃) ₂)
74	2.06 (s, 3H, ArCH ₃), 2.13 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂) and 3.35 (s, 3H, CONCH ₃)
81	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 3H, N(CH ₃) ₂)
83	2.21 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
85	2.10 (s, 3H, ArCH ₃), 2.19 (s, 3H, ArCH ₃), 2.97 (s, 6H, N(CH ₃) ₂)
90	2.16 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂)
92	1.00 (t, 6H, (NCH ₂ CH ₃) ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.35 (m, 4H, N(CH ₂ CH ₃) ₂)
93	2.20 (s, 6H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
94	2.20 (s, 6H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
95	2.1 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
99	1.30 (s, 9H, C(CH ₃) ₃), 2.30 (m, 6H, ArCH ₃),
100	1.20 (t, 3H, NCH ₂ CH ₃), 1.30 (s, 9H, C(CH ₃) ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.0 (s, 3H, NCH ₃), 3.40 (b, 2H, <u>NCH₂CH₃</u>)
104	2.12 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.37 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
105	1.30 (d, 6H, CHCH ₃), 2.16 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂), 3.43 (m, 1H, <u>CH(CH₃)₂</u>)

Cmp	Data
108	1.30 (s, 3H, C(CH ₃) ₃), 1.95 (s, 3H, CCH ₃), 2.15 (s, 3H, ArCH ₃) 3.00 (s, 6H, N(CH ₃) ₂)
109	1.30 (s, 9H, C(CH ₃) ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
110	1.00 (t, 3H, CH ₂ CH ₃), 1.25 (s, 9H, C(CH ₃) ₃), 2.00 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 2.25 (q, 2H, CH ₂ CH ₃), 3.05 (s, 6H, N(CH ₃) ₂)
113	1.31 (s, 9H, C(CH ₃) ₃), 2.19 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.03 (s, 6H, N(CH ₃) ₂)
115	1.74 (s, 3H, pyrrCH ₃), 2.00 (s, 3H, ArCH ₃), 2.23 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂)
119	2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 2.6 (s, 3H, SCH ₃), 3.0 (s, 6H, N(CH ₃) ₂)
121	2.15 (s, 3H, ArCH ₃), 2.39 (s, 3H, ArCH ₃), 2.99 (s, 6H, N(CH ₃) ₂), 3.42 (s, 3H, NCH ₃)
123	1.4 (s, 9H, C(CH ₃) ₃), 2.2 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.0 (s, 6H, N(CH ₃) ₂)
124	0.88 (t, 3H, CH ₂ CH ₃), 1.27 (d, 3H, CHCH ₃), 1.66 (m, 2H, CHCH ₂ CH ₃), 2.14 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂), 3.19 (m, 1H, CHCH ₃)
125	2.10 (s, 3H, ArCH ₃), 2.18 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
126	2.20-2.22 (m, 9H, ArCH ₃ , CH=CCH ₃), 3.04 (s, 6H, N(CH ₃) ₂)
128	2.26 (s, 3H, ArCH ₃), 2.30 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.07 (s, 2H, NCH ₂)
129	1.10 (t, 6H, NCH ₂ CH ₃) ₂), 2.12 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.22 (q, 4H, N(CH ₂ CH ₃) ₂)
130	0.82 (t, 3H, CHCH ₃), 1.21 (d, 3H, CHCH ₃), 1.57 (q, 2H, CH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.19 (s, 3H, ArCH ₃), 2.54 (q, 1H, CHCH ₃), 3.01 (s, 6H, N(CH ₃) ₂)
134	2.20 (s, 3H, ArCH ₃), 2.23 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
135	2.08 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.04 (s, 6H, N(CH ₃) ₂)
136	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.90-3.00 (m, 12H, 2xN(CH ₃) ₂)
137	2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 3.0 (s, 6H, N(CH ₃) ₂)
138	2.2 (s, 3H, ArCH ₃), 2.3 (s, 3H, ArCH ₃), 3.1 (s, 6H, N(CH ₃) ₂)
139	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂)
140	1.35 (t, 3H, OCH ₂ CH ₃), 2.05 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃) 2.28 (s, 3H, SCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.35 (q, 2H, OCH ₂ CH ₃)
141	2.20 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.05 (s, 6H, NCH ₃)
142	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.95 (s, 6H, N(CH ₃) ₂)
143	1.85 (s, 3H, N=CCH ₃), 2.05 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 3.05 (s, 6H, NCH ₃)
148	2.09 (s, 3H, ArCH ₃), 2.26 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂)
149	1.20 (d, 6H, CH(CH ₃) ₂), 2.12 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.85 (m, 1H, CH(CH ₃) ₂), 3.00 (s, 6H, N(CH ₃) ₂)
150	2.09 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.57 (s, 3H, COCH ₃), 3.03 (s, 6H, N(CH ₃) ₂)
151	0.75 (s, 9H, C(CH ₃) ₃), 1.35 (s, 6H, C(CH ₃) ₂), 1.70 (s, 2H, CCH ₂ C), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)

Cmp	Data
152	1.21 (d, 6H, $\text{CH}(\text{CH}_3)_2$), 2.10 (s, 3H, ArCH_3), 2.20 (s, 3H, ArCH_3), 2.83 (m, 1H, $\text{CH}(\text{CH}_3)_2$), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$)
153	2.15 (s, 3H, ArCH_3), 2.3 (s, 3H, 3.0, ArCH_3), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$)
154	0.9 (m, 9H, $\text{CH}_3(\text{CH}_2)_3$), 1.6 (m, 2H, CH_2), 2.05 (s, 3H, ArCH_3), 2.15 (s 3H, ArCH_3), 2.74 (m, 2H, ArCH_2), 3.0 (s, 6H, $\text{N}(\text{CH}_3)_2$)
155	2.25 (s, 6H, ArCH_3), 2.55 (s, 6H, ArCH_3), 3.05 (s, 6H, $\text{N}(\text{CH}_3)_2$)
156	2.0 (s, 3H, ArCH_3), 2.2 (s, 3H, ArCH_3), 3.0 (s, 6H, $\text{N}(\text{CH}_3)_2$), 3.8 (s, 2H, CH_2)
157	1.95 (s, 3H, ArCH_3), 2.2 (s, 3H, ArCH_3), 3.0 (s, 6H, $\text{N}(\text{CH}_3)_2$), 3.85 (s, 2H, CH_2)
158	1.95 (s, 3H, ArCH_3), 2.2 (s, 3H, ArCH_3), 3.0 (s, 6H, $\text{N}(\text{CH}_3)_2$), 3.85 (m, 5H, $\text{OCH}_3, \text{CH}_2$)
159	2.3 (s, 6H, ArCH_3), 3.0 (s, 6H, $\text{N}(\text{CH}_3)_2$)
160	2.2 (s, 6H, ArCH_3), 3.0 (s, 6H, $\text{N}(\text{CH}_3)_2$)
161	1.2-1.9 (m, 10H, cyCH_2), 2.1 (s, 3H, ArCH_3), 2.2 (s, 3H, ArCH_3), 2.6 (m, 1H, CH), 3.0 (s, 6H, $\text{N}(\text{CH}_3)_2$)
162	2.2 (s, 3H, ArCH_3), 2.3 (s, 3H, ArCH_3), 3.05 (s, 6H, $\text{N}(\text{CH}_3)_2$)
163	2.2 (s, 3H, ArCH_3), 2.3 (s, 3H, ArCH_3), 3.05 (s, 6H, $\text{N}(\text{CH}_3)_2$)
164	2.2 (s, 3H, ArCH_3), 2.3 (s, 3H, ArCH_3), 3.05 (s, 6H, $\text{N}(\text{CH}_3)_2$)
165	2.15 (s, 3H, ArCH_3), 2.25 (s, 3H, ArCH_3), 2.5 (s, 3H, CH_3), 2.75 (s, 3H, CH_3), 3.0 (s, 6H, $\text{N}(\text{CH}_3)_2$)
166	2.1 (s, 3H, ArCH_3), 2.25 (s, 3H, ArCH_3), 3.0 (s, 6H, $\text{N}(\text{CH}_3)_2$), 3.7 (s, 3H, CH_3)
167	2.2 (s, 3H, ArCH_3), 2.25 (s, 3H, ArCH_3), 3.05 (s, 6H, $\text{N}(\text{CH}_3)_2$)
168	2.15 (s, 3H, ArCH_3), 2.25 (s, 3H, ArCH_3), 3.0 (s, 6H, $\text{N}(\text{CH}_3)_2$), 4.15 (s, 3H, OCH_3)
169	2.2 (s, 3H, ArCH_3), 2.25 (s, 3H, ArCH_3), 3.0 (s, 6H, $\text{N}(\text{CH}_3)_2$)
170	0.70 (t, 3H, CH_2CH_3), 1.25 (s, 6H, $\text{C}(\text{CH}_3)_2$), 1.60 (q, 2H, CH_2CH_3), 2.10 (s, 3H, ArCH_3), 2.20 (s, 3H, ArCH_3), 3.00 (s, 6H, NCH_3)
171	1.20 (t, 3H, CH_2CH_3), 2.15 (s, 3H, ArCH_3), 2.20 (s, 3H, ArCH_3), 2.60 (q, 2H, CH_2CH_3), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$)
172	0.70 (t, 3H, CH_2CH_3), 1.25 (s, 6H, CH_3), 1.60 (q, 2H, CH_2CH_3), 1.80 (s, 3H, $\text{N}=\text{CCH}_3$), 2.00 (s, 3H, ArCH_3), 2.10 (s, 3H, ArCH_3), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$)
173	2.09 (s, 3H, ArCH_3), 2.20 (s, 3H, ArCH_3), 2.30 (s, 3H, ArCH_3), 3.01 (s, 6H, $\text{N}(\text{CH}_3)_2$)
174	2.10 (s, 3H, ArCH_3), 2.19 (s, 9H, ArCH_3), 3.01 (s, 6H, $\text{N}(\text{CH}_3)_2$)
176	2.23 (s, 3H, ArCH_3), 2.35 (s, 3H, ArCH_3), 3.02 (s, 6H, $\text{N}(\text{CH}_3)_2$)
177	2.22 (s, 3H, ArCH_3), 2.34 (s, 3H, ArCH_3), 3.01 (s, 6H, $\text{N}(\text{CH}_3)_2$), 6.74 (d, 1H, thiophH), 6.84 (d, 1H, thiophH)
180	0.45-1.75 (m, 19H, C_9H_{19}), 2.10 (s, 3H, ArCH_3), 2.18 (s, 3H, ArCH_3), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$)
181	1.21 (t, 3H, CH_2CH_3), 2.10 (s, 3H, ArCH_3), 2.20 (s, 3H, ArCH_3), 2.60 (q, 2H, CH_2CH_3), 3.01 (s, 6H, $\text{N}(\text{CH}_3)_2$)
182	2.15 (s, 3H, ArCH_3), 2.22 (s, 3H, ArCH_3), 3.04 (s, 6H, $\text{N}(\text{CH}_3)_2$)
183	2.10 (s, 3H, ArCH_3), 2.20 (s, 3H, ArCH_3), 3.02 (s, 6H, $\text{N}(\text{CH}_3)_2$)
184	2.10 (s, 3H, ArCH_3), 2.20 (s, 3H, ArCH_3), 3.01 (s, 6H, $\text{N}(\text{CH}_3)_2$), 2.40 (s, 3H, SCH_3)

Cmp	Data
185	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
186	1.60 (s, 6H, C(CH ₃) ₂), 2.00 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 2.95 (s, 6H, N(CH ₃) ₂)
190	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
191	1.00 (t, 6H, N(CH ₂ CH ₃) ₂), 1.76 (s, 3H, N=CCH ₃), 1.97 (s, 3H, ArCH ₃), 2.18 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.35 (m, 4H, N(CH ₂) ₂)
196	2.16 (s, 3H, ArCH ₃), 2.19 (s, 3H, ArCH ₃), 2.96 (s, 6H, N(CH ₃) ₂)
197	2.20 (s, 3H, ArCH ₃), 2.23 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
198	1.20 (d, 6H, CHCH ₃) ₂), 2.00 (s, 3H, ArCH ₃), 2.05 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 2.95 (s, 6H, N(CH ₃) ₂), 3.30 (q, 1H, CH(CH ₃) ₂)
199	1.25 (d, 3H, CHCH ₃), 1.85 (s, 3H, =CCH ₃), 2.00 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.05 (s, 6H, N(CH ₃) ₂), 3.40 (q, 1H, CHCH ₃)
200	1.10 (t, 3H, CH ₂ CH ₃), 2.05 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.60 (s, q, 2H, CH ₂ CH ₃), 2.95 (s, 6H, N(CH ₃) ₂)
201	1.15 (t, 3H, CH ₂ CH ₃), 1.80 (s, 3H, =CCH ₃), 1.95 (s, 3H, ArCH ₃), 2.05 (s, 3H, ArCH ₃), 2.65 (q, 2H, CH ₂ CH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
202	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.233 (s, 3H, ArCH ₃), 2.40 (s, 3H, SCH ₃), 3.01 (s, 6H, N(CH ₃) ₂)
203	2.10 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
204	1.20 (t, 3H, CH ₂ CH ₃), 2.08 (s, ArCH ₃), 2.20 (s, ArCH ₃), 2.92 (q, 1H, CH ₂ CH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
207	2.30 (s, 3H, ArCH ₃) 3.05 (s, 6H, N(CH ₃) ₂)
208	1.20 (s, 6H, CH(CH ₃) ₂) 2.20 (s, 3H, ArCH ₃) 3.05 (s, 6H, N(CH ₃) ₂), 3.30 (q, 1H, CH(CH ₃) ₂)
209	1.85 (s, 3H, NCCH ₃) 2.10 (s, 3H, ArCH ₃) 3.10 (s, 6H, N(CH ₃) ₂)
210	1.47 (s, 9H, C(CH ₃) ₃), 2.32 (s, 3H, ArCH ₃), 3.04 (s, 6H, N(CH ₃) ₂)
213	2.13 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
214	2.2 (s, 3H, ArCH ₃), 2.3 (s, 3H, ArCH ₃), 3.0 (s, 6H, N(CH ₃) ₂), 5.2 (s, 1H, CHCN)
215	2.16 (s, 3H, ArCH ₃), 2.18 (s, 3H, ArCH ₃), 2.97 (s, 6H, N(CH ₃) ₂)
216	2.10-2.25 (m, 9H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
217	2.17 (s, 3H, ArCH ₃), 2.18 (s, 3H, ArCH ₃), 2.99 (s, 6H, N(CH ₃) ₂), 3.78 (s, 3H, OCH ₃)
219	2.10 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
220	2.20 (s, 6H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂), 5.18 (s, 2H, ArCH ₂ O)
221	2.10 (s, 3H, ArCH ₃), 2.19 (s, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.92 (s, 2H, OCH ₂ O)
222	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.27 (s, 6H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂)
223	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂), 3.73 (s, 6H, OCH ₃)
224	2.10 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
225	1.25 (m, 3H, NCH ₂ CH ₃), 2.20 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 2.35 (m, 2H, NCH ₂ CH ₃), 3.00 (s, 3H, NCH ₃)
227	2.35 (m, 6H, Ar(CH ₃) ₂)

Cmp	Data
230	1.22 (d, 6H, $\text{CH}(\text{CH}_3)_2$), 1.82 (s, 3H, $\text{N}=\text{CCH}_3$), 2.00 (s, 3H, ArCH_3), 2.10 (s, 3H, ArCH_3), 3.03 (s, 6H, $\text{N}(\text{CH}_3)_2$), 3.30 (q, 1H, $\text{CH}(\text{CH}_3)_2$)
231	2.1 (s, 3H, ArCH_3), 2.15 (s, 3H, ArCH_3), 2.9 (s, 6H, $\text{N}(\text{CH}_3)_2$), 3.7 (s, 3H, OCH_3), 5.1 (s, 1H, CHCO_2CH_3)
232	1.30 (t, 3H, NCH_2CH_3), 2.30 (m, 8H, $\text{Ar}(\text{CH}_3)_2$) + NCH_2CH_3), 3.45(br, 1H, NH)
233	1.47 (s, 9H, $\text{C}(\text{CH}_3)_3$), 1.79 (s, 3H, $\text{N}=\text{CCH}_3$), 2.15 (s, 3H, ArH), 3.06 (s, 6H, $\text{N}(\text{CH}_3)_2$)
235	2.12 (s, 3H, ArCH_3), 2.20 (s, 3H, ArCH_3), 2.50 (m, 1H, CH_2CCH), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$), 4.60 (d, 2H, CH_2CCH)
236	2.10 (s, 3H, ArCH_3), 2.20 (s, 3H, ArCH_3), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$)
237	1.90 (s, 3H, NCCH_3), 2.30 (s, 3H, ArCH_3), 3.10 (s, 6H, $\text{N}(\text{CH}_3)_2$)
238	1.75 (s, 3H, $\text{N}=\text{CCH}_3$), 1.95 (s, 3H, ArCH_3), 2.02 (s, ArCH_3), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$)
239	1.15 (t, 3H, CH_2CH_3), 1.75 (s, 3H, $\text{N}=\text{CCH}_3$), 1.95 (s, 3H, ArCH_3), 2.05 (s, ArCH_3), 2.54 (q, 2H, CH_2CH_3), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$)
240	1.75 (s, 3H, $\text{N}=\text{CCH}_3$), 1.95 (s, 3H, ArCH_3), 2.05 (s, ArCH_3), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$)
241	1.75 (s, 3H, $\text{N}=\text{CCH}_3$), 1.95 (s, 3H, ArCH_3), 2.02 (s, ArCH_3), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$)
242	1.80 (s, 3H, $\text{N}=\text{CCH}_3$), 1.95 (s, 3H, ArCH_3), 2.02 (s, 3H, ArCH_3), 2.40 (s, 3H, SCH_3), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$)
243	1.75 (s, 3H, $\text{N}=\text{CCH}_3$), 1.95 (s, 3H, ArCH_3), 2.00 (s, ArCH_3), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$)
244	1.75 (s, 3H, $\text{N}=\text{CCH}_3$), 1.95 (s, 3H, ArCH_3), 2.00 (s, ArCH_3), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$)
245	1.15 (t, 3H, CH_2CH_3), 1.78 (s, 3H, $\text{N}=\text{CCH}_3$), 1.93 (s, 3H, ArCH_3), 1.99 (s, ArCH_3), 2.88 (s, 2H, CH_2CH_3), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$)
246	1.70 (m, 6H, $\text{CH}_2\text{CH}_2\text{CH}_2$), 2.20 (s, 3H, ArCH_3), 2.25 (s, 3H, ArCH_3), 3.50 (m, 4H, CH_2NCH_2)
247	2.3 (s, 3H, ArCH_3), 3.04 (s, 6H, $\text{N}(\text{CH}_3)_2$)
248	0.20 (s, 9H, $\text{Si}(\text{CH}_3)_3$), 2.05 (s, 3H, ArCH_3), 2.15 (s, 3H, ArCH_3), 2.95 (s, 6H, $\text{N}(\text{CH}_3)_2$)
249	2.10 (s, 3H, ArCH_3), 2.20 (s, 3H, ArCH_3), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$), 3.1 (s, 1H, CCH)
251	1.15 (d, 6H, $\text{CH}(\text{CH}_3)_2$), 2.15 (s, 3H, ArCH_3), 2.20 (s, 3H, ArCH_3), 2.30 (s, 3H, ArCH_3), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$), 3.30 (q, 1H, $\text{CH}(\text{CH}_3)_2$)
254	1.00 (t, 3H, CH_2CH_3), 2.10 (s, 3H, ArCH_3), 2.20 (s, 3H, ArCH_3), 2.25 (q, 2H, CH_2CH_3), 3.05 (s, 6H, $\text{N}(\text{CH}_3)_2$)
255	1.05 (t, 3H, CH_2CH_3), 2.20 (s, 3H, ArCH_3), 2.30 (m, 2H, CH_2CH_3), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_2$)
256	1.10 (d, 6H, $\text{CH}(\text{CH}_3)_2$), 2.05 (s, 3H, ArCH_3), 2.10 (s, 3H, ArCH_3), 2.20 (s, 3H, ArCH_3), 2.95 (s, 6H, NCH_3), 3.30 (q, 1H, $\text{CH}(\text{CH}_3)_2$)
257	0.85 (s, 9H, $\text{C}(\text{CH}_3)_3$), 3.00 (s, 6H, $\text{N}(\text{CH}_3)_3$)
268	2.10 (s, 3H, ArCH_3), 2.21 (s, 3H, ArCH_3), 3.01 (s, 6H, $\text{N}(\text{CH}_3)_2$)

Cmp	Data
260	1.00 (s, 9H, C(CH ₃) ₃), 3.05 (s, 6H, N(CH ₃) ₂)
261	2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.00 (s, 2H, OCH ₂ Ph)
262	2.15 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
263	2.10 (s, 3H, ArCH ₃), 2.18 (s, 3H, ArCH ₃), 3.03 (s, 6H, 2N(CH ₃) ₂)
265	2.15 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
266	2.15 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.00 (s, 2H, CH ₂)
267	0.9-1.3 (m, 5H), 1.6-1.8 (m, 6H), 2.1 (s, 3H), 2.15 (s, 3H), 3.6 (d, 2H), 2.95 (d, 6H)
268	2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 4.2 (m, 4H, O(CH ₂) ₂ O)
269	1.2 (s, 9H, C(CH ₃) ₃), 2.1 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 4.1-4.25 (br, 4H, O(CH ₂) ₂ O)
270	1.85-2.0 (m, 4H, CH ₂ CH ₂), 2.1 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 3.8-4.0 (m, 4H, OCH ₂ , OCH ₂)
271	1.65-1.9 (m, 4H, (CH ₂) ₂), 2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 3.65-3.9 (m, 4H, OCH ₂ , NCH ₂)
272	1.4-1.8 (m, 6H, (CH ₂) ₃), 2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 3.8 (m, 4H, OCH ₂ , ArCH ₂)
273	1.2 (s, 9H, C(CH ₃) ₃), 1.90 (m, 2H, CH ₂), 2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 3.95-4.1 (m, 4H, (CH ₂) ₃)
274	1.1-1.2 (m, 2H, CH ₂), 1.3 (s, 9H, C(CH ₃) ₃), 1.9-2.0 (m, 2H, CH ₂), 2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 3.9-4.0 (m, 4H, OCH ₂ , OCH ₂)
275	1.25 (s, 9H, C(CH ₃) ₃), 1.9 (brs, 4H, (CH ₂) ₂), 2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 3.8-4.0 (br.d, 4H, O(CH ₂), OCH ₂)
276	1.35-1.8 (m, 6H, (CH ₂) ₃), 2.1 (d, 6H, Ar(CH ₃) ₂), 2.9 (s, 6H, N(CH ₃) ₂), 3.45 (m, 1H, CH), 3.6-4.0 (m, 4H, OCH ₂ , OCH ₂)
277	2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 2.2 (s, 2H, CH ₂), 2.9 (s, 6H, N(CH ₃) ₂), 4.05 (m, 2H, CH ₂), 4.1 (m, 2H, CH ₂)
278	1.2-1.8 (m, 20H, (CH ₂) ₁₀), 2.05 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 3.3 (m, 1H, CH), 3.45 (m, 1H, CH), 3.7 (m, 1H, CH), 3.8-3.9 (m, 3H, CH + CH ₂), 4.5 (m, 1H, CH)
279	2.15 (d, 6H, (ArCH ₃) ₂), 2.9 (s, 6H, N(CH ₃) ₂), 3.6 (s, 3H, OCH ₃), 3.75 (s, 3H, COOCH ₃), 4.8 (s, 2H, CH ₂)
280	2.05 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.9 (s, 6H, N(CH ₃) ₂), 3.0 (m, 2H, CH ₂), 4.05 (m, 2H, CH ₂)
281	0.95 (t, 3H, CH ₂ CH ₃), 1.30 (s, 9H, CCH ₃), 1.65 (q, 2H, CH ₂ CH ₃), 2.20 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.05 (s, 3H, NCH ₃), 3.30 (m, 2H, NCH ₂)
282	0.90 (t, 3H, CH ₂ CH ₃), 1.20 (s, 9H, CCH ₃), 1.30 (m, 2HCH ₂ CH ₂), 1.50 (m, 2H, CH ₂ CH ₂), 2.05 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 2.90 (s, 3H, NCH ₃), 3.20 (m, 2H, NCH ₂)
283	1.25 (d, 6H, CH(CH ₃) ₂), 1.30 (s, 9H, C(CH ₃) ₃), 2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.90 (s, 3H, N(CH ₃) ₂), 3.70 (m, 1H, CH(CH ₃) ₂)

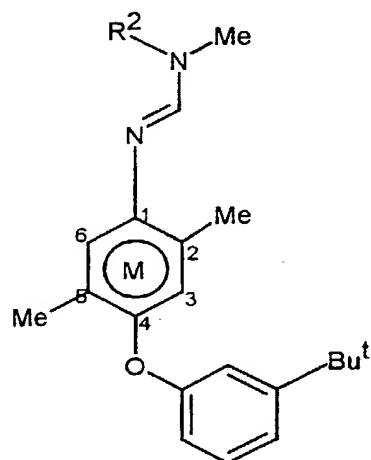
Cmp	Data
284	1.30 (s, 9H, C(CH ₃) ₃), 2.20 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃), 3.95 (m, 2H, NCH ₂), 5.25 (d, 2H, CH = CH ₂), 5.90 (m, 1H, CH = CH ₂)
285	1.00 (t, 3H, CH ₂ CH ₃), 1.25 (t, 3H, CH ₂ CH ₃), 1.30 (s, 9H, C(CH ₃) ₃), 1.40 (q, 2H, CH ₂ CH ₃), 1.65 (m, 2H, CH ₂ CH ₂), 2.20 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.40 (m, 4H, NCH ₂ , NCH ₂)
286	1.15 (t, 6H, (CH ₂ CH ₃) ₂), 1.20 (s, 9H, C(CH ₃) ₃), 2.05 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 3.35 (m, 4H, N(CH ₂ CH ₃) ₂)
287	1.50 (s, 9H, C(CH ₃) ₃), 2.00 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.95 (s, 6H, N(CH ₃) ₂)
288	1.21 (m, 9H, CH ₂ CH ₃ , C(CH ₃) ₃), 2.15 (d, 6H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₃), 3.61 (m, 1H, CH ₂ CH ₃), 3.05 (s, 6H, N(CH ₃) ₂), 3.92 (m, 1H, CH ₂ CH ₃)
289	1.20-1.80 (m, 10H, C ₅ H ₁₀), 2.05 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.90 (m, 1H, SCH)
290	0.80-2.00 (m, 11H, C ₆ H ₁₁), 2.15 (s, 3H, ArCH ₃), 2.18 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.70 (d, 2H, OCH ₂ C ₆ H ₁₁)
291	1.30 (d, 6H, CH(CH ₃) ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.45 (m, 1H, CH(CH ₃) ₂)
292	2.22 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.20 (t, 2H, OCH ₂ CH ₂ O), 4.35 (t, 2H, OCH ₂ CH ₂ O)
294	3.00 (s, 6H, N(CH ₃) ₂)
295	2.00 (m, 6H, (ArCH ₃) ₂), 2.95 (m, 6H, N(CH ₃) ₂), 3.30 (m, 2H, ArCH ₂ CH ₂), 4.05 (m, 2H, ArCH ₂ CH ₂)
296	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.05 (s, 2H, ArCH ₂ O)
297	1.25 (s, 9H, C(CH ₃) ₃), 3.00 (s, 6H, N(CH ₃) ₂)
298	2.10 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 3.05 (s, 6H, N(CH ₃) ₂)
301	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.05 (s, 2H, ArCH ₂ O)
302	0.90 (d, 6H, CH(CH ₃) ₂), 1.70 (m, 2H, CH ₂ CH(CH ₃) ₂), 1.78 (m, 1H, CH(CH ₃) ₂), 2.15 (s, 6H, Ar(CH ₃) ₂), 3.00 (s, 6H, N(CH ₃) ₂), 4.03 (t, 2H, OCH ₂ CH ₂)
303	1.33 (d, 6H, CH(CH ₃) ₂), 2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.42 (m, 1H, CH(CH ₃) ₂)
304	1.00 (s, 9H, C(CH ₃) ₃), 2.10 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 3.15 (s, 6H, N(CH ₃) ₂)
305	2.20 (s, 6H, Ar(CH ₃) ₂), 3.00 (s, 6H, N(CH ₃) ₂), 5.20 (s, 2H, ArCH ₂ O)
306	2.22 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.15 (s, 2H, ArCH ₂ O)
310	1.20 (t, 3H, CH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃), 3.40 (m, 2H, CH ₂ CH ₃)
311	1.25 (m, 9H, CH ₂ CH ₃ + CH(CH ₃) ₂), 2.15 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 2.90 (q, 1H, CH(CH ₃) ₂), 3.05 (s, 3H, NCH ₃), 3.40 (m, 2H, CH ₂ CH ₃)
312	1.25 (t, 3H, CH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃), 3.40 (m, 2H, CH ₂ CH ₃), 3.75 (s, 3H, OCH ₃)

Cmp	Data
313	0.70 (t, 3H, CH ₂ CH ₃), 1.25 (t, 3H, <u>CH₂CH₃</u>), 1.30 (s, 6H, C(CH ₃) ₂), 1.65 (q, 2H, <u>CH₂CH₃</u>), 2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃), 3.40 (b, 2H, NCH ₂)
314	0.70-1.70 (m, 24H, C ₁₀ H ₂₁ + CHCH ₃), 2.02 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 2.95 (s, 6H, N(CH ₃) ₂), 4.20 (m, 1H, OCH (CH ₃)C)
315	1.30 (d, 6H, CH(CH ₃) ₂), 2.18 (s, 6H, Ar(CH ₃) ₂), 3.00 (s, 6H, N(CH ₃) ₂), 4.55 (m, 1H, <u>CH(CH₃)₂</u>)
316	1.10 (d, 12H, CH(CH ₃) ₂), 2.00 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
317	2.10 (s, 3H, ArCH ₃), 2.19 (s, 3H, ArCH ₃), 2.26 (s, 3H, ArCH ₃), 3.01 (s, 6H, N(CH ₃) ₂), 3.47 (s, 3H, OCH ₃)
318	2.10 (s, 3H, ArCH ₃), 2.19 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
319	0.75-1.85 (m, 24H, C ₁₀ H ₂₁ + CHCH ₃), 2.18 (s, 6H, Ar(CH ₃) ₂), 3.00 (s, 6H, N(CH ₃) ₂), 4.38 (m, 1H, C ₁₀ H ₂₁ CHCH ₃)
320	0.90 (d, 6H, CH (CH ₃) ₂), 1.60 (t, 2H, OCH ₂ CH ₂ CH), 1.70 (m 1H <u>CH(CH₃)₂</u>), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.00 (t, 2H, <u>OCH₂CH₂</u>)
321	1.30 (d, 6H, CH(CH ₃) ₂), 2.25 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.50 (m, 1H, <u>CH(CH₃)₂</u>)
323	2.20 (m, 6H, ArCH ₃), 8.60-8.35 (m, 1H, NH)
324	1.30 (s, 9H, C(CH ₃) ₃), 2.25 (m, 6H, ArCH ₃), 8.30-8.60 (m, 1H, NH)
325	1.25 (t, 3H, CH ₂ CH ₃), 2.15 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃), 3.40 (m, 2H, <u>CH₂CH₃</u>)
326	1.30 (s, 9H, C(CH ₃) ₃), 1.25 (t, 3H, CH ₂ CH ₃), 2.15 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃), 3.40 (m, 2H, <u>NCH₂CH₃</u>)
328	2.20 (s, 3H, ArCH ₃), 2.24 (s, 3H, ArCH ₃), 3.02 (s, 6H, N(CH ₃) ₂)
329	2.00 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.95 (s, 3H, NCH ₃), 3.85 (m, 2H, NCH ₂), 5.15 (d, 2H, <u>CHCH₂</u>), 5.80 (m, 1H, <u>CHCH₂</u>)
330	1.20 (d, 3H, CH(CH ₃) ₂), 2.00 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.85 (s, 3H, NCH ₃), 3.60 (m, 1H, <u>CHCH₃</u>)
331	0.90 (t, 3H, CH ₂ CH ₃), 1.30 (m, 2H, CH ₂ CH ₂), 1.55 (m, 2H, CH ₂ CH ₂), 2.00 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.95 (s, 3H, NCH ₃), 3.20 (m, 2H, NCH ₂)
334	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
335	1.25 (t, 3H, CH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃), 3.40 (m, 2H, <u>CH₂CH₃</u>)
336	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
340	0.93 (d, 6H, CH(CH ₃) ₂), 1.60 (t, 2H, OCH ₂ CH ₂ CH), 1.80 (m, 1H, <u>CH(CH₃)₂</u>), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.90 (t, 2H, <u>OCH₂CH₂</u>)
341	0.70-1.85 (m, 11H, C ₆ H ₁₁), 2.05 (s, 3H, ArCH ₃), 2.13 (s, 3H, ArCH ₃), 2.92 (s, 6H, N(CH ₃) ₂), 3.60 (d, 2H, <u>OCH₂Ar</u>)
342	2.15 (s, 3H, ArCH ₃), 2.23 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.00 (s, 2H, <u>OCH₂Ar</u>)
343	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.50 (d, 1H, <u>CH₂CCH</u>), 3.00 (s, 6H, N(CH ₃) ₂), 4.60 (d, 2H, <u>OCH₂CCH</u>)

Cmp	Data
344	2.12 (s, 3H, ArCH ₃), 2.22 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.45 (d, 2H, OCH ₂ CHCH ₂), 5.22-5.42 (m, 2H, OCH ₂ CHCH ₂), 6.00 (m, 1H, OCH ₂ CHCH ₂)
345	2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.25 (brs, 4H, ArOCH ₂ CH ₂ O)
346	2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.30 (s, 6H, N(CH ₃) ₂)
347	1.25 (s, 9H, C(CH ₃) ₃), 2.10 (s, 3H, ArCH ₃), 2.30 (s, 3H, ArCH ₃), 3.05 (b, 6H, N(CH ₃) ₂)
348	0.30 (m, 2H, cyCH ₂), 0.60 (m, 2H, cyCH ₂), 1.20 (m, 1H, cyCH), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.72 (d, 2H, OCH ₂ C ₃ H ₅)
349	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.20 (s, 2H, COCH ₂ O)
350	2.07 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.75 (s, 3H, OCH ₃), 4.52 (s, 2H, COCH ₂ O)
352	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.60 (s, 2H, COCH ₂ O), 5.20 (s, 2H, PhCH ₂ O)
353	2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.30 (s, 6H, N(CH ₃) ₂)
354	1.60-2.30 (m, 4H, THF), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.70-4.00 (m, 4H, THF + OCH ₂) 4.20 (m, 1H, THF)
355	1.20-1.95 (m, 6H, THP), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.40-4.10 (m, 5H, THP + OCH ₂)
357	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
358	1.10 (t, 3H, OCH ₂ CH ₃), 1.60 (d, 3H, CHCH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.20 (q, 2H, OCH ₂ CH ₃), 4.60 (q, 1H, CHCH ₃)
359	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.25 (q, 2H, OCH ₂ CF ₃)
360	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.40 (m, 4H, OCH ₂ CH ₂ CH ₂), 3.00 (s, 6H, N(CH ₃) ₂), 3.40 (t, 2H, CH ₂ CH ₂ CN) 3.90 (t, 2H, OCH ₂ (CH ₂) ₃)
361	2.05 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
362	1.00 (s, 9H, C(CH ₃) ₃), 2.00 (s, 6H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
363	2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
364	1.2 (t, 3H, NCH ₂ CH ₃) 2.05 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 3 (s, 3H, NCH ₃), 3.35 (br, 2H, NCH ₂ CH ₃)
365	2.1 (s, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 3.0 (s, 6H, N(CH ₃) ₂)
366	2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 3.0 (s, 6H, N(CH ₃) ₂)
367	2.00 (s, 3H, CH ₃ CO), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.98 (s, 6H, N(CH ₃) ₂)
368	2.00 (s, 6H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
369	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.40 (s, 6H, Het(CH ₃) ₂), 3.00 (s, 6H, N(CH ₃) ₂)
370	0.90 (d, 6H, CH ₂ CH(CH ₃) ₂), 1.80 (m, 1H, CH ₂ CH(CH ₃) ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.40 (d, 2H, CH ₂ CH(CH ₃) ₂), 3.00 (s, 6H, N(CH ₃) ₂)
371	1.60 (s, 6H, C(CH ₃) ₂), 1.95 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 2.95 (s, 6H, N(CH ₃) ₂)

Cmp	Data
372	1.05 (t, 6H, CH(CH ₃) ₂), 1.25 (t, 3H, OCH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.23 (m, 1H, <u>CH</u> (CH ₃) ₂), 3.00 (s, 6H, N(CH ₃) ₂), 4.20 (q, 2H, OCH ₂ CH ₃)
373	2.1 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 3.35 (s, 3H, NCH ₃)
374	1.45 (t, 3H, NCH ₂ CH ₃), 2.15 (s, 3H, ArCH ₃), 2.2 (s, 3H, ArCH ₃), 3.8 (q, 2H, NCH ₂ CH ₃)
375	2.15 (s, ArCH ₃), 2.25 (s, ArCH ₃), 2.6 (s, 3H, NC(O)CH ₃)
376	1.45 (d, 3H, CHCH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.22 (s, 3H, COCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.55 (q, 1H, <u>OCH</u> CH ₃)
377	0.93 (m, 6H, (CHCH ₂ CH ₃) ₂), 1.60 (m, 4H, (CHCH ₂ CH ₃) ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.00 (m, 1H, <u>OCH</u>)
378	1.5-2.9 (m, 9H, cyp), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.30 (s, 6H, N(CH ₃) ₂)
379	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
380	1.22 (t, 3H, OCH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.00 (s, 3H, OCH ₃), 4.25 (q, 2H, OCH ₂ CH ₃)
381	2.05 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
382	0.85 (t, 3H, CH ₂ CH ₃), 1.25 (m, 6H, CH ₂ CH ₂), 1.55 (m, 2H, Ar <u>CH₂CH₂), 2.05 (s, 3H, ArCH₃), 2.20 (s, 3H, ArCH₃), 2.50 (t, 2H, <u>CH₂CH₃), 3.00 (s, 6H, NCH₃)</u></u>
383	2.00 (s, 6H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
384	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.45 (s, 6H, (OCH ₃) ₂), 3.93 (d, 2H, OCH ₂), 4.68 (t, 1H, (CH ₃ O) ₂ <u>CH</u> CH ₂)
385	1.23 (t, 6H, (CH ₃ CH ₂ O) ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.55-3.80 (m, 4H, (CH ₃ CH ₂ O) ₂), 3.95 (d, 2H, OCH ₂), 4.78 (t, 1H, (CH ₃ CH ₂ O) ₂ CH)
386	1.32 (s, 9H, C(CH ₃) ₃), 2.13 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 4.30 (m, 4H, OCH ₂ CH ₂ O)
388	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
390	1.30 (s, 6H, PhC(CH ₃) ₂), 2.05 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.80 (s, 2H, PhCCH ₂), 3.05 (s, 6H, N(CH ₃) ₂)
391	1.55 (s, 6H, C(CH ₃) ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.05 (s, 6H, N(CH ₃) ₂)
392	1.50 (s, 6H, C(CH ₃) ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 3.05 (s, 3H, OCH ₃)
393	1.25 (t, 3H, CH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃), 3.40 (b, 2H, CH ₂ CH ₃)
394	2.00 (s, 3H, ArCH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)
395	1.20 (t, 3H, CH ₂ CH ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.40 (s, 3H, ArCH ₃), 3.00 (s, 3H, N(CH ₃), 3.40 (br, 2H, NCH ₂)
396	1.30 (s, 9H, C(CH ₃) ₃), 1.90 (m, 4H, CH ₂ CH ₂), 2.15 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.5 (m, 4H, CH ₂ NCH ₂)
397	2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)

The following compounds of formula Ib (see Table 3), i.e. compounds of general formula I where R¹ is hydrogen, R³ is methyl, R⁴ is methyl, R⁵ is methyl substituted at the 5-position of the phenyl ring, -A-R⁶ is para to the amidine moiety and is 3-But^t-phenoxy, may be prepared by methods analogous to those of Examples 1 to 17 Where the moiety depicted on the right side of linkage A is attached to R⁶.



(Ib)

10

Table 3

Cmp	R ²	m.p./°C
501	1-Me-piperidin-4-yl	oil
502	2-dimethylaminoethyl	oil ^
503	ethoxycarbonylmethyl	oil
504	propargyl	oil
505	2,2-dimethoxyethyl	oil
506	2-hydroxyethyl	oil
507	cyclopropyl	oil
508	cyclohexyl	oil

Those compounds in Table 3 which do not have discrete melting points have the characteristic ¹H N.M.R. shown in Table 4 below.

Table 4

Cmp	Data
501	1.25 (s, 9H, C(CH ₃) ₃), 1.70-2.05 (m, 8H, cyCH ₂), 2.10 (s, 3H, ArCH ₃), 2.15 (s, 3H, ArCH ₃), 2.30 (s, 3H, NCH ₃), 2.90 (s, 3H, NCH ₃)
502	1.20 (s, 9H, C(CH ₃) ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 2.25 (s, 6H, CH ₂ N(CH ₃) ₂), 2.45 (m, 2H, NCH ₂), 3.00 (s, 3H, NCH ₃), 3.40 (m, 2H, NCH ₂)
503	1.00 (t, 3H, CH ₂ CH ₃), 1.30 (s, 9H, C(CH ₃) ₃), 2.15 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.10 (s, 3H, NCH ₃), 4.15 (s, 2H, NCH ₂), 4.25 (q, 2H, CH ₂ CH ₃)
504	1.30 (s, 9H, C(CH ₃) ₃), 2.15 (s, 3H, ArCH ₃), 2.20 (s, 2H, ArCH ₃), 2.30 (s, 1H, CHC), 3.10 (s, 3H, NCH ₃), 4.20 (s, 2H, NCH ₂)
505	1.30 (s, 9H, C(CH ₃) ₃), 2.20 (s, 3H, ArCH ₃), 2.25 (s, 3H, ArCH ₃), 3.15 (s, 3H, NCH ₃), 3.50 (s, 6H, OCH ₃), 3.60 (m, 2H, NCH ₂), 4.60 (m, 1H, CH)
506	1.20 (s, 9H, C(CH ₃) ₃), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃), 3.05 (s, 3H, NCH ₃), 3.55 (s, 2H, OCH ₂), 3.80 (s, 2H, NCH ₂), 5.95 (m, 1H, OH)
507	1.30 (s, 9H, C(CH ₃) ₃), 0.60 (s, 2H, cyCH ₂), 0.70 (s, 2H, cyCH ₂), 2.10 (s, 3H, ArCH ₃), 2.20 (s, 3H, ArCH ₃)
508	0.85-1.90 (m, 10H, cyCH ₂), 1.30 (s, 9H, C(CH ₃) ₃), 2.15 (s, 3H, CH ₃), 2.20 (s, 3H, ArCH ₃), 3.00 (s, 3H, NCH ₃)

Example 18N,N-Dimethyl-N'-[4-(3-trifluoromethylphenoxy)-2,5-xylyl]formamidine sulfate salt5 (Compound 602)

To a solution of the compound 1 (see Table 1) (0.3g) in ethanol (0.3 ml) was added dropwise concentrated sulfuric acid (0.098 g). The mixture was filtered and the resulting solid was washed with diethyl ether to give the title compound as a solid, m.p. 178-80 °C.

10

The following compounds of formula X (see Table 4), i.e. salts of general formula I where -A-R⁶ is para to the amidine moiety, R¹ is hydrogen, R⁴ is methyl, An is an anion and u is 1 or 2 depending on the valency of the anion, may be prepared by methods analogous to Example 18

15

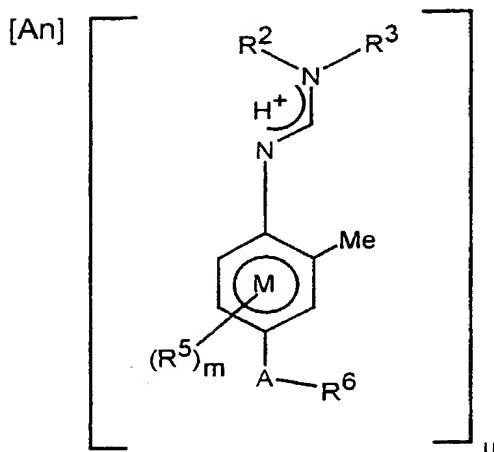


Table 4

Cmp	R ²	R ³	(R ⁵) _m	A	R ⁶	An ⁻	m.p./°C
600	Me	Me	5-Me	-OCH ₂ -	3-CF ₃ -phenyl	sulfate	215-7
601	Me	Me	5-Me, 6-Br	O	3-CF ₃ -phenyl	sulfate	114-8
602	Me	Me	5-Me	O	3-CF ₃ -phenyl	sulfate	178-80
603	Me	Me	5-Me	O	3-CF ₃ -phenyl	chloride	152-4
604	Me	Me	5-Me	O	3-CF ₃ -phenyl	<i>p</i> -toluenesulfonate	133-5
605	Me	Me	5-Me	O	3-CF ₃ -phenyl	saccharinate	oil
606	Me	Me	5-Me	O	3-CF ₃ -phenyl	trifluoroacetate	141-3
607	Me	Me	5-Me	O	3-CF ₃ -phenyl	methanesulfonate	151-3
608	Me	Me	5-Me	O	3-CF ₃ -phenyl	oxalate	184-6
609	Me	Me	5-Me	O	3-CF ₃ -phenyl	camphorsulfonate	oil
610	-(CH ₂) ₄ -		5-Me	O	3-CF ₃ -phenyl	chloride	159-63
611	Me	Me	5-Me	O	3-Ph-1,2,4-thiadiazol-5-yl	chloride	80

Those compounds in Table 4 which do not have discrete melting points have the following characteristic ¹H N.M.R. data in CDCl₃.

Compound 605

¹H N.M.R. δ(ppm) 2.15 (s, 3H, ArCH₃), 2.25 (s, 3H, ArCH₃), 3.20 (s, 3H, N(CH₃)), 3.25 (s, 3H, N(CH₃)), 10.20-10.80 (br, 1H, NH)

5

Compound 609

¹H N.M.R. δ(ppm) 0.75 (s, 3H, CCH₃), 1.05 (s, 3H, CCH₃), 1.25 (d, 2H, CH₂), 1.75-1.95 (m, 3H), 2.15 (s, 3H, ArCH₃), 2.20 (m, 1H, CH), 2.25 (s, 3H, ArCH₃), 2.35 (d, 1H, CH), 2.60 (t, 1H, CH), 2.85 (d, 1H, CH), 3.20 (s, 3H, N(CH₃)), 3.30 10 (s, 3H, N(CH₃)).

The following compounds of formula Ic (see Table 5), i.e. compounds of general formula I where R¹ and R⁵ are hydrogen, R², R³ and R⁴ are methyl, may be prepared by methods analogous to those of Examples 1 to 17. Where the moiety 15 depicted on the right side of linkage A is attached to R⁶.

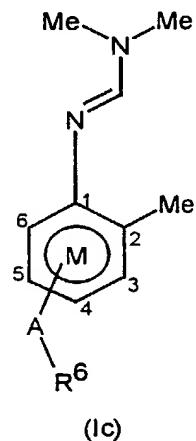


Table 5

Cmp	Pos ⁿ of -A-R ⁶	A	R ⁶	Data (m.p./°C or 1H N.M.R)
700	5	-OCH ₂ -	3-CF ₃ -phenyl	2.00 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂), 5.05 (s, 2H, ArCH ₃)
701	5	-OCH ₂ -	4-But ^t -phenyl	85-7 °C
702	3	-O-	3-CF ₃ -phenyl	2.10 (s, 3H, ArCH ₃), 3.00 (s, 6H, N(CH ₃) ₂)

Test Examples

Compounds were assessed for activity against one or more of the following:

Phytophthora infestans: late tomato blight

Plasmopara viticola: vine downy mildew

5 *Erysiphe graminis f. sp. tritici*: wheat powdery mildew

Pyricularia oryzae: rice blast

Leptosphaeria nodorum: glume blotch

Aqueous solutions or dispersions of the compounds at the desired concentration,
10 including a wetting agent, were applied by spray or by drenching the stem base of
the test plants, as appropriate. After a given time, plants or plant parts were
inoculated with appropriate test pathogens before or after application of the
compounds as appropriate, and kept under controlled environmental conditions
suitable for maintaining plant growth and development of the disease. After an
15 appropriate time, the degree of infection of the affected part of the plant was
visually estimated. Compounds are assessed on a score of 1 to 3 where 1 is little
or no control, 2 is moderate control and 3 is good to total control. At a
concentration of 500 ppm (w/v) or less, the following compounds scored 2 or
more against the fungi specified.

20

Phytophthora infestans

7, 8, 28, 30, 36, 46, and 271.

Plasmopara viticola

25 149, 331, 373 and 364.

Erysiphe graminis f. sp. Tritici

1-5, 7-9, 11-13, 15-24, 26, 28-41, 43, 45, 46, 48, 51, 52, 55, 56, 58, 59, 61,
62, 65, 68, 76, 84, 86, 90, 100, 101, 104-106, 109, 112, 113, 120, 123, 124,
30 130, 135, 138, 139, 140, 141, 143, 146, 149, 160, 166, 171, 173-175, 183,
187-190, 193-196, 200, 203-205, 207-209, 213, 215-217, 223, 225, 228,
231, 232, 234, 237, 246, 250, 252, 253, 256, 258, 259, 261, 262, 264, 266-
272, 277, 279, 281, 282, 284, 286-288, 290, 291, 295, 298, 299, 301, 303,
310-312, 318, 325, 326, 330, 331, 335, 346, 347, 349, 351, 353, 355-357,

359, 361, 364, 365-368, 370-372, 374, 376-379, 392, 396, 398, 502, 504,
600, 601, 610 and 611.

Pyricularia oryzae

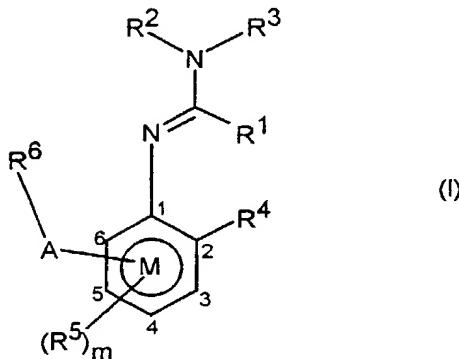
5 7, 17, 20, 21, 23, 26-28, 30, 32, 34, 36, 38, 41, 43, 45, 51, 54, 55, 59, 63,
94, 140, 143, 146, 163, 225, 325, 352, 353, 360, 368, 600 and 611.

Leptosphaeria nodorum

1, 2, 5, 7, 8, 15, 27, 29, 35, 37, 41, 43, 45, 48, 56, 59, 61, 72, 100, 130,
10 160, 170, 181, 194, 208, 214, 235, 246, 283, 284, 290, 303, 310, 311, 312,
325, 326, 351, 364, 369, 378 and 392

Claims

1 The use of a compound of general formula I and salts thereof as fungicides



5

wherein

R¹ is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted, or hydrogen;

10 R² and R³, which may be the same or different, are any group defined for R¹; cyano; acyl; -OR^a or -SR^a, where R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; or R² and R³, or R² and R¹, together with their interconnecting atoms may form a ring, which may be substituted;

15 R⁴ is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; hydroxy; mercapto; azido; nitro; halogen; cyano; acyl; optionally substituted amino; cyanato; thiocyanato; -SF₅; -OR^a; -SR^a or -Si(R^a)₃;

m is 0 to 3;

when present R⁵, which may be the same or different to any other R⁵, is 20 any group defined for R⁴;

R⁶ is optionally substituted carbo- or heterocyclyl; and
A is a direct bond, -O-, -S(O)_n-, -NR⁹-, -CR⁷=CR⁷-, -C≡C-, -A¹-, -A¹-A¹-,
-O-(A¹)_k-O-, -O-(A¹)_k-, -A³-, -A⁴-, -A¹O-, -A¹S(O)_n-, -A²-, OA²-,
-NR⁹A²-, -OA²-A¹-, -OA²-C(R⁷)=C(R⁸)-, -S(O)_nA¹-, -A¹-A⁴-,
-A¹-A⁴-C(R⁸)=N-N=CR⁸-, -A¹-A⁴-C(R⁸)=N-X²-X³-, -A¹-A⁴-A³-,
25

$-A^1-A^4-N(R^9)-$, $-A^1-A^4-X-CH_2-$, $-A^1-A^4-A^1-$, $-A^1-A^4-CH_2X-$,
 $-A^1-A^4-C(R^8)=N-X^2-X^3-X^1-$, $-A^1-X-C(R^8)=N-$, $-A^1-X-C(R^8)=N-N=CR^8-$,
 $-A^1-X-C(R^8)=N-N(R^9)-$, $-A^1-X-A^1-X^1-$, $-A^1-O-A^3-$, $-A^1-O-C(R^7)=C(R^8)-$,
 $-A^1-O-N(R^9)-A^2-N(R^9)-$, $-A^1-O-N(R^9)-A^2-$, $-A^1-N(R^9)-A^2-N(R^9)-$,
5 $-A^1-N(R^9)-A^2-$, $-A^1-N(R^9)-N=C(R^8)-$, $-A^3-A^1-$, $-A^4-A^3-$, $-A^2-NR^9-$,
 $-A^1-A^2-X^1-$, $-A^1-A^1-A^2-X^1-$, $-O-A^2-N(R^9)-A^2-$, $-CR^7=CR^7-A^2-X^1-$,
 $-C\equiv C-A^2-X^1-$, $-N=C(R^8)-A^2-X^1-$, $-C(R^8)=N-N=C(R^8)-$, $-C(R^8)=N-N(R^9)-$,
 $-(CH_2)_2-O-N=C(R^8)-$ or $-X-A^2-N(R^9)-$

where

10 n is 0, 1 or 2,

k is 1 to 9,

A^1 is $-CHR^7-$,

A^2 is $-C(=X)-$,

A^3 is $-C(R^8)=N-O-$,

15 A^4 is $-O-N=C(R^8)-$,

X is O or S,

X^1 is O, S, NR^9 or a direct bond,

X^2 is O, NR^9 or a direct bond,

X^3 is hydrogen, $-C(=O)-$, $-SO_2-$ or a direct bond,

20 R^7 , which may be the same or different to any other R^7 , is alkyl, cycloalkyl or phenyl, each of which may be substituted; or is hydrogen, halogen, cyano or acyl;

R^8 , which may be the same or different to any other R^8 , is alkyl, alkenyl, alkynyl, alkoxy, alkylthio, carbo- or heterocyclyl, each of which may be substituted; or is hydrogen;

25 R^9 , which may be the same or different to any other R^9 , is optionally substituted alkyl, optionally substituted carbo- or heterocyclyl, hydrogen or acyl; or two R^9 groups on A, together with the connecting atoms, form a 5 to 7 membered ring;

30 where the moiety depicted on the right side of linkage A is attached to R^6 ;

or $-A-R^6$ and R^5 together with benzene ring M form an optionally substituted fused ring system.

- 2 The use according to claim 2 wherein R^1 is alkyl, alkenyl or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl; or is hydrogen.
- 3 The use according to claim 1 wherein R^1 is C_1-C_{10} alkyl or hydrogen.
- 10 4 The use according to any preceding claim wherein R^2 and R^3 , which may be the same or different, are alkyl, alkenyl or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen, optionally substituted phenyl; or is hydrogen; alkoxy; alkoxyalkoxy; benzyloxy; cyano; or alkylcarbonyl.
- 15 5 The use according to claim 4 wherein R^2 and R^3 , which may be the same or different, are C_1-C_{10} alkyl or hydrogen.
- 20 6 The use according to any preceding claim wherein R^4 is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl; or is hydroxy; halogen; cyano; acyl; alkoxy; haloalkoxy; or alkylthio.
- 25 7 The use according to claim 6 wherein R^4 is C_1-C_{10} alkyl or halogen.
- 8 The use according to any preceding claim wherein m is 0 or 1.
- 30 9 The use according to any preceding claim wherein, when present, R^5 is a group defined for R^4 in claim 6.
- 10 The use according to any preceding claim wherein when present, the group R^5 is attached at the 5 position of ring M.

11 The use according to any preceding claim wherein A is a direct bond, -O-, -S(O)_nA¹-, -O(A¹)_k-, -S(O)_n-, -NR⁹A²-, -A²-, -OA²-, -OA²-A¹-, -NR⁹- or -O(A¹)_kO-.

5 12 The use according to claim 11 wherein A is a direct bond, -O-, -S-, -NR⁹-, -CHR⁷- or -O-CHR⁷-.

10 13 The use according to any preceding claim wherein, when present, R⁹ is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl; or is hydrogen

14 The use according to any preceding claim wherein, when present, R⁷ is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl; or is hydroxy; halogen; cyano; acyl; alkoxy; haloalkoxy; alkylthio; or hydrogen.

15 15 The use according to any preceding claim wherein A is attached to the 4 position of benzene ring M.

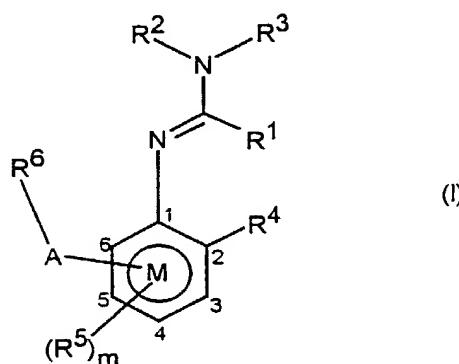
20 16 The use according to any preceding claim wherein R⁶ is optionally substituted phenyl or optionally substituted aromatic heterocyclyl.

25 17 The use according to any preceding claim wherein when substituted, R⁶ may be substituted by one or more substituents, which may be the same or different, and may be selected from the list: alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; hydroxy; mercapto; azido; nitro; halogen; cyano; acyl; optionally substituted amino; cyanato; thiocyanato; -SF₅; -OR^a; -SR^a and -Si(R^a)₃, where R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted.

30 18 The use according to claim 17 wherein when substituted, R⁶ may be substituted by one or more substituents, which may be the same or

different, and may be selected from the list: hydroxy; halogen; cyano; acyl; amino; alkylamino; dialkylamino; alkyl; haloalkyl; R^aO -alkyl; acyloxyalkyl; cyano-oxyalkyl; alkoxy; haloalkoxy; alkylthio; carbocyclyl, optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio; and benzyl 5 optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio.

19 The use of a compound of general formula I and salts thereof as fungicides



10 wherein:

R^1 is alkyl, alkenyl or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio or halogen; or is hydrogen;

15 R^2 and R^3 , which may be the same or different, are as defined for R^1 , or are alkoxy, alkoxyalkoxy, benzyloxy, cyano or alkylcarbonyl;

R^4 is alkyl, alkenyl or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio or halogen; or is

20 hydroxy; halogen; cyano; or acyl;

m is 0 or 1;

when present, R^5 is a group defined for R^4 ;

A is a direct bond, $-O-$, $-S-$, $-NR^9-$, $-CHR^7-$ or $-O-CHR^7-$,

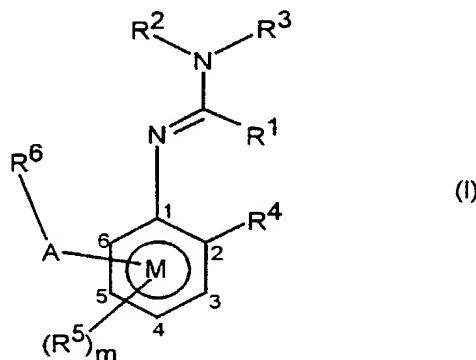
25 wherein, when present, R^9 is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, or halogen; or is hydrogen; and R^7 is a group defined for

R^9 , or is hydroxy; halogen; cyano; acyl; alkoxy; haloalkoxy or alkylthio;

A is attached to the 4 position of benzene ring M; and

R^6 is phenyl or aromatic heterocyclyl, optionally substituted by one or more substituents, which may be the same or different, and may be selected from the list: hydroxy; halogen; cyano; acyl; amino; alkylamino; dialkylamino; alkyl; haloalkyl; R^a O-alkyl; acyloxyalkyl; cyano-oxyalkyl; alkoxy; haloalkoxy; alkylthio; carbocyclyl, optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio; and benzyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio.

20 A compound of general formula I and salts thereof



15

wherein

R^1 is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted, or is hydrogen;

20

R^2 and R^3 , which may be the same or different, are any group defined for R^1 , or together with the nitrogen to which they are attached may form a ring, which may be substituted;

R^4 is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted;

m is 1;

25

R^5 is any group defined for R^4 attached to the 5-position of the benzene ring M;

R^6 is optionally substituted carbo- or heterocyclyl; and

A is a direct bond; -O-; -S-; -NR⁹-, where R⁹ is alkyl, alkenyl, or alkynyl, each of which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or optionally substituted phenyl; -CHR⁷- or -O-CHR⁷-, where R⁷ is alkyl, alkenyl, or alkynyl, which may be substituted by alkoxy, haloalkoxy, alkylthio, halogen or phenyl optionally substituted by alkyl, haloalkyl, alkoxy, haloalkoxy or alkylthio; or is hydroxy; halogen; cyano; acyl; alkoxy; haloalkoxy; or alkylthio;

5 where -A-R⁶ is in the 4-position of the benzene ring M and the moiety depicted on the right side of linkage A is attached to R⁶;

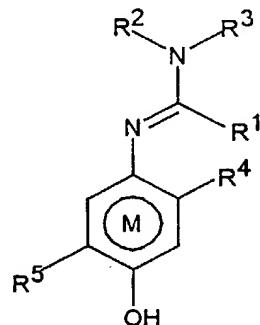
10 or -A-R⁶ and R⁵ together with benzene ring M form an optionally substituted fused ring system.

21 A fungicidal composition comprising at least one compound as claimed in claim 20 in admixture with an agriculturally acceptable diluent or carrier.

15

22 A method of combating fungi at a locus infested or liable to be infested therewith, which comprises applying to the locus a compound as defined in any preceding claim.

20 23 A compound of general formula XIIa,



(XIIa)

where

R¹ is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted, or is hydrogen;

25 R² and R³, which may be the same or different, are any group defined for R¹; cyano; acyl; -OR^a or -SR^a, where R^a is alkyl, alkenyl, alkynyl,

carbocyclyl or heterocyclyl, each of which may be substituted; or R^2 and R^3 , or R^2 and R^1 , together with their interconnecting atoms may form a ring, which may be substituted;

5 R^4 is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; and

R^5 is any group defined for R^4 ;

with the proviso that R^5 is not *tert*-butyl.

PCT

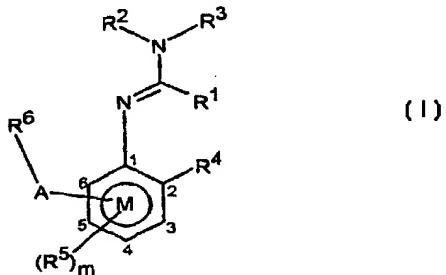
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INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 7 :		A1	(11) International Publication Number: WO 00/46184
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(21) International Application Number: PCT/GB00/00345		Science UK Limited, Chesterford Park, Saffron Walden, Essex CB10 1XL (GB).	
(22) International Filing Date: 4 February 2000 (04.02.00)		(74) Agent: SEWELL, Richard, Charles; Aventis CropScience UK Limited, Patent Dept., Chesterford Park, Saffron Walden, Essex CB10 1XL (GB).	
(30) Priority Data: 9902592.6 6 February 1999 (06.02.99) GB		(81) Designated States: AU, BR, CA, CN, CZ, HU, IL, IN, JP, KR, MX, RU, TR, UA, US, ZA, European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE).	
<p>(71) Applicant (for all designated States except US): HOECHST SCHERING AGREVO GMBH [DE/DE]; Miraustrasse 54, D-13509 Berlin (DE).</p> <p>(72) Inventors; and</p> <p>(75) Inventors/Applicants (for US only): CHARLES, Mark, David [GB/GB]; 51-53 Kings Road, Brighton BN1 1NA (GB). FRANKE, Wilfried [DE/ZA]; Upper Mountain Road 10, Golden Hill, 7130 Somerset West (ZA). GREEN, David, Eric [GB/GB]; Aventis CropScience UK Limited, Chesterford Park, Saffron Walden, Essex CB10 1XL (GB). HOUGH, Thomas, Lawley [GB/GB]; Aventis CropScience UK Limited, Chesterford Park, Saffron Walden, Essex CB10 1XL (GB). MITCHELL, Dale, Robert [GB/GB]; Aventis CropScience UK Limited, Chesterford Park, Saffron Walden, Essex CB10 1XL (GB). SIMPSON, Donald, James [GB/GB]; Aventis CropScience UK Limited, Chesterford Park, Saffron Walden, Essex CB10 1XL (GB). AATHERALL, John, Frederick [GB/GB]; Aventis Crop-</p>			

(54) Title: N²-PHENYLAMIDINE DERIVATIVES



(57) Abstract

The invention provides fungicidal compounds of formula (I) and salts thereof wherein: R¹ is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted, or hydrogen; R² and R³, which may be the same or different, are any group defined for R¹; cyano; acyl; -OR^a or -SR^a, where R^a is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; or R² and R³, or R² and R¹, together with their interconnecting atoms may form a ring, which may be substituted; R⁴ is alkyl, alkenyl, alkynyl, carbocyclyl or heterocyclyl, each of which may be substituted; hydroxy; mercapto; azido; nitro; halogen; cyano; acyl; optionally substituted amino; cyanato; thiocyanato; -SF₅; -OR^a; -SR^a or -Si(R^a)₃; m is 0 to 3; when present R⁵, which may be the same or different to any other R⁵, is any group defined for R⁴; R⁶ is optionally substituted carbo- or heterocyclyl; and A is a defined linking group, or -A-R⁶ and R⁵ together with benzene ring M form an optionally substituted fused ring system.

UNITED STATES OF AMERICA
COMBINED DECLARATION AND POWER OF ATTORNEY FOR PATENT APPLICATIONOFGS FILE NO.
P/3610-16

As a below named inventor, I hereby declare that: my residence, post office address and citizenship are as stated below next to my name; that I verily believe that I am the original, first and sole inventor (if only one name is listed below) or a joint inventor (if plural inventors are named) of the subject matter which is claimed and for which a patent is sought on the invention entitled:

N² - PHENYLAMIDINE DERIVATIVES

the specification of which is attached hereto, unless the following box is checked:

was filed on 4 February 2000 as United States patent Application Number or PCT International patent application number PCT/GB00/00345 and was amended on 20 January 2000 (if any).

I hereby state that I have reviewed and understand the contents of the above identified specification, including the claims, as amended by any amendment referred to above.

I acknowledge the duty to disclose all information known to be material to patentability in accordance with Title 37, Code of Federal Regulations, §1.56.

I hereby claim priority benefits under Title 35, United States Code §119 of any foreign application(s) for patent or inventor's certificate or United States provisional application(s) listed below and have also identified below any foreign application for patent or inventor's certificate having a filing date before that of the application on which priority is claimed:

Prior Foreign or Provisional Application(s)

COUNTRY	APPLICATION NUMBER	DATE OF FILING (day, month, year)	PRIORITY CLAIMED UNDER 35 U.S.C. 119
Great Britain	9902592.6	6 February 1999	YES <input checked="" type="checkbox"/> NO <input type="checkbox"/>
			YES <input type="checkbox"/> NO <input type="checkbox"/>
			YES <input type="checkbox"/> NO <input type="checkbox"/>

I hereby claim the benefit under Title 35, United States Code, §120 of any United States application(s) listed below and, insofar as the subject matter of each of the claims of this application is not disclosed in the prior United States application in the manner provided by the first paragraph of Title 35, United States Code, §112, I acknowledge the duty to disclose information which is material to patentability as defined in Title 37, Code of Federal Regulations, §1.56 which became available between the filing date of the prior application and the national or PCT international filing date of this application.

UNITED STATES APPLICATION NUMBER	DATE OF FILING (day, month, year)	STATUS (patented, pending, abandoned)

I hereby appoint customer no. 2352 OSTROLENK, FABER, GERB & SOFFEN, LLP, and the members of the firm, Samuel H. Weiner - Reg. No. 18,510; Jerome M. Berliner - Reg. No. 18,653; Robert C. Faber - Reg. No. 24,322; Edward A. Meilman - Reg. No. 24,735; Steven I. Weisburd - Reg. No. 27,409; Max Moskowitz - Reg. No. 30,576; Stephen A. Soffen - Reg. No. 31,063; James A. Finder - Reg. No. 30,173; William O. Gray, III - Reg. No. 30,944; Louis C. Dujmich - Reg. No. 30,625; Douglas A. Miro - Reg. No. 31,643, and Michael J. Scheer - Reg. No. 34,425, as attorneys with full power of substitution and revocation to prosecute this application, to transact all business in the Patent & Trademark Office connected therewith and to receive all correspondence.

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(212) 382-0700

I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code, and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

FULL NAME OF SOLE OR FIRST INVENTOR <u>Mark David CHARLES</u>	INVENTOR'S SIGNATURE	DATE
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FULL NAME OF SECOND JOINT INVENTOR (IF ANY) <u>Wilfried FRANKE</u>	INVENTOR'S SIGNATURE <u>Wilfried Franke</u>	DATE <u>25.10.01</u>
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POST OFFICE ADDRESS <u>Upper Mountain Road 10, Golden Hill, 7130 Somerset West, South Africa</u>		
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FULL NAME OF THIRD JOINT INVENTOR (IF ANY) <u>David Eric GREEN</u>	INVENTOR'S SIGNATURE	DATE
---	----------------------	------

RESIDENCE (City and either State or Foreign Country) <u>Essex CB10 1XL, United Kingdom</u>	<u>CBN</u>	COUNTRY OF CITIZENSHIP <u>Great Britain</u>
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POST OFFICE ADDRESS <u>c/o Aventis CropScience UK Limited, Chesterford Park, Saffron Walden, Essex CB10 1XL, United Kingdom</u>		
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CONTINUED ON PAGE 2

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FULL NAME OF FOURTH JOINT INVENTOR, IF ANY <u>Thomas Lawley HOUGH</u>	INVENTOR'S SIGNATURE	DATE
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POST OFFICE ADDRESS c/o Aventis CropScience UK Limited, Chesterford Park, Saffron Walden, Essex CB10 1XL, United Kingdom		
FULL NAME OF FIFTH JOINT INVENTOR, IF ANY <u>Dale Robert MITCHELL</u>	INVENTOR'S SIGNATURE	DATE
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POST OFFICE ADDRESS c/o Aventis CropScience UK Limited, Chesterford Park, Saffron Walden, Essex CB10 1XL, United Kingdom		
FULL NAME OF SIXTH JOINT INVENTOR, IF ANY <u>Donald James SIMPSON</u>	INVENTOR'S SIGNATURE	DATE
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POST OFFICE ADDRESS c/o Aventis CropScience UK Limited, Chesterford Park, Saffron Walden, Essex CB10 1XL, United Kingdom		
FULL NAME OF SEVENTH JOINT INVENTOR, IF ANY <u>John Frederick AHERALL</u>	INVENTOR'S SIGNATURE	DATE
RESIDENCE (City and either State or Foreign Country) Essex CB10 1XL, United Kingdom	<i>GBN</i>	COUNTRY OF CITIZENSHIP Great Britain
POST OFFICE ADDRESS c/o Aventis CropScience UK Limited, Chesterford Park, Saffron Walden, Essex CB10 1XL, United Kingdom		

UNITED STATES OF AMERICA
COMBINED DECLARATION AND POWER OF ATTORNEY FOR PATENT APPLICATION

OFGS FILE NO.
P/3610-16

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N² - PHENYLAMIDINE DERIVATIVES

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			YES <input type="checkbox"/> NO <input type="checkbox"/>

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FULL NAME OF SOLE OR FIRST INVENTOR Mark David CHARLES	INVENTOR'S SIGNATURE	DATE
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RESIDENCE (City and either State or Foreign Country) Brighton BN1 1NA, United Kingdom	COUNTRY OF CITIZENSHIP Great Britain
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51-53 Kings Road, Brighton BN1 1NA, United Kingdom

FULL NAME OF SECOND JOINT INVENTOR (IF ANY) Wilfried FRANKE	INVENTOR'S SIGNATURE	DATE
--	----------------------	------

RESIDENCE (City and either State or Foreign Country) 7130 Somerset West, South Africa	COUNTRY OF CITIZENSHIP Germany
--	-----------------------------------

POST OFFICE ADDRESS
Upper Mountain Road 10, Golden Hill, 7130 Somerset West, South Africa

FULL NAME OF THIRD JOINT INVENTOR (IF ANY) David Eric GREEN	INVENTOR'S SIGNATURE David E. Green	DATE
--	--	------

RESIDENCE (City and either State or Foreign Country) Essex CB10 1XL, United Kingdom	COUNTRY OF CITIZENSHIP Great Britain
--	---

POST OFFICE ADDRESS
c/o Aventis CropScience UK Limited, Chesterford Park,
Saffron Walden, Essex CB10 1XL, United Kingdom

CONTINUED ON PAGE 2

UNITED STATES OF AMERICA
COMBINED DECLARATION AND POWER OF ATTORNEY FOR PATENT APPLICATION

OFGS FILE NO.
P/3610-16

As a below named inventor, I hereby declare that: my residence, post office address and citizenship are as stated below next to my name; that I verify believe that I am the original, first and sole inventor (if only one name is listed below) or a joint inventor (if plural inventors are named) of the subject matter which is claimed and for which a patent is sought on the invention entitled:

N² - PHENYLAMIDINE DERIVATIVES

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COUNTRY	APPLICATION NUMBER	DATE OF FILING (day, month, year)	PRIORITY CLAIMED UNDER 35 U.S.C. 119
Great Britain	9902592.6	6 February 1999	YES <input checked="" type="checkbox"/> NO _____
			YES _____ NO _____
			YES _____ NO _____

I hereby claim the benefit under Title 35, United States Code, §120 of any United States application(s) listed below and, insofar as the subject matter of each of the claims of this application is not disclosed in the prior United States application in the manner provided by the first paragraph of Title 35, United States Code, §112, I acknowledge the duty to disclose information which is material to patentability as defined in Title 37, Code of Federal Regulations, §1.56 which became available between the filing date of the prior application and the national or PCT international filing date of this application.

UNITED STATES APPLICATION NUMBER	DATE OF FILING (day, month, year)	STATUS (patented, pending, abandoned)

I hereby appoint customer no. 2352 OSTROLENK, FABER, GERB & SOFFEN, LLP, and the members of the firm, Samuel H. Weiner - Reg. No. 18,510; Jerome M. Berliner - Reg. No. 18,653; Robert C. Faber - Reg. No. 24,322; Edward A. Meilman - Reg. No. 24,735; Steven I. Weisburd - Reg. No. 27,409; Max Moskowitz - Reg. No. 30,576; Stephen A. Soffen - Reg. No. 31,063; James A. Finder - Reg. No. 30,173; William O. Gray, III - Reg. No. 30,944; Louis C. Dujmich - Reg. No. 30,625; Douglas A. Miro - Reg. No. 31,643, and Michael J. Scheer - Reg. No. 34,425, as attorneys with full power of substitution and revocation to prosecute this application, to transact all business in the Patent & Trademark Office connected therewith and to receive all correspondence.

SEND CORRESPONDENCE TO: **OSTROLENK, FABER, GERB & SOFFEN, LLP**
1180 AVENUE OF THE AMERICAS
NEW YORK, NEW YORK 10036-8403
CUSTOMER NO. 2352

DIRECT TELEPHONE CALLS TO:
(212) 382-0700

I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code, and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

FULL NAME OF SOLE OR FIRST INVENTOR Mark David CHARLES	INVENTOR'S SIGNATURE	DATE
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RESIDENCE (City and either State or Foreign Country) Brighton BN1 1NA, United Kingdom	COUNTRY OF CITIZENSHIP Great Britain
--	---

POST OFFICE ADDRESS 51-53 Kings Road, Brighton BN1 1NA, United Kingdom

FULL NAME OF SECOND JOINT INVENTOR (IF ANY) Wilfried FRANKE	INVENTOR'S SIGNATURE	DATE
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RESIDENCE (City and either State or Foreign Country) 7130 Somerset West, South Africa	COUNTRY OF CITIZENSHIP Germany
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POST OFFICE ADDRESS Upper Mountain Road 10, Golden Hill, 7130 Somerset West, South Africa
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FULL NAME OF THIRD JOINT INVENTOR (IF ANY) David Eric GREEN	INVENTOR'S SIGNATURE	DATE
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RESIDENCE (City and either State or Foreign Country) Essex CB10 1XL, United Kingdom	COUNTRY OF CITIZENSHIP Great Britain
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FULL NAME OF FOURTH JOINT INVENTOR, IF ANY Thomas Lawley HOUGH		INVENTOR'S SIGNATURE <i>T. Lawley</i>	DATE 23/9/01
RESIDENCE (City and either State or Foreign Country) Essex CB10 1XL, United Kingdom		COUNTRY OF CITIZENSHIP Great Britain	
POST OFFICE ADDRESS c/o Aventis CropScience UK Limited, Chesterford Park, Saffron Walden, Essex CB10 1XL, United Kingdom			
FULL NAME OF FIFTH JOINT INVENTOR, IF ANY Dale Robert MITCHELL		INVENTOR'S SIGNATURE	DATE
RESIDENCE (City and either State or Foreign Country) Essex CB10 1XL, United Kingdom		COUNTRY OF CITIZENSHIP Great Britain	
POST OFFICE ADDRESS c/o Aventis CropScience UK Limited, Chesterford Park, Saffron Walden, Essex CB10 1XL, United Kingdom			
FULL NAME OF SIXTH JOINT INVENTOR, IF ANY Donald James SIMPSON		INVENTOR'S SIGNATURE	DATE
RESIDENCE (City and either State or Foreign Country) Essex CB10 1XL, United Kingdom		COUNTRY OF CITIZENSHIP Great Britain	
POST OFFICE ADDRESS c/o Aventis CropScience UK Limited, Chesterford Park, Saffron Walden, Essex CB10 1XL, United Kingdom			
FULL NAME OF SEVENTH JOINT INVENTOR, IF ANY John Frederick AATHERALL		INVENTOR'S SIGNATURE	DATE
RESIDENCE (City and either State or Foreign Country) Essex CB10 1XL, United Kingdom		COUNTRY OF CITIZENSHIP Great Britain	
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FULL NAME OF SOLE OR FIRST INVENTOR Mark David CHARLES	INVENTOR'S SIGNATURE <i>Mark Charles</i>	DATE 1.8.02
RESIDENCE (City and either State or Foreign Country) Brighton BN1 1NA, United Kingdom	COUNTRY OF CITIZENSHIP Great Britain	
POST OFFICE ADDRESS 51-53 Kings Road, Brighton BN1 1NA, United Kingdom		
FULL NAME OF SECOND JOINT INVENTOR (IF ANY) Wilfried FRANKE	INVENTOR'S SIGNATURE	DATE
RESIDENCE (City and either State or Foreign Country) 7130 Somerset West, South Africa	COUNTRY OF CITIZENSHIP Germany	
POST OFFICE ADDRESS Upper Mountain Road 10, Golden Hill, 7130 Somerset West, South Africa		
FULL NAME OF THIRD JOINT INVENTOR (IF ANY) David Eric GREEN	INVENTOR'S SIGNATURE	DATE
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FULL NAME OF FOURTH JOINT INVENTOR, IF ANY Thomas Lawley HOUGH		INVENTOR'S SIGNATURE	DATE
RESIDENCE (City and either State or Foreign Country) Essex CB10 1XL, United Kingdom		COUNTRY OF CITIZENSHIP Great Britain	
POST OFFICE ADDRESS c/o Aventis CropScience UK Limited, Chesterford Park, Saffron Walden, Essex CB10 1XL, United Kingdom			
FULL NAME OF FIFTH JOINT INVENTOR, IF ANY Dale Robert MITCHELL		INVENTOR'S SIGNATURE	DATE
RESIDENCE (City and either State or Foreign Country) Essex CB10 1XL, United Kingdom		COUNTRY OF CITIZENSHIP Great Britain	
POST OFFICE ADDRESS c/o Aventis CropScience UK Limited, Chesterford Park, Saffron Walden, Essex CB10 1XL, United Kingdom			
FULL NAME OF SIXTH JOINT INVENTOR, IF ANY Donald James SIMPSON		INVENTOR'S SIGNATURE	DATE
RESIDENCE (City and either State or Foreign Country) Essex CB10 1XL, United Kingdom		COUNTRY OF CITIZENSHIP Great Britain	
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<p>I hereby claim the benefit under Title 35, United States Code, §120 of any United States application(s) listed below and, insofar as the subject matter of each of the claims of this application is not disclosed in the prior United States application in the manner provided by the first paragraph of Title 35, United States Code, §112, I acknowledge the duty to disclose information which is material to patentability as defined in Title 37, Code of Federal Regulations, §1.56 which became available between the filing date of the prior application and the national or PCT international filing date of this application.</p>																		
<table border="1" style="width: 100%; border-collapse: collapse;"> <thead> <tr> <th style="text-align: center; padding: 5px;">UNITED STATES APPLICATION NUMBER</th> <th style="text-align: center; padding: 5px;">DATE OF FILING (day, month, year)</th> <th style="text-align: center; padding: 5px;">STATUS (patented, pending, abandoned)</th> </tr> </thead> <tbody> <tr> <td></td> <td></td> <td></td> </tr> <tr> <td></td> <td></td> <td></td> </tr> </tbody> </table>			UNITED STATES APPLICATION NUMBER	DATE OF FILING (day, month, year)	STATUS (patented, pending, abandoned)													
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<p>I hereby appoint customer no. 2352 OSTROLENK, FABER, GERB & SOFFEN, LLP, and the members of the firm, Samuel H. Weiner - Reg. No. 18,510; Jerome M. Berliner - Reg. No. 18,653; Robert C. Faber - Reg. No. 24,322; Edward A. Meilman - Reg. No. 24,735; Steven I. Weisburd - Reg. No. 27,409; Max Moskowitz - Reg. No. 30,576; Stephen A. Soffen - Reg. No. 31,063; James A. Finder - Reg. No. 30,173; William O. Gray, III - Reg. No. 30,944; Louis C. Dujmich - Reg. No. 30,625; Douglas A. Miro - Reg. No. 31,643, and Michael J. Scheer - Reg. No. 34,425, as attorneys with full power of substitution and revocation to prosecute this application, to transact all business in the Patent & Trademark Office connected therewith and to receive all correspondence.</p>																		
<p>SEND CORRESPONDENCE TO: OSTROLENK, FABER, GERB & SOFFEN, LLP 1180 AVENUE OF THE AMERICAS NEW YORK, NEW YORK 10036-8403 CUSTOMER NO. 2352</p>		<p>DIRECT TELEPHONE CALLS TO: (212) 382-0700</p>																
<p>I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code, and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.</p>																		
<p>FULL NAME OF SOLE OR FIRST INVENTOR Mark David CHARLES</p>		<p>INVENTOR'S SIGNATURE</p>																
<p>DATE</p>		<p></p>																
<p>RESIDENCE (City and either State or Foreign Country) Brighton BN1 1NA, United Kingdom</p>		<p>COUNTRY OF CITIZENSHIP Great Britain</p>																
<p>POST OFFICE ADDRESS 51-53 Kings Road, Brighton BN1 1NA, United Kingdom</p>																		
<p>FULL NAME OF SECOND JOINT INVENTOR (IF ANY) Wilfried FRANKE</p>		<p>INVENTOR'S SIGNATURE</p>																
<p>DATE</p>		<p></p>																
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<p>POST OFFICE ADDRESS Upper Mountain Road 10, Golden Hill, 7130 Somerset West, South Africa</p>																		
<p>FULL NAME OF THIRD JOINT INVENTOR (IF ANY) David Eric GREEN</p>		<p>INVENTOR'S SIGNATURE</p>																
<p>DATE</p>		<p></p>																
<p>RESIDENCE (City and either State or Foreign Country) Essex CB10 1XL, United Kingdom</p>		<p>COUNTRY OF CITIZENSHIP Great Britain</p>																
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